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Patent and Trademark Office

SEARCH REQUEST FORM

3-400

Requestor's Name: David Lukton Serial Number: 09/086,327

Date: 3/8/99 Phone: 308-3213 Art Unit: 1654

Rm 9B05

Search Topic:

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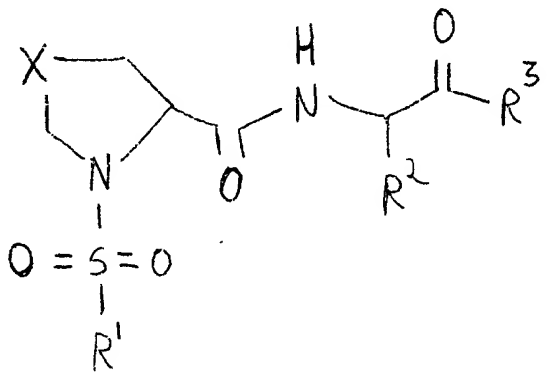

X = $-CH_2-$, $-O-$, or

= $-\underset{H}{N}-$, $-S-$

R^1, R^2, R^3 = anything

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Date completed: 3/10/99

Searcher: Shepperd

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Type of Search

_____ N.A. Sequence

_____ A.A. Sequence

_____ Structure

_____ Bibliographic

Vendors

_____ IG

_____ STN

_____ Dialog

_____ APS

_____ Geninfo

_____ SDC

_____ DARC/Questel

_____ Other

PTO-1590 (9-90)

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FILE COVERS 1967 - 10 Mar 1999 VOL 130 ISS 11
FILE LAST UPDATED: 10 Mar 1999 (19990310/ED)

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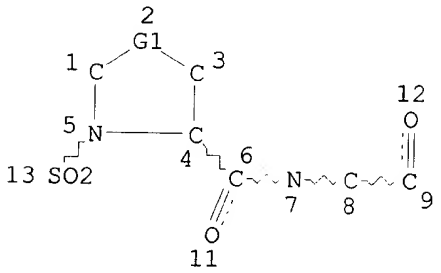
L3 STR



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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE
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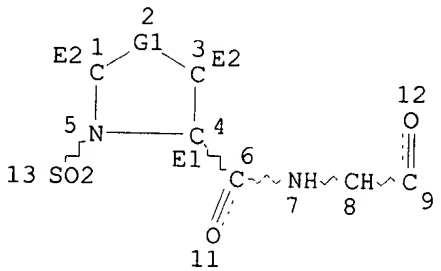

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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  12

STEREO ATTRIBUTES: NONE
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L13           85 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L12

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=> d bib abs hitrn l13 1-85


L13  ANSWER 1 OF 85  HCAPLUS  COPYRIGHT 1999 ACS
AN   1999:113712  HCAPLUS
TI   Preparation of N-sulfonylproline dipeptide derivatives and analogs as
     inhibitors of leukocyte adhesion mediated by VLA-4
IN   Thorsett, Eugene D.; Semko, Christopher M.; Pleiss, Michael A.; Kreft,
     Anthony; Konradi, Andrei W.; Grant, Francine S.; Baudy, Reinhardt
     Bernhard; Sarantakis, Dimitrios
PA   Athena Neurosciences, Inc., USA; American Home Products Corporation
SO   PCT Int. Appl., 294 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
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PI   WO 9906437         A1   19990211      WO 98-US16070    19980731
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             DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG,
             KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
             NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
             UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRAI US 97-904423     19970731
AB   Disclosed are title compds. R1SO2NR2CHR3QCHR5COR6 [R1 = (un)substituted
     alkyl, (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted
     heterocyclyl; R2 = H, any group R1; R1R2 may form (un)substituted
     heterocyclic ring; R3 = H, any group R1; R2R3 may form (un)substituted
     heterocyclic ring; R5 = CH2X1; X1 = H, OH, acylamino, (un)substituted
     alkyl, alkoxy, aryloxy, aryl, aryloxyaryl, CO2H, carboxyalkyl,
     carboxyaryl, carboxyheteroaryl, (un)substituted cycloalkyl,
     (un)substituted heterocyclyl; Q = C(X)NR7; R7 = H, alkyl; X = O, S; R6 =
     NH2, (un)substituted alkoxy, (un)substituted cycloalkoxy, succinimidyloxy,
     adamantylamino, .beta.-cholest-5-en-3-yloxy, NHOY, NH(CH2)pCO2Y,
     OCH2NR9R10; Y = H, (un)substituted alkyl, (un)substituted aryl; p = 1-8;
     R9 = (un)substituted CO-aryl; R10 = H, CH2CO2R11, NHSO2Z'; R11 = alkyl; Z'
     = (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted aryl,
     (un)substituted heteroaryl, (un)substituted heterocyclyl; and
     pharmaceutically acceptable salts thereof, with provisos] which bind VLA-4
     (also referred to as integrin .alpha.4.beta.1 and CD49d/CD29).  Certain of
     these compds. also inhibit leukocyte adhesion and, in particular,
     leukocyte adhesion mediated by VLA-4.  Such compds. are useful in the
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treatment of inflammatory diseases in a mammalian patient, e.g., human, wherein the disease may be, for example, asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, BOP-mediated peptide coupling of Ts-Pro-OH (Ts = tosyl) with H-Tyr-OMe gave 75% of the corresponding ester, which underwent sapon. in quant. yield to give desired dipeptide Ts-Pro-Tyr-OH. All prepd. compds. have IC50 .ltoreq. 15 .mu.M in a VLA-4 binding assay.

IT INDEXING IN PROGRESS

IT **220149-83-7P**

RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-sulfonylproline dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

IT **220149-81-5**

RL: RCT (Reactant)

(prepn. of N-sulfonylproline dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

IT **220176-17-0P 220176-20-5P 220176-95-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(prepn. of N-sulfonylproline dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

L13 ANSWER 2 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1999:113711 HCAPLUS

TI Preparation of N-sulfonylprolylphenylalanine derivatives and analogs as inhibitors of leukocyte adhesion mediated by VLA-4

IN Thorsett, Eugene D.; Semko, Christopher M.; Pleiss, Michael A.; Lombardo, Louis John; Konradi, Andrei W.; Grant, Francine S.; Dressen, Darren B.; Dappen, Michael S.

PA Athena Neurosciences, Inc., USA; American Home Products Corporation

SO PCT Int. Appl., 172 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9906436	A1	19990211	WO 98-US15327	19980731

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 97-903585 19970731

AB Disclosed are title compds. R1SO2NR2CHR3QCHR5COR6 [R1 = (un)substituted alkyl, (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; R2NCHR3 form satd. heterocyclic group with the proviso that when monosubstituted, the substituent on the satd. heterocyclic group is not CO2H; R5 = (CH2)n-aryl, (CH2)n-heteroaryl; n = 1-4; Q = C(X)NR7; R7 = H, alkyl; X = O, S; R6 = NH2, (un)substituted alkoxy, (un)substituted cycloalkoxy, succinimidyloxy, adamantylamino, .beta.-cholest-5-en-3-yloxy, NHOY, NH(CH2)pCO2Y, OCH2NR9R10; Y = H, (un)substituted alkyl, (un)substituted aryl; p = 1-8; R9 = (un)substituted CO-aryl; R10 = H, CH2CO2R11, NHSO2Z; R11 = alkyl; Z = (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclyl; and pharmaceutically acceptable salts thereof, with the proviso that when R1 = 2,4,6-Me3C6H2, R2NCHR3 = pyrrolidinyl ring and Q = C(O)NH, then R5 .noteq. benzyl; with the further proviso that when R1 = 4-MeC6H4, R2NCHR3 = pyrrolidinyl derived from

D-proline, and Q = C(O)NH, then R5 .noteq. benzyl derived from D-phenylalanine] which bind VLA-4 (also referred to as integrin .alpha.4.beta.1 and CD49d/CD29). Certain of these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, wherein the disease may be, for example, asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, BOP-mediated coupling of Boc-L-Pro-OH with L-phenylalanine benzyl ester hydrochloride in the presence of N-methylmorpholine, followed by acidic deprotection, sulfonylation with MeSO2Cl, and catalytic deprotection to give desired dipeptide MeSO2-L-Pro-L-Phe-OH.

IT **220187-04-2P 220187-13-3P 220187-27-9P**
220187-37-1P 220187-39-3P 220187-43-9P
220187-45-1P 220187-47-3P 220187-48-4P
220187-66-6P
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-sulfonylprolylphenylalanine derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

IT **217450-71-0P 220186-85-6P 220186-87-8P**
220186-88-9P 220186-91-4P 220186-95-8P
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220187-06-4P 220187-07-5P 220187-08-6P
220187-10-0P 220187-11-1P 220187-12-2P
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220187-44-0P 220187-46-2P 220187-49-5P
220187-50-8P 220187-51-9P 220187-57-5P
220187-58-6P 220187-59-7P 220187-60-0P
220187-61-1P 220187-64-4P 220187-65-5P
220187-67-7P 220187-69-9P 220187-72-4P
220187-74-6P 220187-77-9P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-sulfonylprolylphenylalanine derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

IT **220149-81-5**
RL: RCT (Reactant)
(prepn. of N-sulfonylprolylphenylalanine derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

IT **220187-79-1P 220187-83-7P 220187-84-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of N-sulfonylprolylphenylalanine derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

L13 ANSWER 3 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1999:113710 HCAPLUS
TI Preparation of N-sulfonyl dipeptide derivatives and analogs as inhibitors of leukocyte adhesion mediated by VLA-4
IN Thorsett, Eugene D.; Semko, Christopher M.; Pleiss, Michael A.; Konradi, Andrei W.; Grant, Francine S.; Dressen, Darren B.; Baudy, Reinhardt Bernhard
PA Athena Neurosciences, Inc., USA; American Home Products Corporation
SO PCT Int. Appl., 151 pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9906435	A1	19990211	WO 98-US15314	19980730

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RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 97-904415 19970731

AB Disclosed are title compds. R1SO2NR2CR3R4QCHR5COR6 [R1 = (un)substituted alkyl, (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; R2 = H, any group R1, (un)substituted cycloalkenyl; R1R2 may form heterocyclic ring; R3 = any group R1; R2R3 may form heterocyclic ring; R4 = any group R1; R3R4 may form cycloalkyl, (un)substituted heterocyclic ring; R5 = CHMe2, CH2X, :CHX1; X1 = H, OH, acylamino, optionally substituted alkyl, alkoxy, aryloxy, aryl, aryloxyaryl, carboxy, carboxyalkyl, etc.; Q = C(X)NR7, X = O, S, R7 = H, alkyl; X = O, S; R6 = NH2, (un)substituted alkoxy, (un)substituted cycloalkoxy, succinimidyloxy, adamantylamino, .beta.-cholest-5-en-3-yloxy, NHOY, NH(CH2)pCO2Y, OCH2NR9R10; Y = H, (un)substituted alkyl, (un)substituted aryl; p = 1-8; R9 = (un)substituted CO-aryl; R10 = H, CH2CO2R11, NHSO2Z; R11 = alkyl; Z = (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclyl; and pharmaceutically acceptable salts thereof, with provisos] which bind VLA-4 (also referred to as integrin .alpha.4.beta.1 and CD49d/CD29). Certain of these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, wherein the disease may be, for example, asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, sulfonylation of cycloleucine (1-aminocyclopentanecarboxylic acid) with tosyl chloride, followed by peptide coupling with L-phenylalanine Me ester and sapon. gave desired title compd. 4-MeC6H4SO2-cycloleucyl-L-phenylalanine.

IT **220149-81-5**
RL: RCT (Reactant)
(prepn. of N-sulfonyl dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

L13 ANSWER 4 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1999:113709 HCAPLUS
TI Preparation of N-sulfonylated aminophenylalanine dipeptide derivatives and analogs as inhibitors of leukocyte adhesion mediated by VLA-4
IN Ashwell, Susan; Grant, Francine S.; Konradi, Andrei W.; Kreft, Anthony; Lombardo, Louis John; Pleiss, Michael A.; Sarantakis, Dimitrios; Semko, Christopher M.; Thorsett, Eugene D.
PA Athena Neurosciences, Inc., USA; American Home Products Corporation
SO PCT Int. Appl., 164 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9906434	A1	19990211	WO 98-US15312	19980730

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RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
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PRAI US 97-920353 19970731

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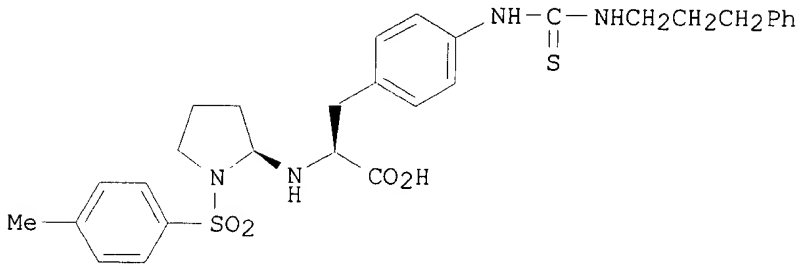

I

AB Disclosed are title compds. R1SO2NR2CHR3QCHR5COR6 [R1 = (un)substituted alkyl, (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; R2 = H, any group R1; R1R2 may form (un)substituted heterocyclic ring; R3 = H, any group R1; R2R3 may form (un)substituted heterocyclic ring; R5 = (CH2)x-Ar-R5'; R5' = NR12C(Z)NR8R8', NR12C(Z)R13; R12 = H, alkyl, aryl; R8, R8' = independently H, any group R1; R8R8' may form heterocyclic ring; R13 = satd. heterocycle; Z = O, S, NR13; x = 1-4; , (CH2)n-heteroaryl; n = 1-4; Q = C(X)NR7; R7 = H, alkyl; X = O, S; R6 = NH2, (un)substituted alkoxy, (un)substituted cycloalkoxy, succinimidyloxy, adamantylamino, .beta.-cholest-5-en-3-yloxy, NHOY, NH(CH2)pCO2Y, OCH2NR9R10; Y = H, (un)substituted alkyl, (un)substituted aryl; p = 1-8; R9 = (un)substituted CO-aryl; R10 = H, CH2CO2R11, NHSO2Z; R11 = alkyl; Z = (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclyl; and pharmaceutically acceptable salts thereof, with provisos] which bind VLA-4 (also referred to as integrin .alpha.4.beta.1 and CD49d/CD29). Certain of these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, wherein the disease may be, for example, asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, condensation of N-tosyl-L-prolyl-4-amino-L-phenylalanine Me ester with 3-phenylpropyl isothiocyanate gave the corresponding urea I.

IT **220148-91-4P 220148-95-8P 220148-98-1P**
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-sulfonylated aminophenylalanine dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

IT **220148-88-9P 220148-89-0P 220148-90-3P**
220148-92-5P 220148-93-6P 220148-94-7P
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220149-26-8P 220149-27-9P 220149-28-0P

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220149-78-0P 220149-79-1P 220149-80-4P
220150-60-7P 220150-61-8P 220202-29-9P
220202-30-2P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-sulfonylated aminophenylalanine dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

IT **220149-81-5 220149-83-7 220149-86-0**
RL: RCT (Reactant)
(prepn. of N-sulfonylated aminophenylalanine dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

L13 ANSWER 5 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1999:113708 HCAPLUS
TI Preparation of N-sulfonyl phenylalanine dipeptide derivatives and analogs as inhibitors of leukocyte adhesion mediated by VLA-4
IN Dappen, Michael S.; Dressen, Darren B.; Grant, Francine S.; Pleiss, Michael A.; Robinson, Cynthia Y.; Sarantakis, Dimitrios; Thorsett, Eugene D.
PA Athena Neurosciences, Inc., USA; American Home Products Corporation
SO PCT Int. Appl., 190 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9906433	A1	19990211	WO 98-US15952	19980731
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRAI US 97-904416 19970731

AB Disclosed are title compds. R1SO2NR2CHR3QCHR5COR6 [R1 = (un)substituted alkyl, (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; R2 = H, any group R1; R1R2 may form (un)substituted heterocyclic ring; R3 = H, any group R1; R2R3 may form (un)substituted unsatd. heterocyclic ring; R5 = CH2X1; X1 = H, OH, optionally substituted acylamino, alkyl, aryloxy, aryl, aryloxyaryl, CO2H, carboxyalkyl, carboxyheteroaryl, etc.; Q = C(X)NR7; R7 = H, alkyl; X = O, S; R6 = NH2, (un)substituted alkoxy, (un)substituted cycloalkoxy, succinimidyloxy, adamantylamino, .beta.-cholest-5-en-3-yloxy, NHOY, NH(CH2)pCO2Y, OCH2NR9R10; Y = H, (un)substituted alkyl, (un)substituted aryl; p = 1-8; R9 = (un)substituted CO-aryl; R10 = H, CH2CO2R11, NHSO2Z; R11 = alkyl; Z = (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclyl; and pharmaceutically acceptable salts thereof, with provisos] which bind VLA-4 (also referred to as integrin .alpha.4.beta.1 and CD49d/CD29). Certain of

these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, wherein the disease may be, for example, asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, reaction of Ts-Gly-OH (Ts = tosyl) with oxalyl chloride in CH2Cl2, followed by peptide coupling with L-phenylalanine benzyl ester tosylate and catalytic hydrogenolysis, gave desired title compd. Ts-Gly-Phe-OH. All prepd. compds. have IC50 .ltoreq. 15 .mu.M in a VLA-4 binding assay.

IT **220176-98-7**
RL: RCT (Reactant)
(prepn. of N-sulfonyl phenylalanine dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

L13 ANSWER 6 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1999:113707 HCAPLUS
TI Preparation of N-sulfonyl dipeptide derivatives and analogs as inhibitors of leukocyte adhesion mediated by VLA-4
IN Thorsett, Eugene D.; Semko, Christopher M.; Pleiss, Michael A.; Lombardo, Louis John; Grant, Francine S.; Dressen, Darren B.; Dappen, Michael S.
PA Athena Neurosciences, Inc., USA; American Home Products Corporation
SO PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9906432	A1	19990211	WO 98-US15325	19980731
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRAI US 97-904417 19970731

AB Disclosed are title compds. R1SO2NR2CHR3QCHR5COR6 [R1 = (un)substituted alkyl, (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; R2 = H, any group R1; R1R2 may form (un)substituted heterocyclic ring; R3 = H, any group R1; R2R3 may form (un)substituted heterocyclic ring; R5 = Alk-X1, :CHY; Alk = alkyl chain of 1-10 carbon atoms; X1 = halo, CN, NO2, optionally substituted sulfonyl, sulfonyloxy, amino, alkyl, aryloxy, aryl, aryloxyaryl, carboxyalkyl, carboxyheteroaryl, etc.; Q = C(X)NR7; R7 = H, alkyl; X = O, S; R6 = NH2, (un)substituted alkoxy, (un)substituted cycloalkoxy, succinimidyloxy, adamantylamino, .beta.-cholest-5-en-3-yloxy, NHOY, NH(CH2)pCO2Y, OCH2NR9R10; Y = H, (un)substituted alkyl, (un)substituted aryl; p = 1-8; R9 = (un)substituted CO-aryl; R10 = H, CH2CO2R11, NHSO2Z; R11 = alkyl; Z = (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclyl; and pharmaceutically acceptable salts thereof, with provisos] which bind VLA-4 (also referred to as integrin .alpha.4.beta.1 and CD49d/CD29). Certain of these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, wherein the disease may be, for example, asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, BOP-mediated peptide coupling of Ts-Pro-OH (Ts = tosyl) with H-Asp(OCMe3)-OMe.HCl, followed by

.alpha.-ester sapon., gave gave desired title compd. Ts-Pro-Asp(OCMe3)-OH. All prepd. compds. have IC50 .ltoreq. 15 .mu.M in a VLA-4 binding assay.

IT **220176-17-0P 220176-18-1P 220176-19-2P 220176-20-5P 220176-21-6P 220176-22-7P 220176-23-8P 220176-24-9P 220176-28-3P 220176-33-0P 220176-34-1P 220176-38-5P 220176-40-9P 220176-41-0P 220176-42-1P 220176-43-2P 220176-44-3P 220176-45-4P 220176-46-5P 220176-47-6P 220176-48-7P 220176-49-8P 220176-50-1P 220176-51-2P 220176-52-3P 220176-72-7P 220176-73-8P 220176-74-9P 220176-75-0P 220176-76-1P 220176-77-2P 220176-78-3P 220176-79-4P 220176-80-7P 220176-81-8P 220176-82-9P 220176-83-0P 220176-84-1P 220176-85-2P 220176-86-3P 220176-87-4P 220176-88-5P 220176-89-6P 220176-90-9P 220176-91-0P 220176-92-1P 220176-94-3P 220176-95-4P 220176-96-5P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-sulfonyl dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

IT **220176-98-7**

RL: RCT (Reactant)

(prepn. of N-sulfonyl dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

IT **220177-04-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(prepn. of N-sulfonyl dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

L13 ANSWER 7 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1999:12304 HCAPLUS

DN 130:66800

TI Preparation of D-amino acid derivatives as cysteine and serine protease inhibitors

IN Chatterjee, Sankar

PA Cephalon, Inc., USA

SO U.S., 43 pp., Cont.-in-part of U.S. Ser. No. 755,839,abandoned.
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5852007	A	19981222	US 97-795546	19970206
PRAI	US 96-755839		19961126		

OS MARPAT 130:66800

AB The compds. QC*(NR2R3)(R4)CONHC(R1)(R5)C(W1)(W2)Y [C* = carbon atom having a D-configuration; Q = GB(CHR20)q; R20 = H, alkyl; q = 0 -2; B = CO, etc.; G = aryl, etc.; R1 = H, alkyl, etc.; R2 = COR6, etc.; R6 = aryl, etc.; R3 = H, alkyl, etc.; further details on R2, R3, Q are given; R4, R5 = H, alkyl; W1 and W2 are selected such that W1 is H and W2 is O(CO)NHR26 where R26 is alkyl, or W1 and W2 are both alkoxy, or W1 is OH and W2 is selected from aralkyl, aralkyloxy, etc.; further details on W1 and W2 are given; Y = H, CH:N2, etc.; further details on Y and R1 are given] are prepd. Compds. of this invention in vitro showed IC50 values of 3 - 1000 nM against calpain I.

IT **192722-72-8P 192722-73-9P 192722-74-0P 192722-90-0P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of D-amino acid derivs. as cysteine and serine protease

inhibitors)

L13 ANSWER 8 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1998:799995 HCAPLUS
DN 130:52736
TI Preparation of biarylalkanoic acids as cell adhesion inhibitors
IN Durette, Philippe L.; Hagmann, William K.; Maccoss, Malcolm; Mills, Sander G.; Mumford, Richard A.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9853817	A1	19981203	WO 98-US10951	19980529

W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

PRAI US 97-47856 19970529
GB 97-14316 19970707
US 97-66831 19971125
GB 98-680 19980114
OS MARPAT 130:52736
AB Compds. R1YNR2CR3R4CONR5CR6R7X [R1 = (un)substituted alkyl, alkenyl, alkynyl, a cyclic group Cy, Cy-alkyl, Cy-alkenyl, Cy-alkynyl; R2, R3 independently are H or R1; or R2 and R3 together form a ring; R4, R7 independently are H, (un)substituted alkyl, alkenyl, alkynyl, aryl, arylalkyl, heteroaryl, or heteroarylalkyl; or R3 and R4 together form a ring; R5 = H or (un)substituted alkyl or Cy; R6 = diarylalkyl, -alkenyl, or -alkynyl; X = CO2H, PO3H2, PH(O)OH, SO2H, SO3H or ester derivs., carbamoyl group, or 5-tetrazolyl; Y = CO, OCO, NHCO or iminocarbonyl group, SO2, P(O)(ORi) (Ri =alkyl, alkenyl, alkynyl, aryl), COCO] were prepd. as cell adhesion inhibitors. Pharmaceutical compns. are described. Thus, N-(3,5-dichlorobenzenesulfonyl)-L-prolyl-L-4-(4-fluorophenyl)phenylalanine was prepd. by coupling of N-(3,5-dichlorobenzenesulfonyl)-L-proline with 4-iodo-L-phenylalanine and reaction with 4-fluorobenzeneboronic acid.
IT **217326-87-9**
RL: RCT (Reactant)
(prepn. of peptidyl biarylalkanoic acids as cell adhesion inhibitors)
IT **217326-49-3P 217326-50-6P 217326-58-4P**
217326-60-8P 217326-62-0P 217326-73-3P
217326-74-4P 217326-75-5P 217326-76-6P
217326-77-7P 217326-78-8P 217326-83-5P
217326-86-8P 217326-88-0P 217326-95-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of peptidyl biarylalkanoic acids as cell adhesion inhibitors)
IT **217325-08-1P 217325-09-2P 217325-10-5P**
217325-11-6P 217325-12-7P 217325-13-8P
217325-14-9P 217325-15-0P 217325-16-1P
217325-17-2P 217325-18-3P 217325-19-4P
217325-20-7P 217325-21-8P 217325-22-9P
217325-23-0P 217325-24-1P 217325-25-2P
217325-26-3P 217325-27-4P 217325-29-6P
217325-31-0P 217325-54-7P 217325-55-8P
217325-56-9P 217325-58-1P 217325-59-2P
217325-60-5P 217325-61-6P 217325-62-7P
217325-63-8P 217325-64-9P 217325-65-0P
217325-66-1P 217325-67-2P 217325-68-3P
217325-69-4P 217325-94-5P 217325-95-6P

217325-96-7P 217325-97-8P 217325-98-9P
217326-03-9P 217326-04-0P 217326-05-1P
217326-06-2P 217326-08-4P 217326-09-5P
217326-12-0P 217326-13-1P 217326-14-2P
217326-15-3P 217326-16-4P 217326-17-5P
217326-29-9P 217326-36-8P 217326-38-0P
217326-42-6P 217326-43-7P 217326-44-8P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptidyl biarylalkanoic acids as cell adhesion inhibitors)

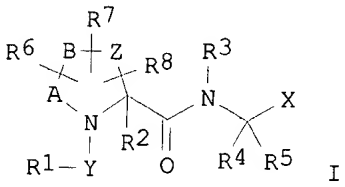
L13 ANSWER 9 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1998:799992 HCAPLUS
DN 130:52724
TI Preparation of heterocyclic dipeptide derivatives as cell adhesion inhibitors
IN Durette, Philippe L.; Hagmann, William K.; Maccoss, Malcolm; Mills, Sander G.; Mumford, Richard A.; Van Riper, Gail M.; Schmidt, Jack A.; Kevin, Nancy J.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9853814	A1	19981203	WO 98-US10940	19980529

W: CA, JP, US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRAI	US 97-48017	19970529
	GB 97-14314	19970707
	US 97-66525	19971125
	GB 98-686	19980114

OS MARPAT 130:52724
GI



I

AB Title compds. I [R1 = (un)substituted C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, Cy, Cy-C1-10 alkyl, Cy-C2-10 alkenyl, Cy-C2-10 alkynyl; R2, R5 = independently (un)substituted H, C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, aryl, aryl-C1-10 alkyl, heteroaryl, heteroaryl-C1-10 alkyl; R3 = H, (un)substituted C1-10 alkyl, Cy, Cy-C1-10 alkyl; R4 = H, any group R1; R3R4 form mono- or bicyclic ring contg. 0-2 heteroatoms N, O, S; R4R5 form 3-7 membered mono- or bicyclic ring contg. 0-2 heteroatoms N, O, S; R10, R11 = independently = any group R3, (un)substituted C2-10 alkenyl, C2-10 alkynyl; R10R11 may form 5-7 membered heterocyclic ring contg. 0-2 addnl. heteroatoms N, O, S; R6-R8 = independently any group R10, OR10, NO2, halo, S(O)mR10, SR10, SO3R10, NR10R11, COR10, CO2R10, O2R10, CN, CONR10R11, CF3, oxo, NR10S(O)mR11, etc.; two of R6-R8 may form 5-7 membered (un)satd. monocyclic ring contg. 0-3 heteroatoms N, O, S; Cy = cycloalkyl, heterocyclyl, aryl, heteroaryl; A, Z = independently C, C-C; B = bond, C, C-C, N, O, S, S(O)m; X = CO2R10, P(O)(OR10)(OR11), P(O)(R10)(OR11),

S(O)mOR10, CONR10R11, 5-tetrazolyl; Y = CO, O2C, NR11CO, SO2, P(O)(OR4), COCO; m = 1-2] = are antagonists of VLA-4 and/or .alpha.4.beta.7, and are useful for inhibition or prevention of cell adhesion and cell adhesion mediated pathologies. These compds. may be formulated into pharmaceutical compns. and are suitable for use in the treatment of asthma, allergies, inflammation, multiple sclerosis, and other inflammatory and autoimmune disorders. Thus, coupling of L-2-naphthylalanine tert-Bu ester (H-Nal-OtBu) (prepn. given) with Cbz-Pro-OH (Cbz = PhCH2O2C), followed by catalytic deprotection, sulfonylation with 3,5-Cl2C6H3SO2Cl, and acidic deesterification gave desired N-sulfonyldipeptide Cl2C6H3SO2-Nal-Pro-OH. Procedures for inhibition of VLA-4 dependent adhesion to a CS-1 conjugate and VCAM-IG fusion protein are given.

IT **217450-69-6P 217450-70-9P 217450-71-0P**
217450-72-1P 217450-73-2P 217450-75-4P
217450-76-5P 217450-77-6P 217450-78-7P
217450-79-8P 217450-80-1P 217450-81-2P
217450-83-4P 217450-85-6P 217450-87-8P
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217450-95-8P 217450-96-9P 217450-98-1P
217451-12-2P 217451-13-3P 217451-16-6P
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217451-91-7P 217451-93-9P 217451-94-0P
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217451-98-4P 217451-99-5P 217452-00-1P
217452-01-2P 217452-02-3P 217452-03-4P
217452-04-5P 217452-06-7P 217452-08-9P
217452-09-0P 217452-10-3P 217452-11-4P
217452-13-6P 217452-14-7P 217452-17-0P
217452-18-1P 217452-22-7P 217452-23-8P
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217452-32-9P 217452-33-0P 217452-34-1P
217452-35-2P 217452-36-3P 217452-37-4P
217452-41-0P 217452-42-1P 217452-44-3P
217452-46-5P 217452-47-6P 217452-48-7P
217452-49-8P 217452-50-1P 217452-51-2P
217452-52-3P 217452-53-4P 217452-55-6P
217452-56-7P 217452-61-4P 217452-62-5P
217452-64-7P 217452-65-8P 217452-66-9P
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217452-99-8P 217453-00-4P 217453-01-5P
217453-02-6P 217453-04-8P 217453-05-9P
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217453-15-1P 217453-16-2P 217453-17-3P
217453-18-4P 217453-19-5P 217453-20-8P
217453-21-9P 217453-22-0P 217453-23-1P
217453-27-5P 217453-28-6P 217453-32-2P
217453-37-7P 217453-38-8P 217453-39-9P
217453-41-3P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic dipeptide derivs. as cell adhesion inhibitors)

IT **217326-62-0**
RL: RCT (Reactant)
(prepn. of heterocyclic dipeptide derivs. as cell adhesion inhibitors)

IT **217326-49-3P 217326-58-4P 217453-50-4P**

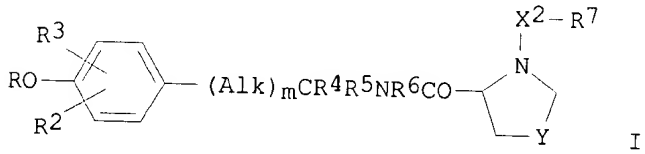
217453-54-8P 217453-55-9P 217453-57-1P
217453-58-2P 217453-59-3P 217453-65-1P
217453-66-2P 217453-67-3P 217453-68-4P
217453-69-5P 217453-70-8P 217453-75-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of heterocyclic dipeptide derivs. as cell adhesion inhibitors)

L13 ANSWER 10 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1998:795039 HCAPLUS
DN 130:52733
TI Preparation of tyrosine derivatives as antiinflammatory agents
IN Head, John Clifford; Archibald, Sarah Catherine; Warrellow, Graham John
PA Celltech Therapeutics Limited, UK
SO PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9854207	A1	19981203	WO 98-GB1580	19980529

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

PRAI GB 97-11143 19970530
GB 97-22674 19971027
OS MARPAT 130:52733
GI



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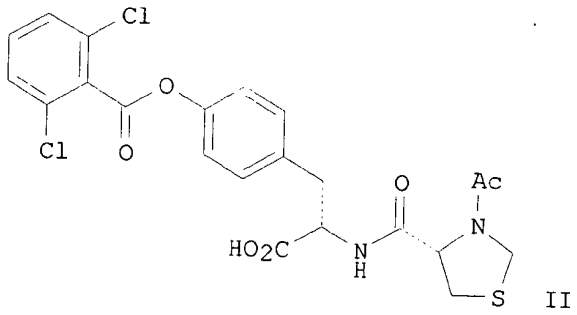


II

AB Tyrosine derivs. I [R = R1X1, (Hal1)3CSO2; R1 = optionally substituted alkyl or arom. group; R2, R3 = independently H, halo, alkyl, alkoxy, OH, NO2; R4 = H, Me; R5 = (CH2)pCO2R8; R6= H, alkyl; R7 = optionally substituted alkyl group, aryl, aralkyl; R8 = H, alkyl; Alk = alkylene chain; Hal1 = F, Cl; X1 = bond, (CH2)n, CO, CH2CO, NHCO, CH2NHCO, SO2; X2 = CO, CO2, CONH, SO2; Y = S, S(O)q; m = 0, 1; n = 1, 2; p = 0, 1; q = 1, 2] and the salts, solvates and hydrates thereof, are described. The compds. are able to inhibit the binding of .alpha.4 integrins to their ligands and are of use in the prophylaxis and treatment of immune or inflammatory disorders. Thus, coupling of N-acetyl-D-thioproline with

L-tyrosine tert-Bu ester, followed by O-acylation with 2,6-dichlorobenzoyl chloride and acidic deesterification, gave desired tyrosine deriv. II. II and related thioprolyltyrosine derivs. were tested for inhibition of .alpha.4 integrin-dependent cell adhesion, and generally have IC50 values of .ltoreq.1 .mu.M in .alpha.4.beta.1 and .alpha.4.beta.7 assays, and IC50 values of .gtoreq. 50 .mu.M in assays of other integrins.

IT **217479-41-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tyrosine derivs. as antiinflammatory agents)

L13 ANSWER 11 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1998:709734 HCAPLUS
DN 130:81806
TI P2-proline-derived inhibitors of calpain I
AU Tripathy, Rabindranath; Gu, Zi-Qiang; Dunn, Derek; Senadhi, Shobha E.; Ato, Mark A.; Chatterjee, Sankar
CS Department of Chemistry, Cephalon, Inc., West Chester, PA, 19380-4245, USA
SO Bioorg. Med. Chem. Lett. (1998), 8(19), 2647-2652
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
AB The syntheses and biol. activities of a series of calpain I inhibitors, derived from D- and L-Pro, are described.
IT **192722-72-8 192722-90-0 218602-51-8 218602-52-9**
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(synthesis and biol. activity of proline-derived inhibitors of calpain I)

L13 ANSWER 12 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1998:568589 HCAPLUS
DN 129:175653
TI Preparation of benzenesulfonamides as elastase inhibitors
IN Nakae, Takahiko; Kato, Masashi; Fujita, Takehito; Kawabata, Kazuhito; Ohno, Hiroyuki
PA Ono Pharmaceutical Co., Ltd., Japan
SO U.S., 150 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5795890	A	19980818	US 96-718722	19960924
	JP 10251218	A2	19980922	JP 98-111630	19960924
PRAI	JP 95-272568		19950927		
	JP 96-45663		19960208		
	JP 95-272058		19950927		
	JP 96-271341		19960924		

OS MARPAT 129:175653
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = C1-8 alkyl, C1-8 alkoxy, OH, etc.; n = 0-5; D = carbocyclic ring; R2, R3 = H, C1-4 alkyl, C1-4 alkoxy, etc.; R2R3 = C1-4 alkylidene; CR2R3 = C3-7 cycloalkyl; R4 = C1-4 alkyl, C1-4 alkoxy; two of R4, attached to the benzene nucleus at ortho positions relative to each other, represent C3-5 alkylene; m = 0-4; R5, R6 = H, OH, C1-8 alkyl, etc.;

NR5R6 = heterocyclyl] and their salts, which have an inhibitory effect on elastase and therefore are useful in the prevention and/or the treatment of emphysema, rheumatoid arthritis, atherosclerosis, adult respiratory distress syndrome (ARDS), glomerular nephritis, myocardial infarction, idiopathic ulcerative colitis, and gingivitis, were prepd. and formulated. Thus, treatment of the ester II (prepn. described) with CF3CO2H in CH2Cl2/MeOPh afforded the title compd. III.HCl which showed IC50 of 0.055 .mu.M against human polymorphonuclear elastase.

IT **190252-08-5P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzenesulfonamides as elastase inhibitors)

L13 ANSWER 13 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1998:237219 HCAPLUS
DN 129:24858
TI Gp180, a protein that binds duck hepatitis B virus particles, has metallocarboxypeptidase D-like enzymic activity
AU Eng, Francis J.; Novikova, Elena G.; Kuroki, Kazuyuki; Ganem, Don; Fricker, Lloyd D.
CS Department Molecular Pharmacology, Albert Einstein College Medicine, Bronx, NY, 10461, USA
SO J. Biol. Chem. (1998), 273(14), 8382-8388
CODEN: JBCHA3; ISSN: 0021-9258
PB American Society for Biochemistry and Molecular Biology
DT Journal
LA English
AB Duck gp180 was previously identified by its ability to bind to the preS envelope protein of duck hepatitis B virus particles. Cloning and sequencing of gp180 cDNA revealed that it is a polyprotein with three carboxypeptidase-like domains. To evaluate enzymic properties of this protein, a sol. 170-kDa form of the protein (gp170) lacking the C-terminal transmembrane domain and cytoplasmic tail was expressed in a baculovirus system. The purified 170-kDa protein cleaved 5-dimethylaminonaphthalene-1-sulfonyl (dansyl)-Phe-Ala-Arg with a pH optimum of 5.5-6.5. With this substrate at pH 5.5, the 170-kDa protein displayed a Km of 12 .mu.M and a Kcat of 57 s-1. Dansyl-Pro-Ala-Arg and dansyl-Phe-Phe-Arg were cleaved with Km values of 17 and 21 .mu.M, and Kcat values of 57 and 17 s-1, resp. Constructs contg. only the first or second carboxypeptidase domains also showed enzymic activity. The effects of inhibitors and ions on enzyme activity of gp170 were generally similar to the effects of these compds. on purified bovine carboxypeptidase D. To evaluate the regions within gp180 necessary for binding preS, a series of deletion mutants were expressed in the 293T human kidney cell line. Deletions of the first and second domains, leaving the third domain intact, eliminated carboxypeptidase activity but retained preS binding. Deletion of the third domain eliminated preS binding but not carboxypeptidase activity. These results indicate that the third domain is responsible for preS binding, and this binding does not require carboxypeptidase activity.

IT **87687-43-2**
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(substrate; gp180, a protein that binds duck hepatitis B virus particles, has metallocarboxypeptidase D-like enzymic activity)

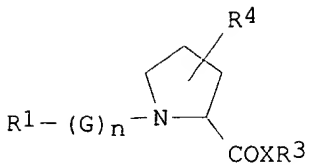
L13 ANSWER 14 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1998:79057 HCAPLUS
DN 128:241112
TI Membrane type-1 matrix metalloprotease and stromelysin-3 cleave more efficiently synthetic substrates containing unusual amino acids in their P1' positions
AU Mucha, Artur; Cuniasse, Philippe; Kannan, Rama; Beau, Fabrice; Yiotakis, Athanasios; Basset, Paul; Dive, Vincent
CS CEA, Departement d'Ingenierie et d'Etudes des Proteines,CE-Saclay, Gif/Yvette, 91191, Fr.
SO J. Biol. Chem. (1998), 273(5), 2763-2768

CODEN: JBCHA3; ISSN: 0021-9258
PB American Society for Biochemistry and Molecular Biology
DT Journal
LA English
AB The influence of the substrate P1' position on the specificity of two zinc matrix metalloproteases, membrane type-1 matrix metalloprotease (MT1-MMP) and stromelysin-3 (ST3), was evaluated by synthesizing a series of fluorogenic substrates of general formula dansyl-Pro-Leu-Ala-Xaa-Trp-Ala-Arg-NH2, where Xaa in the P1' position represents unusual amino acids contg. either long arylalkyl or alkyl side chains. Our data demonstrate that both MT1-MMP and ST3 cleave substrates contg. in their P1' position unusual amino acids with extremely long side chains more efficiently than the corresponding substrates with natural phenylalanine or leucine amino acids. In this series of substrates, the replacement of leucine by S-para-methoxybenzyl cysteine increased the kcat/Km ratio by a factor of 37 for MT1-MMP and 9 for ST3. The substrate with a S-para-methoxybenzyl cysteine residue in the P1' position displayed a kcat/Km value of 1.59 106 M-1 S-1 and 1.67 104 M-1 S-1, when assayed with MT1-MMP and ST3, resp. This substrate is thus one of the most rapidly hydrolyzed substrates so far reported for matrixins, and is the first synthetic peptide efficiently cleaved by ST3. These unexpected results for these two matrixins suggest that extracellular proteins may be cleaved by matrixins at sites contg. amino acids with unusual long side chains, like those generated in vivo by some post-translational modifications.
IT **204981-55-5 204981-56-6 204981-57-7**
204981-58-8 204981-59-9 204981-60-2
204981-61-3 204981-62-4 204981-63-5
204981-64-6 204981-65-7
RL: BPR (Biological process); PRP (Properties); BIOL (Biological study); PROC (Process)
(membrane type-1 matrix metalloprotease and stromelysin-3 cleave more efficiently synthetic substrates contg. unusual amino acids in P1' positions)

L13 ANSWER 15 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1998:65811 HCAPLUS
DN 128:136515
TI Bone resorption inhibitors
IN Aibe, Kazuhiko; Takebayashi, Yukihiro; Ishii, Yasutaka; Noshiro, Osamu; Noda, Ichio; Igarashi, Susumu
PA Yamanouchi Pharmaceutical Co., Ltd., Japan; Aibe, Kazuhiko; Takebayashi, Yukihiro; Ishii, Yasutaka; Noshiro, Osamu; Noda, Ichio; Igarashi, Susumu
SO PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9801133	A1	19980115	WO 97-JP2357	19970708
	W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9733596	A1	19980202	AU 97-33596	19970708
PRAI	JP 96-177955		19960708		
	WO 97-JP2357		19970708		

OS MARPAT 128:136515
GI



I

AB Drugs, in particular, bone resorption inhibitors contg. as the active ingredient compds. having selective cathepsin K inhibitory effects, among all, proline derivs. represented by general formula (I) or pharmaceutically acceptable salts thereof, wherein each symbol has the meaning as specified below: X: a moiety (except for the C-terminal carbonyl group) of an amino acid residue with its side chain optionally protected; R1: an amino-protective group; G: a glycine residue; n: 0 or 1; R3: a group inhibiting the activity of the SH group of cysteine protease; and R4: hydrogen, hydroxy or Ph.

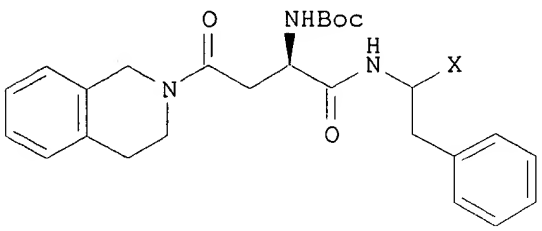
IT **202281-13-8P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(bone resorption inhibitors)

IT **202282-11-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(bone resorption inhibitors)

L13 ANSWER 16 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1997:511712 HCAPLUS
DN 127:121991
TI Preparation of D-amino acid derivatives as cysteine and serine protease inhibitors
IN Chatterjee, Sankar
PA Cephalon, Inc., USA
SO PCT Int. Appl., 124 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9721690	A1	19970619	WO 96-US18992	19961127
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2238175	AA	19970619	CA 96-2238175	19961127
	AU 9710253	A1	19970703	AU 97-10253	19961127

PRAI US 95-7651 19951128
US 96-755839 19961126
WO 96-US18992 19961127
OS MARPAT 127:121991
GI



II

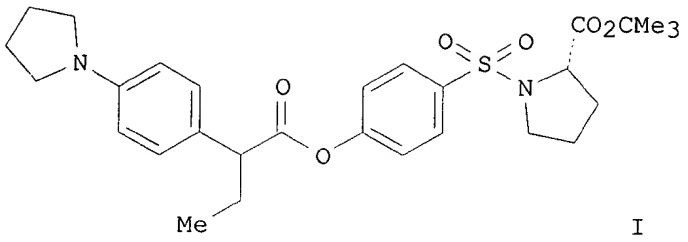
AB The title compds. R3R2NC*(Q)R4CONHCR5R1CW1W2Y [I; C* = D-configuration C; Q = GB(CHR20)q; R20 = H, C1-4 alkyl; q = 0-2; B = CO, SO, SO2, S, CH2, NH, O, a bond; G = aryl, heteroaryl, aralkyl, etc.; R1 = H, alkyl, aralkyl, etc.; R2 = COR6, SO2R6, etc.; R6 = aryl, heteroaryl, aralkyl, etc.; R3 = H, lower alkyl, aralkyl, etc.; R4, R5 = H, lower alkyl; W1, W2 = H, alkyl, alkoxy, aralkyl, etc.; Y = H, CONR10R11, CO2R10, etc.; R10, R11 = H, alkyl, aryl, etc.] are prepd. Methods for the use of I as protease inhibitors are also described. Thus, D-amino acid deriv. (II; X = CH2OH, Boc = Me3CO2C) (prepn. given) was oxidized by SO3-pyridine complex in the presence of Et3N to give the title compd. II (X = CHO) which showed IC50 of 24,000 nM against cathepsin L.

IT **192722-72-8P 192722-73-9P 192722-74-0P**
192722-90-0P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of D-amino acid derivs. as cysteine and serine protease inhibitors)

L13 ANSWER 17 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1997:390578 HCAPLUS
DN 127:5005
TI Preparation of sulfamoylphenyl alkanoates as elastase inhibitors
IN Nakae, Takahiko; Kato, Masashi; Fujita, Takehito; Kawabata, Kazuhito; Ohno, Hiroyuki
PA Ono Pharmaceutical Co., Ltd., Japan
SO Eur. Pat. Appl., 270 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 769498	A1	19970423	EP 96-307048	19960927
	R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 09165365	A2	19970624	JP 95-272058	19950927
	JP 09278742	A2	19971028	JP 96-271341	19960924
	JP 10251218	A2	19980922	JP 98-111630	19960924
	AU 9665837	A1	19970410	AU 96-65837	19960925
	NO 9604045	A	19970401	NO 96-4045	19960926
	CA 2186665	AA	19970328	CA 96-2186665	19960927
PRAI	JP 95-272058	19950927			
	JP 96-45663	19960224			
	JP 96-271341	19960924			

OS MARPAT 127:5005
GI



I

AB R1CR2R3CO2ZSO2NR5R6 [I; R1 = (un)substituted carbocyclic or heterocyclic ring; R2,R3 = H, halo, alkyl, Ph, etc.; R2R3 = alkylidene or atoms to complete a carbocyclic ring; R5,R6 = H, OH, alkyl, etc.; NR5R6 = heterocyclyl; Z = (un)substituted 1,4-phenylene] were prepd. Thus, (S)-4-(tert-butoxycarbonyl-1-pyrrolidinylsulfonyl)-2-methylphenol was esterified by 2-(4-pyrrolidinophenyl)butanoic acid (prepn. each given) to give title compd. II. Data for biol. activity of I were given.

IT **190252-08-5P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfamoylphenyl alkanoates as elastase inhibitors)

L13 ANSWER 18 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1997:239550 HCAPLUS
DN 126:305778
TI Peptides containing the sulfonamide junction: synthesis, structure, and conformation of Z-Tau-Pro-Phe-NHiPr
AU Calcagni, A.; Rossi, D.; Paradisi, M. Paglialunga; Lucente, G.; Luisi, G.; Gavuzzo, E.; Mazza, F.; Pochetti, G.; Paci, M.
CS Dip. Studi Farmaceutici, Univ. La Sapienza, Rome, 00185, Italy
SO Biopolymers (1997), 41(5), 555-567
CODEN: BIPMAA; ISSN: 0006-3525
PB Wiley
DT Journal
LA English
AB The taurine (Tau) contg. tripeptide deriv. Z-Tau-Pro-Phe-NHiPr (I) has been synthesized as suitable sulfonamido-pseudopeptide model to investigate formation and conformational properties of folded secondary structures stabilized by intramol. H bonds directly involving the sulfonamide junction. In the crystal the pseudopeptide I adopts a type 1 .beta.-turn with the Pro and Phe residues located at the (i + 1) and (i + 2) corner positions, resp. The turn is stabilized by a 4 .fwdarw. 1 H bond engaging one of the SO2 oxygen atoms and the isopropylamide NH. In CDCl3 soln. the .beta.-turn folding is accompanied by a .gamma.-turn centered at the Pro and involving a 3 .fwdarw. 1 H bond between the SO2 and the Phe NH. A comparison of the structural and conformational properties found in I with those of the already known sulfonamido-pseudopeptides, with particular ref. to the models contg. the Tau-Pro junction, is also reported.
IT **189256-03-9P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn., conformation, and crystal structure of taurine-contg. tripeptide)
IT **189256-04-0P 189256-05-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn., conformation, and crystal structure of taurine-contg. tripeptide)

L13 ANSWER 19 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1997:133869 HCAPLUS
DN 126:234874
TI Electrostatic as well as hydrophobic interactions are important for the

association of Cpn60 (groEL) with peptides
AU Hutchinson, Jonathan P.; Oldham, Timothy C.; El-Thaher, Talal S. H.; Miller, Andrew D.
CS Dep. of Chemistry, Imperial College of Science, Technology and Medicine, South Kensington, SW7 2AY, UK
SO J. Chem. Soc., Perkin Trans. 2 (1997), (2), 279-288
CODEN: JCPKBH; ISSN: 0300-9580
PB Royal Society of Chemistry
DT Journal
LA English
AB The interactions of groEL with five N-dansyl peptides were investigated by a fluorescence binding assay. The peptides studied (Bamph, Bhphil, Aamph, Ahphil, Namph) were designed and synthesized as systematic variants of each other in terms of their patterns of charge and hydrophobicity. Fluorescence data were analyzed using a fluorescence modified, y-reciprocal linearized form of the Benesi-Hildebrand equation which was derived from first principles and verified by theor. simulations. Under optimal conditions, apparent dissocn. consts., Kd, were obtained in the .mu.M range. At physiol. relevant ionic strengths, only two peptides (basic amphiphilic Bamph and neutral amphiphilic Namph) interacted with groEL while a third peptide (acidic amphiphilic Aamph) was able to interact but only at very high ionic strength (>1 molkg-1). Thermodn. (van't Hoff) anal. of the tightest binder, basic amphiphilic Bamph peptide, revealed endothermic binding and a large pos. entropy, .delta.S0bind, consistent with a mixed binding mode involving both hydrophobic and electrostatic interactions. At physiol. relevant ionic strengths, pos. charged amino acid residues appear to augment hydrophobic binding interactions with groEL and a peptide or partially folded protein substrate is certainly hydrophobic, electrostatic effects can modulate or even overwhelm this interaction.
IT **188446-53-9 188446-54-0 188446-55-1**
188446-56-2 188446-57-3
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(electrostatic as well as hydrophobic interactions are important for assocn. of Cpn60 (groEL chaperonin) with peptides)

L13 ANSWER 20 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1997:7564 HCAPLUS
DN 126:55103
TI Biological activity of analogs of the peptide hormone luliberin in the regulation of immune response of T Lymphocytes
AU Kazakova, T. B.; Burov, S. B.; Golovko, O. I.; Grishina, T. V.; Novikova, N. S.; Myul'berg, A. A.; Semko, T. V.; Korneva, E. A.
CS Nauchno-Issled. Med., RAMN, Moscow, Russia
SO Byull. Eksp. Biol. Med. (1996), 122(9), 334-337
CODEN: BEBMAE; ISSN: 0365-9615
PB Meditsina
DT Journal
LA Russian
AB The authors used the model system of frog oocytes, injected with recombinant DNA MIL2C or 4xPu, contg. the marker CAT gene under the control of the 2.2 kb promoter for the murine interleukin-2 (IL-2) gene or the tetra copy of the purine-rich element (from -292 to -246 nucleotide pairs), resp. Promoter activity was preliminarily blocked by introduction into the oocyte of the nuclear protein fraction from resting mouse spleen T-lymphocytes. Derepression of the IL-2 gene promoter was exhibited on injection into the oocyte nucleus or cytoplasm of the truncated 7-amino acid LH-RH analog (L1). Addn. into the medium of peptide L1 or another analog of LH-RH (L2) induced the activation of murine spleen T-lymphocytes in vitro and stimulated, as shown by dot-blot and in situ hybridization, the synthesis of IL-2 mRNA 2-3-fold greater than by Con A + rIL-2. Cytol. anal. of the cell culture showed that the presence in the medium of peptides L1 or L2 potentiated the process of differentiation of murine spleen T-cells. Apparently, the antitumor effect shown by the peptides may be connected with the stimulation of IL-2 synthesis.
IT **185321-83-9**

RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(biol. activity of LH-RH analogs in regulation of immune response of T Lymphocytes)

L13 ANSWER 21 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1996:206126 HCAPLUS
DN 124:251755
TI Subcutaneous injection of an analog of neuropeptide FF prevents naloxone-precipitated morphine abstinence syndrome
AU Malin, D. H.; Lake, J. R.; Smith, D. A.; Jones, J. A.; Morel, J.; Claunch, A. E.; Stevens, P. A.; Payza, K.; Ho, K. K.; et al.
CS University Houston, Houston, TX, 77058, USA
SO Drug Alcohol Depend. (1995), 40(1), 37-42
CODEN: DADEDV; ISSN: 0376-8716
DT Journal
LA English
AB There is evidence that neuropeptide FF (NPFF) has antiopiate activity and may play a role in opiate dependence and subsequent abstinence syndrome. A fragment of NPFF was modified at the C-terminal in an effort to convert it to an NPFF antagonist. It was also dansylated at the N-terminal in an effort to render it more lipophilic and increase its penetration of the blood-brain barrier. Third ventricle administration of the resulting compd., dansyl-PQRamide (0.75 .mu.g and 1 .mu.g), dose-dependently antagonized the quasi-morphine abstinence activity of NPFF (10 .mu.g) in opiate-naive rats. S.c. injection of dansyl-PQRamide (13 mg/kg) in chronically morphine-infused rats attenuated opiate dependence as indicated by prevention of naloxone-pptd. abstinence syndrome. Dansyl-PQRamide displaced radiolabeled ligand from NPFF receptors in a concn.-dependent manner with a Ki of 13 .mu.M, and had a half-life over 300 times longer than NPFF under aminopeptidase digestion.
IT **175297-56-0P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and prevention of morphine abstinence syndrome)

L13 ANSWER 22 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1995:891533 HCAPLUS
DN 123:333407
TI Purification and characterization of carboxypeptidase D, a novel carboxypeptidase E-like enzyme, from bovine pituitary
AU Song, Lixin; Fricker, Lloyd D.
CS Dep. Mol. Pharmacol., Albert Einstein Coll. Med., Bronx, NY, 10461, USA
SO J. Biol. Chem. (1995), 270(42), 25007-13
CODEN: JBCHA3; ISSN: 0021-9258
DT Journal
LA English
AB Carboxypeptidase E (CPE) is involved in the biosynthesis of most neuropeptides and peptide hormones. Until recently, CPE was the only intracellular carboxypeptidase thought to be involved in neuroendocrine peptide processing. However, the finding that fat/fat mice, which have a mutation within the CPE gene that inactivates the enzyme, are capable of a reduced amt. of insulin processing suggests that another carboxypeptidase is present within the secretory pathway. The authors have detected a CPE-like enzyme, designated CPD, which has many properties in common with those of CPE. Like CPE, CPD is a metallocarboxypeptidase that has a pH optimum of 5.5-6. The Km and Kcat values for a series of short peptide substrates show only minor differences between CPD and CPE. Several active site-directed inhibitors also show generally similar potency toward the two enzymes, although guanidinoethylmercaptosuccinic acid is approx. 10-fold more potent, and hippuryl-Arg is approx. 100-fold more potent as an inhibitor of CPD than of CPE. A major difference between the two enzymes is the mol. masses; CPE is 50,000-56,000, whereas CPD is approx. 180,000. Also, CPD does not elute from a substrate affinity column when the pH is raised to 8, which elutes CPE, although CPD can subsequently be eluted by arginine. Both CPE and CPD are present in purified bovine

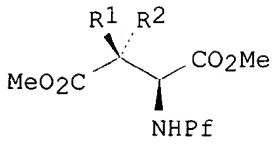
anterior pituitary secretory vesicles, but the tissue distribution of CPD is more uniform than that of CPE. Antisera to the N- and C-terminal regions of CPE do not recognize CPD. The partial N-terminal amino acid sequence of bovine CPD shows 30-40% homol. with an N-terminal region of bovine and rat CPE and 70% homol. with a duck protein known as gp180, a hepatitis B virus particle binding protein that shows 47% homol. to CPE. Taken together, these results suggest that CPD is a novel secretory pathway enzyme that may be the bovine homolog of gp180.

IT **87687-43-2**
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(purifn. and characterization of carboxypeptidase D, novel carboxypeptidase E-like enzyme, from bovine pituitary)

L13 ANSWER 23 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1995:864338 HCAPLUS
DN 123:279333
TI Is the glycogen synthase analog C1-peptide a suitable fluorescent substrate for routine measurements of protein kinase C?
AU Erdbruegger, Wilhelm; Strohm, Peter; Michel, Martin C.
CS Department of Medicine, University of Essen, Essen, 45122, Germany
SO Cell. Signalling (1995), Volume Date 1995, 7(6), 635-42
CODEN: CESIEY; ISSN: 0898-6568
DT Journal
LA English
AB The authors have compared a new com. available non-radioactive protein kinase C (PKC) activity assay based on the fluorescent [A9,10K11]glycogen synthase 1-11 analog C1-peptide with a classical radioactive assay based on myelin basic protein4-14 (MBP4-14) and other substrates. The C1-peptide had lower affinity for PKC from rat brain than substrates such as MBP4-14, [S25]PKC.alpha.19-31, and [A9,10K11,12]glycogen synthase 1-12. The sensitivity of the C1-peptide-based assay was considerably lower than that of the MBP4-14-based assay. The C1-peptide was readily degraded in an ATP-independent manner by crude and DEAE-column chromatog.-purified cytosolic exts. from rat brain, rat kidney, SK-N-MC and L929 cells. In rat kidney this degrdn. was not prevented by many common protease inhibitors. Phenylsepharose column chromatog. sepd. the C1-peptide degrading activity from PKC. The authors conclude that the C1-peptide-based fluorescent PKC assay is applicable to highly purified PKC prepns. but has low sensitivity and is not applicable to crude exts. due to substrate degrdn.

IT **149901-74-6**
RL: ARG (Analytical reagent use); BPR (Biological process); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)
(glycogen synthase analog C1-peptide as a suitable fluorescent substrate for routine measurements of protein kinase C)

L13 ANSWER 24 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1995:215838 HCAPLUS
DN 122:31909
TI On the Stereoselectivity of the Reaction of N-(9-Phenylfluoren-9-yl)aspartate Enolates with Electrophiles. Synthesis of Enantiomerically Pure 3-Hydroxy-, 3-Amino-, and 3-Hydroxy-3-methylaspartates
AU Fernandez-Megia, Eduardo; Paz, Manuel M.; Sardina, F. Javier
CS Departamento de Quimica Organica, Universidad de Santiago de Compostela, Santiago de Compostela, 15706, Spain
SO J. Org. Chem. (1994), 59(25), 7643-52
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
OS CASREACT 122:31909; CJACS
GI

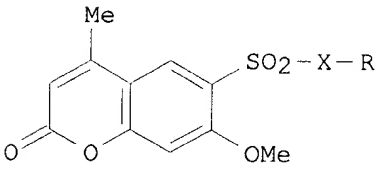


I

AB Efficient and stereoselective prepns. of enantiomerically pure protected (3R)- and (3S)-3-hydroxy- and 3-aminoaspartates I (Pf = 9-phenyl-9-fluorenyl; R1 = H, R2 = OH, NH2; R1 = OH, NH2, R2 = H) by reaction of protected aspartate enolates with electrophilic hydroxylating or aminating reagents were developed. The stereoselectivity of the hydroxylation and amination reactions was dependent on the structure of the enolate (which is strongly affected by the presence of strong metal complexing agents) and whether the electrophile is able to complex the enolate counterion in the transition state of the reaction. A regioselective prepn. of enantiomerically pure protected 3-hydroxy-3-methylaspartates I (R1 = Me, R2 = OH; R1 = OH, R2 = Me) was also developed, albeit with only modest stereoselectivity.

IT **159434-66-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(stereoselective electrophilic hydroxylation and amination of (phenylfluorenyl)aspartate enolates)

L13 ANSWER 25 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1995:136611 HCAPLUS
DN 122:161309
TI Synthesis and antimicrobial activity of some new 7-methoxy-4-methylcoumarin-6-sulfonylamino acid derivatives
AU Ibrahim, T M; Ahmed, F S M; Shedid, S A
CS Faculty Science, Al-Azhar University, Nasr, Egypt
SO Proc. Indian Natl. Sci. Acad., Part A (1994), 60(2), 433-9
CODEN: PIPSBD; ISSN: 0370-0046
DT Journal
LA English
GI



I

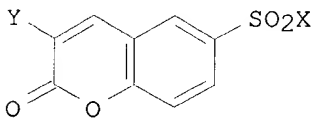
AB Title compds. I [X = amino acid, dipeptide; R = OH, OMe, NHNH2] were prepd. from the sulfonyl chloride and amino acid, amino ester, or dipeptide.. The amino acid derivs., but not the peptide derivs., have bactericidal activity.

IT **161256-08-2P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antimicrobial activity of some new methoxy(methyl)coumarinsulfonylamino acid derivs.)

L13 ANSWER 26 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1995:36716 HCAPLUS
DN 122:133772
TI Azasulfonamidopeptides as peptide bond hydrolysis transition state analogs. Part 2. Potential HIV-1 proteinase inhibitor
AU Cheeseright, Timothy J.; Daenke, Susan; Elmore, Donald T.; Jones, John H.
CS Dyson Perrins Lab., Univ. Oxford, Oxford, OX1 3QY, UK

SO J. Chem. Soc., Perkin Trans. 1 (1994), (14), 1953-5
CODEN: JCPRB4; ISSN: 0300-922X
DT Journal
LA English
AB The synthesis of Z-Asn-NHN(CH2Ph)SO2-Pro-Ile-Val-OMe (I; Z = PhCH2O2C), a potential HIV-1 proteinase inhibitor, is described. Thus, Boc-Asn(Trt)-NHNHCH2Ph (Boc = Me3CO2C; Trt = trityl) was coupled with ClSO2-Pro-OCH2Ph to give azasulfonamido peptide Boc-Asn(Trt)-NHN(CH2Ph)SO2-Pro-OCH2Ph, which was further elaborated to I by std. methods. I inhibited the activity of recombinant HIV-1 proteinase in a peptide cleavage assay. with Ki = 27.1 .+-.7.7 .mu.M.
IT **161001-55-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and HIV-1 proteinase inhibitory activity of)
IT **161001-60-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and detritylation of)
IT **161001-57-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and protective group exchange of)

L13 ANSWER 27 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1995:33825 HCAPLUS
DN 122:31870
TI Synthesis and studies of some new 3-substituted coumarin derivatives
AU Ibrahim, Tarek M.; Ahmed, Fayek S. M.; Shedid, Said A.
CS Fac. Sci., Al-Azhur Univ., Nasr, Egypt
SO Phosphorus, Sulfur Silicon Relat. Elem. (1994), 86(1-4), 263-8
CODEN: PSSLEC; ISSN: 1042-6507
DT Journal
LA English
GI



I

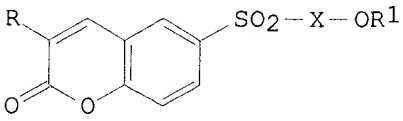
AB The prepn. of different 3-acetamido-coumarin-6-sulfonylamino acids I (X = amino acid, dipeptide group; Y = NHCOMe, NH2, OH) was described. All the 3-amino or 3-hydroxycoumarin-6-sulfonylamino acid derivs. I (Y = NH2; X = amino acid group) and I (Y = OH; X = amino acid group) possess remarkable antimicrobial properties towards different microorganisms; the other I were inactive.
IT **156773-57-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antimicrobial agent)

L13 ANSWER 28 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1995:21280 HCAPLUS
DN 122:10540
TI Azasulfonamidopeptides as peptide bond hydrolysis transition state analogs. Part 1. Synthetic approaches
AU Cheeseright, Timothy J.; Edwards, Alison J.; Elmore, Donald T.; Jones, John H.; Raissi, Maryam; Lewis, Elsa C.
CS Dyson Perrins Lab., Univ. Oxford, Oxford, OX1 3QY, UK
SO J. Chem. Soc., Perkin Trans. 1 (1994), (12), 1595-600
CODEN: JCPRB4; ISSN: 0300-922X
DT Journal
LA English
OS CASREACT 122:10540
AB The title compds., a novel class of peptide analogs in which an .alpha.-amino acid residue is replaced by a hydrazine-1,2-diylsulfonyl

group -NHNRSO2-, are of potential interest as proteinase inhibitors. Synthetic approaches to such compds. and the x-ray mol. structures of two examples, AcNHN(CH2Ph)SO2-Gly-OMe and BocNHNHSO2-Pro-OCH2Ph, are reported.

IT **159525-99-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L13 ANSWER 29 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1994:509633 HCAPLUS
DN 121:109633
TI Synthesis and studies of some new 3-substituted coumarin derivatives
AU Ibrahim, Tarek M.; Ahmed, Fayek S. M.; Shedid, Said A.
CS Fac. Sci., Al-Azhar Univ., Nasr, Egypt
SO Sulfur Lett. (1994), 17(2), 101-9
CODEN: SULED2; ISSN: 0278-6117
DT Journal
LA English
GI

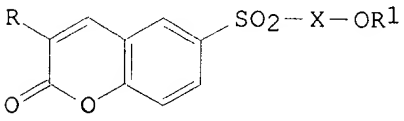


I

AB The synthesis of different 3-acetamidocoumarin-6-sulfonylamino acids I (R = AcNH, X = .beta.-Ala, Pro, Leu, Met, Phe, R1 = H), the corresponding Me esters I (R1 = Me), dipeptides I (R = AcNH, X = .beta.-Ala-Gly, Pro-Ser, Phe-Val, Leu-Tyr, Met-Phe, R1 = Me), and some related 3-amino- or 3-hydroxy derivs. I (R = H2N, HO) are described. All derivs. I (R = H2N, HO) possess remarkable antimicrobial properties towards different microorganisms.

IT **156773-57-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L13 ANSWER 30 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1994:509623 HCAPLUS
DN 121:109623
TI Synthesis and studies of some new 3-substituted coumarin derivatives
AU Ibrahim, Tarek M.; Ahmed, Fayek S. M.; Shedid, Said A.
CS Fac. Sci., Al-Azhar Univ., Nasr, Egypt
SO Bol. Soc. Quim. Peru (1993), 59(3), 135-41
CODEN: BSQPAQ; ISSN: 0037-8623
DT Journal
LA English
GI



I

AB The synthesis of different 3-acetamidocoumarin-6-sulfonylamino acids I (R = AcNH, X = .beta.-Ala, Pro, Leu, Met, Phe, R1 = H), the corresponding Me esters I (R1 = Me), dipeptides I (R = AcNH, X = .beta.-Ala-Gly, Pro-Ser, Phe-Val, Leu-Tyr, Met-Phe, R1 = Me), and some related 3-amino- or 3-hydroxy derivs. I (R = H2N, HO) are described. All derivs. I (R = H2N, HO) possess remarkable antimicrobial properties towards different microorganisms.

IT **156773-57-8P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L13 ANSWER 31 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1994:46125 HCAPLUS
DN 120:46125
TI Neuropeptide FF receptors: Structure-activity relationship and effect of morphine
AU Payza, Kemal; Akar, Candan A.; Yang, Hsiu Ying T.
CS Natl. Inst. Ment. Health Neurosci. Cent., St. Elizabeth's Hosp., Washington, DC, 20032, USA
SO J. Pharmacol. Exp. Ther. (1993), 267(1), 88-94
CODEN: JPETAB; ISSN: 0022-3565
DT Journal
LA English
AB Neuropeptide FF (FLFQPQRFamide, NPFF) is an octapeptide implicated in morphine analgesia, tolerance and dependence. Many of the behavioral effects of NPFF have also been obsd. with the invertebrate neuropeptide Phe-Met-Arg-Phe-amide (FMRFamide), which binds to NPFF receptors because of its low homol. to the C-terminal portion of NPFF. A competitive ligand binding assay was used to characterize NPFF receptors in rat spinal cord and a strong requirement was found for the C-terminal Arg-Phe-amide. It was found that FMRFamide (Ki = 1.8 nM) bound with lower affinity than NPFF (0.26 nM) but it was about 7-fold more potent than PQRFamide (12 nM). This finding explains the similar bioactivities of NPFF and FMRFamide. The Gln2 appeared to be the cause of the relatively low potency of PQRFamide, based on the binding specificity of NPFF receptors for a series of FMRFamide analogs. In contrast to the Arg-Phe-amide, substitutions at the first and second positions of FMRFamide were generally tolerated, with the most potent analogs being PMRFamide (Ki = 0.54 nM), FFRFamide (0.25 nM) and FWRFamide (0.42 nM). Among the most potent ligands was a pentapeptide contg. a photoreactive Phe analog, D-Tyr-(p-benzoyl-Phe)-Nle-Arg-Phe-amide (Ki = 0.23 nM). It was found that dansyl-PQRFamide and dansyl-RFamide also bound to NPFF receptors with Ki values of 6.1 and 73 nM, resp. The radioligand binding and G-protein coupling of NPFF receptors were not altered by chronic morphine treatment.
IT **151870-87-0**
RL: PROC (Process)
(binding of, by neuropeptide FF receptors of spinal cord, structure in relation to)

L13 ANSWER 32 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1994:25486 HCAPLUS
DN 120:25486
TI Subcutaneous injection of an analog of neuropeptide FF precipitates morphine abstinence syndrome
AU Malin, David H.; Lake, J. Ronald; Arcangeli, K'Anne R.; Deshotel, Karen D.; Hausam, David D.; Witherspoon, Wendi E.; Carter, Victoria A.; Yang, Hsiu Ying T.; Pal, Biman; Burgess, Kevin
CS Univ. Houston, Clear Lake, Houston, TX, 77058, USA
SO Life Sci. (1993), 53(17), PL261-PL266
CODEN: LIFSAK; ISSN: 0024-3205
DT Journal
LA English
AB Neuropeptide FF (NPFF) has been shown to exert various antiopiate actions, including pptn. of opiate abstinence syndrome by third ventricle injection in morphine dependent rats. In the present study, dansyl-Pro-Gln-Arg-Phe-amide, a lipophilic analog of NPFF, was injected into morphine dependent rats and appropriate sham controls at a dose of 9 mg/kg, s.c. Comparison groups were injected with ethanol/water vehicle alone. The NPFF analog pptd. a vigorous opiate abstinence syndrome in morphine dependent rats, but not in sham controls.
IT **151870-87-0**, Dansyl-Pro-Gln-Arg-Phe-amide
RL: BIOL (Biological study)
(morphine abstinence syndrome induction by, in dependent situation)

L13 ANSWER 33 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1993:626427 HCAPLUS
DN 119:226427
TI Peptide aldehydes as antithrombotic agents
IN Balasubramanian, Neelakantan; St. Laurent, Denis R.
PA Bristol-Myers Squibb Co., USA
SO Eur. Pat. Appl., 55 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 526877	A2	19930210	EP 92-113284	19920804
	EP 526877	A3	19930407		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CA 2075154	AA	19930207	CA 92-2075154	19920731
	JP 07242616	A2	19950919	JP 92-206713	19920803
	US 5380713	A	19950110	US 94-226219	19940411
PRAI	US 91-741023		19910806		

OS CASREACT 119:226427; MARPAT 119:226427
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Arginine aldehydes I [R1 and R2 = H or COR [R = H, lower alkyl, benzyl, CH(OAc)Me]; R3 and R4 = H, lower alkyl, benzyl, (un)substituted Ph, (un)substituted C3-7 cycloalkyl; R3R4 = (un)substituted C3-7 cycloalkyl; R3R4 = Ph or arom. ring; R5 = H or lower alkyl; R3R5 or R4R5 may be linked together to form a heterocyclic ring with 3 to 7 carbon atoms; R7 = CHO, CH2OH, CO2H; X = CO, (CH2)m, SO2; Y = (CH2)m, CH2CHNHR8, CHNHR8 [R8 = lower alkyl, benzyl, R1 and R2 as described above, SOR9 where R9 = lower alkyl, C3-7 cycloalkyl, (un)substituted Ph or (un)substituted naphthyl]; R6 = (CH2)m R10 (R10 = Ph, pyridyl, thiophenyl, naphthyl, quinolinyl or C3-7 cycloalkyl); n = -1, -2, 0, 1, 2, 3, 4; m = 0, 1, 2] were prepd. as antithrombotic agents and trypsin inhibitors. Thus, Boc-L-Arg-OH.HCl (Boc = Me3CO2C) was treated with benzyl chloroformate in the presence of Et3N in THF to give 21.6% lactam II (Z = PhCH2O2C, R11 = Boc), which was Boc-deblocked by HCl in CH2Cl2 and EtOAc to give 97% II.2HCl (R11 = H). The latter was coupled with N-[3-(3-pyridyl)propanoyl]-L-proline by diphenylphosphoryl azide in the presence of Et3N in DMF to give 33% dipeptide lactam III, which was reduced by LiAlH4 in THF to give 57% arginine aldehyde IV (R12 = Z), which was Z-deblocked by hydrogenolysis over Pd/C to give IV.2HCl (R12 = H). Antithrombotic and trypsin-inhibiting activities are given for many tile compds.

IT **150729-18-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and deblocking of)

IT **150729-20-7P 150729-47-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antithrombotic agent)

L13 ANSWER 34 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1993:554888 HCAPLUS
DN 119:154888
TI Non-radioactive enzyme assay for kinases, phosphatases, and proteases
IN Shultz, John W.; White, Douglas H.
PA Promega Corp., USA
SO PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9310461	A1	19930527	WO 92-US9595	19921112
	W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, PL, RO, RU, SD, SE				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
	AU 9331294	A1	19930615	AU 93-31294	19921112
	JP 07501444	T2	19950216	JP 92-509337	19921112
	EP 646242	A1	19950405	EP 92-925108	19921112
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE				
	NO 9401781	A	19940629	NO 94-1781	19940511
PRAI	US 91-791928	19911112			
	WO 92-US9595	19921112			

AB Modified peptide substrate prepd. by labeling the substrates with a detector segment or modification tag such as dansyl are used for non-radioactive assay of the described enzymes. The method comprises incubation of the modified peptide with an (un)pure enzyme sample of interest, sepn. of the product peptide by e.g. gel electrophoresis, and measuring the product peptide by e.g. fluorescence. The method is rapid and highly sensitive. Prepn. of 11 modified peptide substrates for fluorescenct and photometric assay of cAMP-dependent protein kinase, protein kinase C, modified trypsin, endoprotease C, etc., was shown.

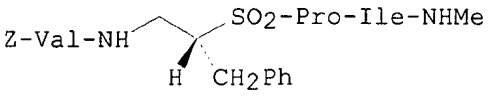
IT **149901-70-2 149901-74-6**
RL: ANST (Analytical study)
(for non-radioactive detn. of kinase and/or phosphatase and/or protease)

L13 ANSWER 35 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1993:554667 HCAPLUS
DN 119:154667
TI Transglutaminases catalyze cross-linking of plasminogen to fibronectin and human endothelial cells
AU Bendixen, Emoke; Borth, Wolfgang; Harpel, Peter C.
CS Dep. Med., Mount Sinai Sch. Med., New York, NY, 10029, USA
SO J. Biol. Chem. (1993), 268(29), 21962-7
CODEN: JBCHA3; ISSN: 0021-9258
DT Journal
LA English
AB Apolipoprotein (a) is a substrate for transglutaminases. Here it is reported that plasminogen, which is homologous to apolipoprotein (a), is also modified by these enzymes. Transglutaminases from different sources mediated the incorporation of monodansyl-cadaverine into plasminogen, indicating the presence of reactive glutamine(s) in plasminogen. Reactive lysines were also identified using the lysine-decorating peptide dansyl-PGGQQIV. In addn., transglutaminases catalyzed the formation of plasminogen homopolymers and plasminogen-fibronectin heteropolymers. Human umbilical vein endothelial cells cross-linked plasminogen into high mol. mass aggregates. Cross-linked plasminogen was cell assocd., and no crosslinking of plasminogen was seen in the fluid-phase. Large mol. mass plasminogen generated on the human umbilical vein endothelial cell (HUVEC) surface could not be eluted with .epsilon.-aminocapoic acid and was activatable by tissue plasminogen activator. These results suggest that, following non-covalent assocn. of plasminogen with the HUVEC surface, cell surface-assocd. transglutaminase catalyzes crosslinking of plasminogen into large mol. mass aggregates that can be converted into functional plasmin. It is proposed that transglutaminases may function to localize plasminogen to cell surfaces and matrixes of tissues.

IT **132686-26-1**
RL: RCT (Reactant)
(crosslinking of, with plasminogen by plasma and tissue transglutaminases of human and lab. animal)

L13 ANSWER 36 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1993:428570 HCAPLUS
DN 119:28570

TI Synthesis of peptides containing a sulfinamide or a sulfonamide transition-state isostere
AU Moree, Wilna J.; Van Gent, Liesbeth C.; Van der Marel, Gijs A.; Liskamp, Rob M. J.
CS Gorlaeus Lab., Univ. Leiden, Leiden, 2300 RA, Neth.
SO Tetrahedron (1993), 49(5), 1133-50
CODEN: TETRAB; ISSN: 0040-4020
DT Journal
LA English
OS CASREACT 119:28570
GI



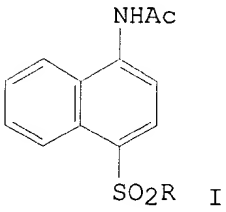
I

AB A versatile synthesis of peptides incorporating sulfinamide or sulfonamide transition state analogs is described. Apart from the easily accessible Gly-X isosteres used as haptens to elicit catalytic antibodies, amino acids other than Gly can be prepd. by .alpha.-alkylation of the sulfonamide-contg. peptides. This is illustrated with the synthesis of a potential HIV-protease inhibitor I (Z = PhCH2O2C).
IT **148200-74-2P 148261-17-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and peptide coupling of, with valine deriv.)
IT **134019-79-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
IT **148200-75-3P 148261-18-1P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as HIV protease inhibitor)
IT **134019-78-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., deblocking, and peptide coupling of, with alanine deriv.)
IT **148200-85-5P 148261-19-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., deblocking, and sepn. of, from diastereomer)
IT **148200-73-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn., deprotonation, and benzylation of)

L13 ANSWER 37 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1993:163912 HCAPLUS
DN 118:163912
TI Peculiarities of catalytic effect of .gamma.-thrombin on synthetic low-molecular peptide substrates
AU Shvachko, L. P.; Poyarkova, S. A.; Kostyuchenko, N. V.; Kibirev, V. K.
CS Inst. Bioorg. Khim. Neftekhim., Kiev, Ukraine
SO Ukr. Biokhim. Zh. (1992), 64(4), 34-7
CODEN: UBZHD4; ISSN: 0201-8470
DT Journal
LA Russian
AB Catalytic parameters of hydrolysis of ester peptide substrates that contain residues of hydrophobic and nonpolar amino acids in P2, P3 subsites have been studied. It is shown that efficiency of hydrolysis by thrombin is detd. by the length of polypeptide chains and by the nature of the amino acids in P2, P3 subsites of the substrate. In spite of the fact that .gamma.-thrombin retains the active conformation of the catalytic center, the local conformation changes of the second binding region of the enzyme have been discovered.
IT **126077-78-9**
RL: RCT (Reactant)

(reaction of, with .gamma.- and .alpha.-thrombin of human, kinetics of, structure in relation to)

L13 ANSWER 38 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1993:143256 HCAPLUS
DN 118:143256
TI Synthesis and antimicrobial activity of some new 1-acetylaminonaphthalene-4-sulfonylamino acid and dipeptide derivatives
AU El-Sayed, Ragab A.; Khalaf, N. S.; Kota, F. A.; El-Hakim, M. H.
CS Fac. Sci., Al-Azhar Univ., Nasr, Egypt
SO Proc. Indian Natl. Sci. Acad., Part A (1992), 58(4), 389-96
CODEN: PIPSBD; ISSN: 0370-0046
DT Journal
LA English
GI



I

AB The synthesis of different 1-acetylaminonaphthalene-4-sulfonylamino acids (I, R = amino acid radical) and some of their corresponding Me ester and hydrazides is described. Coupling of I with amino acid Me ester hydrochloride in THF-Et3N medium using the carbodiimide method furnishes the desired dipeptide Me esters. Hydrazinolysis of the dipeptide Me esters gave the corresponding hydrazides. Most of the compds. were found to possess specific antimicrobial activities against a no. of bacteria.
IT **146233-90-1P 146233-94-5P 146233-98-9P**
146234-03-9P 146234-07-3P 146234-11-9P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antibacterial activity of, structure in relation to)

L13 ANSWER 39 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1993:34637 HCAPLUS
DN 118:34637
TI Synthesis and characterization of some collagen sequence analogs
AU Botyanszki, Janos; Bodi, Jozsef; Kajtar, Judit; Ragnarsson, Ulf; Pogany, Gabor; Jeney, Andras; Suli-Vargha, Helga
CS Res. Group Peptide Chem., Hung. Acad. Sci., Budapest, H-1518, Hung.
SO Biochem. Int. (1992), 27(3), 525-34
CODEN: BIINDF; ISSN: 0158-5231
DT Journal
LA English
AB Some analogs of natural collagen sequences (773-779) were synthesized. The peptides were hydrolyzed at the Gly-Ile bond not only by crude collagenase isolated from normal rat liver, but also by the bacterial Clostridium histolyticum collagenase. The reason for the unusual cleavage site in the latter case may lie in the unordered secondary structure of the substrates measured by CD spectroscopy.
IT **102839-04-3P 130778-90-4P 130778-92-6P**
145152-94-9P 145152-95-0P 145152-96-1P
145179-70-0P 145179-71-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrolysis of, by collagenase, collagen hydrolysis in relation to)

L13 ANSWER 40 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1992:611689 HCAPLUS
DN 117:211689
TI Optical resolution of racemic amine derivatives
IN Gamo, Keiji
PA Nippon Kayaku Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

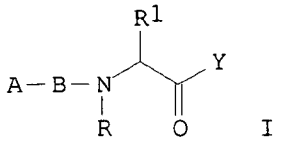
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04154732	A2	19920527	JP 90-277791	19901018

AB Racemic amines are optical resoluted by treating with dansyl-L-proline (I), sepn. of the obtained amides by chromatog., then detection by fluorometry. Amidation of DL-alanine with I in DMF in the presence of di-Et cyanophosphate gave a reaction mixt., which was fluorescence detected by high-speed liq. chromatog. using aq. MeOH as eluent to give amide derivs. of L- and D-alanine at sepn. factor of 1.12.
IT **25841-36-5 144055-09-4 144055-10-7 144055-18-5**
RL: PROC (Process)
(sepn. of, by fluorescence chromatog.)

L13 ANSWER 41 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1992:551397 HCAPLUS
DN 117:151397
TI Preparation of peptides as kininogenase inhibitors.
IN Szelke, Michael; Evans, David Michael; Jones, David Michael
PA Ferring Peptide Research Partnership KB, Swed.
SO PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9204371	A1	19920319	WO 91-GB1479	19910902
W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MC, MG, MN, MW, NL, NO, PL, RO, SD, SE, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
AU 9184387	A1	19920330	AU 91-84387	19910902
HU 64084	A2	19931129	HU 93-610	19910902
JP 06501461	T2	19940217	JP 91-514802	19910902
EP 652893	A1	19950517	EP 91-915557	19910902
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 9107096	A	19920429	ZA 91-7096	19910906
NO 9300731	A	19930507	NO 93-731	19930226
PRAI GB 90-19558		19900907		
WO 91-GB1479		19910902		

OS MARPAT 117:151397
GI



AB The title compds. [I; R = H, alkyl; R1 = basic amino acid side chain; A = terminal amino acyl, terminal imino acyl; B = D- or L- amino acid residue; Y = binding enhancing or carbonyl activating group preferably selected

from H, alkyl, fluoroalkyl, etc.; with provisos], useful as kininogenase inhibitors (no data), are prepd. BOC-Arg(Z)2-OH (Z = benzyloxycarbonyl) was condensed with ClCO2Bu-i, the product was deprotected and then condensed with BOC-Cha-ONSu (Cha = 3-cyclohexylphenylalanine residue), the product was deprotected and then reacted with Z(NMe)-D-Phe-OH, the product was treated with Dess Martin Periodinane, and the product was hydrogenated over Pd/C to give MeD-Phe-Cha-Arg-H.

IT **143127-51-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as kininogenase inhibitor)

L13 ANSWER 42 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1991:424938 HCAPLUS
DN 115:24938
TI Sorting-out of acceptor-donor relationships in the transglutaminase-catalyzed cross-linking of crystallins by the enzyme-directed labeling of potential sites [Erratum to document cited in CA114(15):138651s]
AU Lorand, L.; Parameswaran, K.; Velasco, P. T.
CS Dep. Biochem., Mol. Biol. Cell Biol., Northwestern Univ., Evanston, IL, 60208, USA
SO Proc. Natl. Acad. Sci. U. S. A. (1991), 88(7), 2967
CODEN: PNASA6; ISSN: 0027-8424
DT Journal
LA English
AB Errors in Figure 1 have been cor. The errors were not reflected in the abstr. or the index entries.
IT **132686-26-1**
RL: BIOL (Biological study)
(crystallin crosslinking of transglutaminase inhibition by, crystallin acceptor site in relation to (Erratum))

L13 ANSWER 43 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1991:247732 HCAPLUS
DN 114:247732
TI Peptides containing a sulfinamide or a sulfonamide moiety: new transition-state analogs
AU Moree, W. J.; Van der Marel, G. A.; Liskamp, R. M. J.
CS Gorlaeus Lab., Univ. Leiden, Leiden, 2300 RA, Neth.
SO Tetrahedron Lett. (1991), 32(3), 409-12
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
OS CASREACT 114:247732
AB A versatile synthesis of two new types of transition-state analogs of the amide bond hydrolysis is described: the sulfinamide and the sulfonamide moiety. These transition-state analogs are part of peptides which will be used for the generation of catalytic antibodies as well as for development of protease inhibitors. Thus, (BocNHCH2CH2S)2 (Boc = Me3CO2C) was treated with 3 equivs. Cl2 and 2 equivs. Ac2O to give BocNHCH2CH2SOCl. The latter was treated with H-Pro-Gly-NHMe to give BocNHCH2CH2SO-Pro-Gly-NHMe, which was oxidized with NaIO4/RuCl3 to give BocNHCH2CH2SO2-Pro-Gly-NHMe. The latter was Boc-deblocked and then coupled with Boc-Ala-OH to give Boc-Ala-NHCH2CH2SO2-Pro-Gly-NHMe.
IT **134019-78-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and sequential deblocking and peptide coupling reaction of)
IT **134019-79-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L13 ANSWER 44 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1991:138651 HCAPLUS
DN 114:138651
TI Sorting-out of acceptor-donor relationships in the transglutaminase-catalyzed cross-linking of crystallins by the enzyme-directed labeling of potential sites

AU Lorand, L.; Parameswaran, K. N.; Velasco, P. T.
CS Dep. Biochem., Mol. Biol. Cell Biol., Northwestern Univ., Evanston, IL, 60208, USA
SO Proc. Natl. Acad. Sci. U. S. A. (1991), 88(1), 82-3
CODEN: PNASA6; ISSN: 0027-8424
DT Journal
LA English
AB The dansyl-conjugated (Dns) peptides Dns-Pro-Gly-Gly-Gln-Gln-Ile-Val and Dns-Ala-Gln-Gln-Ile-Val, patterned on the N-terminal sequence of fibronectin, were synthesized and used for the transglutaminase (EC 2.3.2.13)-directed selective blocking of lens proteins that otherwise might participate in donating lysyl side chains in forming N.epsilon.-(.gamma.-glutamyl)lysine cross-linked oligomers and polymers. Labeling profiles with these peptides could be readily visualized by fluorescence as well as by immunoblotting with anti-dansyl antibody. The labeling patterns in rabbit lens homogenates were quite different with the dansylated peptides than those obtained with dansylcadaverine. Use of such glutamine-contg. dansylated peptides should clearly aid in identifying, isolating, and sequencing potential donor substrates of transglutaminases in many biol. systems.
IT **132686-26-1**
RL: BIOL (Biological study)
(crystallin crosslinking by transglutaminase inhibition by, crystallin acceptor site in relation to)

L13 ANSWER 45 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1991:2883 HCAPLUS
DN 114:2883
TI Matrix-assisted laser desorption of peptides in transmission geometry
AU Vertes, Akos; Balazs, Laszlo; Gijbels, Renaat
CS Dep. Chem., Univ. Antwerp, Wilrijk, B-2610, Belg.
SO Rapid Commun. Mass Spectrom. (1990), 4(7), 263-6
CODEN: RCMSEF; ISSN: 0951-4198
DT Journal
LA English
AB The possibility of performing matrix-assisted laser desorption expts. in transmission geometry is demonstrated for two neuropeptides (substance P and bombesin), for six analogs of the MSH core and for collagenase enzyme substrates. Pos.- and neg.-ion spectra of several peptides are produced without the presence of a metallic substrate. Cationized quasi-mol. ions are abundant in the pos. spectra. Peak broadening in the high-mass range can be the consequence of overlapping mol. and adduct ions. The presence of synthesis byproducts can be identified readily from the spectra. Ultimately, picogram detection limits are possible for important bioactive peptides and other large mols. Because of the clearly demonstrated matrix-assisted laser ionization in a homogeneous environment, metal substrate participation in the volatilization mechanism seems less likely.
IT **130778-91-5 130778-93-7**
RL: PRP (Properties)
(mass spectrometry of, matrix-assisted laser desorption)

L13 ANSWER 46 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1990:612644 HCAPLUS
DN 113:212644
TI Selective alkaline protease catalyzed hydrolysis of peptide esters
AU Chen, Shui Tein; Chang, Chung Ho; Lin, Johnson; Wang, Kung Tsung
CS Grad. Inst. Biochem. Sci., Natl. Taiwan Univ., Taipei, Taiwan
SO J. Chin. Chem. Soc. (Taipei) (1990), 37(3), 299-305
CODEN: JCCTAC; ISSN: 0009-4536
DT Journal
LA English
AB Procedures for prepg. C-terminal free peptides from hydrolysis of the corresponding Me or benzyl esters catalyzed by alk. protease has been developed. N-protected peptides having side-chain ester protecting groups or successive hydrophobic amino acid residues in its sequence are hydrolyzed selectively at the C-terminal only, and other bonds (.beta. and

.gamma.-ester or peptide bonds) are left intact. Compds. which cause side reactions in base-mediated sapon. could be hydrolyzed safely by this procedure. Products of this hydrolysis are useful intermediates for fragment couplings in solid phase peptide synthesis.

IT **130240-42-5**
RL: RCT (Reactant)
(hydrolysis of, in the presence of alcalase, protected C-terminal free peptide from)

IT **130240-61-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, by selective hydrolysis of peptide ester)

L13 ANSWER 47 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1990:607344 HCAPLUS
DN 113:207344
TI Fluorescent oligopeptide substrates for kinetic characterization of the specificity of Astacus protease
AU Stoecker, Walter; Ng, Michael; Auld, David S.
CS Inst. Zool., Univ. Heidelberg, Heidelberg, D-6900, Fed. Rep. Ger.
SO Biochemistry (1990), 29(45), 10418-25
CODEN: BICHAW; ISSN: 0006-2960
DT Journal
LA English
OS CJACS
AB The design of fluorescent N-dansylated oligopeptides based on the tubulin cleavage pattern by Astacus protease yields substrates that are turned over .ltoreq.105 times faster than those presently available. On the basis of this study, an optimal substrate for Astacus protease contain 7 or more amino acids and minimally requires at least 5 amino acids. Direct examn. of the formation and breakdown of the ES complex shows its formation occurs within milliseconds at 25.degree.. The best heptapeptide substrate, dansyl-Pro-Lys-Arg-Ala-Pro-Trp-Val, is cleaved only between the Arg-Ala (P1-P1') bond with kinetic parameters kcat = 380 s-1 and Km = 3.7 .times. 10-4 M. The presence of lysine or arginine in the P1 and P2 positions yields high-turnover substrates. In the P3 position, the enzyme prefers Pro > Val > Leu > Ala > Gly, following the same order of preference seen in the tubulin cleavage pattern. Substitution of leucine (Leu) for alanine in P1' and of serine for proline in P2' decreases activity by 105- and 102-fold, resp. In position P3', substitution of tryptophan (Trp) for Leu leaves the activity unaltered. However, introduction of the Trp fluorophore greatly enhances the sensitivity of the assay due to a 10-fold increase in indole fluorescence for cleavage of any peptide bond between the tryptophan and the dansyl group. Such an energy-transfer-based assay should have widespread use for detection of neutral proteases. The relationship of Astacus protease to a recently sequenced bone morphogenetic protein and to metalloproteinases which share the putative Zn-binding sequence HExxHxxGxxH (x = amino acid) is discussed.

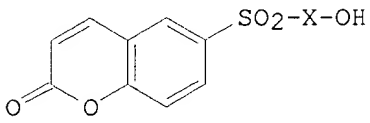
IT **129364-28-9**
RL: RCT (Reactant)
(reaction of, with proteinase of Astacus fluviatilis digestive tract, kinetics of, structure relation to)

L13 ANSWER 48 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1990:158955 HCAPLUS
DN 112:158955
TI Peptides containing aminobenzoic acids and their antithrombin activity
AU Podlipskii, V. Ya.; Kostyuchenko, N. V.; Gershkovich, A. A.; Kibirev, V. K.
CS Inst. Bioorg. Khim., Kiev, USSR
SO Dokl. Akad. Nauk Ukr. SSR, Ser. B: Geol., Khim. Biol. Nauki (1989), (8), 46-9
CODEN: DNNADO; ISSN: 0201-8454
DT Journal
LA Russian
AB Arginyl peptides RCONHC6H4CO-Arg-OMe and RCO-Pro-Arg-OMe (R = Ph, Pr) were

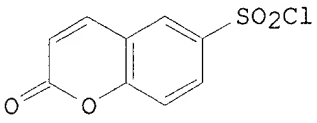
prepd. and evaluated as inhibitors of the reaction of thrombin with fibrinogen. The peptides contg. m-aminobenzoic acid (I) show max. retardation, which suggests that proline can be replaced by I in thrombin inhibitors.

IT **126077-78-9**
RL: RCT (Reactant)
(antithrombin activity of)

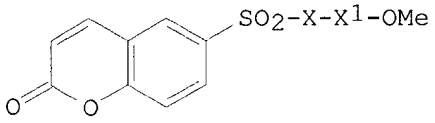
L13 ANSWER 49 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1988:167952 HCAPLUS
DN 108:167952
TI Synthesis and antimicrobial activity of some new N-coumarin-6-sulfonyl amino acid and dipeptide derivatives
AU El-Naggar, A. M.; Abd El-Salam, A. M.; Ibrahim, T. M.
CS Fac. Sci., Al-Azhar Univ., Cairo, Egypt
SO Afinidad (1987), 44(411), 431-3
CODEN: AFINAE; ISSN: 0001-9704
DT Journal
LA English
GI



I



II

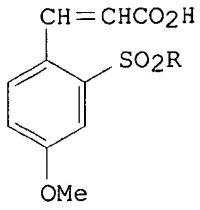


III

AB Title amino acids I [X = .beta.-Ala, Val, DL-Val, Leu, p-NHC6H4CO (p-Aba), m-NHC6H4CO (m-Aba), Tyr, etc.] were prepd. by sulfonylating the appropriate amino acid with sulfonyl chloride II. I were esterified with MeOH via SOCl2 to give the corresponding Me esters. Dipeptides III (X-X1 = .beta.-Ala-DL-Ser, .beta.-Ala-Leu, Pro-Phe, Phe-Val, etc.) were prepd. by coupling the appropriate I with H-X1-OMe.HCl by DCC in THF contg. Et3N. I (X = .beta.-Ala, p-Aba, m-Aba) and the Me esters of I (X = Leu, Pro) were active against a no. of microorganisms.

IT **113789-71-2P 113789-72-3P 113789-73-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antimicrobial activity of)

L13 ANSWER 50 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1988:112931 HCAPLUS
DN 108:112931
TI Synthesis of some 4-methoxycinnamic acid 2-sulfonylamino acid derivatives and their antimicrobial activity
AU El-Naggar, A. M.; Ibrahim, T. M.; El-Gazzar, M. A.; Khalaf, N. S.
CS Fac. Sci., Al-Azhar Univ., Nasr, Egypt
SO J. Serb. Chem. Soc. (1987), 52(1), 17-24
CODEN: JSCSEN
DT Journal
LA English
GI



I

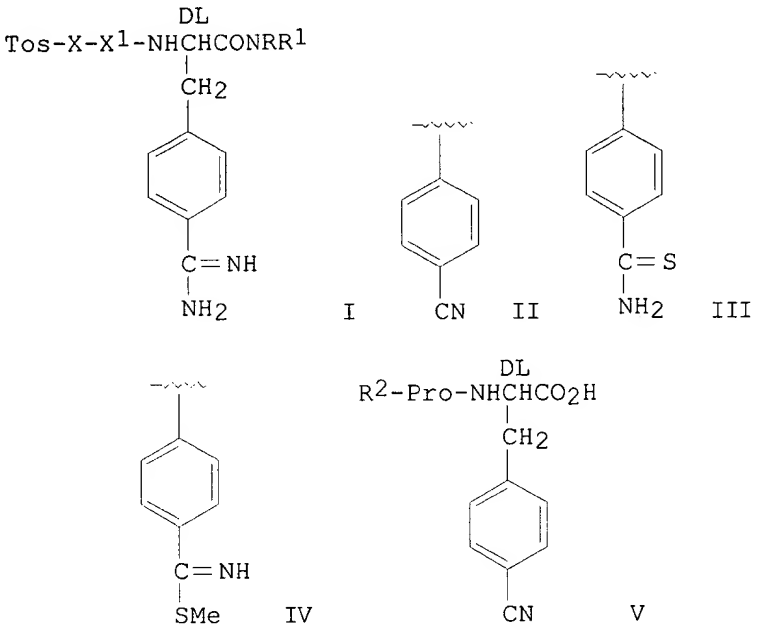
AB The synthesis of 4-methoxycinnamic acid 2-sulfonylamino acids (I; R = amino acid residue) and their Me esters and hydrazides and some 4-methoxy-2-(sulfonyl-dipeptide Me ester)cinnamoyl-amino acid Me ester derivs. are described. Twenty two substituted cinnamic acid-sulfonylamino acid derivs. have specific antimicrobial activities against a no. of microorganisms.

IT **113233-65-1P 113233-66-2P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antibacterial activity of)

L13 ANSWER 51 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1987:614089 HCAPLUS
DN 107:214089
TI Chromophoric and fluorophoric peptide substrates cleaved through the dipeptidyl carboxypeptidase activity of cathepsin B
AU Pohl, Jan; Davinic, Silvia; Blaha, Ivo; Strop, Petr; Kostka, Vladimir
CS Inst. Org. Chem. Biochem., Czech. Acad. Sci., Prague, CS-16610, Czech.
SO Anal. Biochem. (1987), 165(1), 96-101
CODEN: ANBCA2; ISSN: 0003-2697
DT Journal
LA English
AB The action of bovine spleen cathespin B as a dipeptidyl carboxypeptidase on newly synthesized substrates of the type peptidyl-X-p-nitrophenylalanyl (Phe(NO2))-Y (where X,Y = amino acid residue) or 5-dimethylaminonaphthalene-1-sulfonyl (Dns)-peptidyl-X-Phe(NO2)-Y was investigated. The kinetic parameters of hydrolysis of the X-Phe(NO2) bond were detd. by difference spectrophotometry (.DELTA..epsilon.310 = 1600 M-1 cm-1) or by spectrofluorometry by following the 5-8-fold increase of Dns-group fluorescence (excitation at 350 nm and emission at 535 nm). The substrates were moderately sensitive to cathepsin B; kcat (the catalytic const.) was 0.7-s-1 at pH 5 and 25.degree. and Km was 6-240 .mu.M. The very acidic optima of pH 4-5 are characteristic for the dipeptidyl carboxypeptidase activity of cathespin B. Bovine spleen cathepsins S and H had little and no activity, resp., when assayed with Pro-Glu-Ala-Phe(NO2)-Gly. These peptides should be a valuable tool for routine assays and for mechanistic studies on cathepsin B.

IT **108204-49-5**
RL: RCT (Reactant)
(reaction of, with cathepsin B, kinetics and mechanism of)

L13 ANSWER 52 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1987:497096 HCAPLUS
DN 107:97096
TI Synthesis of N.alpha.-(tosylprolylglycyl)- and N.alpha.-(tosylglycylprolyl)-4-amidinophenylalanine amides as inhibitors of thrombin
AU Voigt, B.; Wagner, G.
CS Sekt. Biowiss., Karl-Marx-Univ., Leipzig, DDR-7010, Ger. Dem. Rep.
SO Pharmazie (1986), 41(6), 378-81
CODEN: PHARAT; ISSN: 0031-7144
DT Journal
LA German
OS CASREACT 107:97096
GI



AB Title compds. I (Tos = tosyl; X-X1 = Pro-Gly, Gly-DL-Pro, Gly-Pro; NRR1 = piperidino, pyrrolidino, morpholino, NHBu) were prepd. from the corresponding cyano compds. II via thioamides III and thioimidic esters IV. Tos-X-X1-OH (X-X1 = Pro-Gly, Gly-DL-Pro) were coupled with 4-NCC6H4CH2CH2CH(NH2)CO2H by active ester or mixed anhydride methods to give the corresponding tripeptides, which were amidated with the appropriate amine to give the corresponding II. Peptide V [R2 = PhCH2O2C (Z)] was Z-deblocked and then coupled with Tos-Gly-Cl to give V (R2 = Tos-Gly), which was amidated to give amides II (X-X1 = Gly-Pro; NRR1 = same). I an be used as thrombin inhibitors.

IT **109947-75-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and amidation of)

IT **109947-74-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and peptide coupling of, with cyanophenylalanine)

IT **109947-83-3P 109947-84-4P 109947-85-5P**
109968-74-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, with ammonium acetate)

IT **109947-76-4P 109947-77-5P 109947-78-6P**
109947-79-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, with hydrogen sulfide)

IT **109947-80-0P 109947-81-1P 109947-82-2P**
109968-73-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and S-methylation of)

IT **109947-86-6P 109947-87-7P 109947-88-8P**
109968-75-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L13 ANSWER 53 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1987:492532 HCAPLUS
DN 107:92532

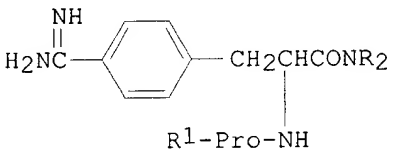
TI Synthetic inhibitors of serine proteinases. Part 32: Inhibition of trypsin, plasmin and thrombin by amides of N.alpha.-substituted-4-amidinophenylalanine. Influence of various amino acids and blocking groups of the N.alpha.-residue on the inhibitory activity
AU Stuerzebecher, J.; Markwardt, F.; Walsmann, P.; Voigt, B.; Wagner, G.
CS Inst. Pharmakol. Toxikol., Med. Akad., Erfurt, Ger. Dem. Rep.
SO Pharmazie (1987), 42(2), 114-16
CODEN: PHARAT; ISSN: 0031-7144
DT Journal
LA German
AB Cyclic amides of N.alpha.-arylsulfonylated 4-amidinophenylalanine are specific, highly potent inhibitors of thrombin. Introduction of amino acids between the arylsulfonyl blocking group and amino N influence particularly the antithrombin activity. By the use of glycine as spacer, the compds. become tight-binding thrombin inhibitors, while introduction of other .omega.-amino acids, Gly-Gly, L-proline, Gly-L-Pro, or L-Pro-Gly, reduces the specificity and potency of thrombin inhibition. Substitution of the arylsulfonyl blocking group for a heteroarylsulfonyl residue or an aryl residue causes a decrease in antithrombin activity, while substitution for a benzoyloxycarbonyl blocking group has only slight influence. Thus, the N.alpha.-moiety is of decisive importance for the antithrombin activity of derivs. of 4-amidinophenylalanine.
IT **109630-05-9 109630-08-2 109630-10-6**
109630-18-4 109630-22-0 109630-27-5
109716-07-6 109716-13-4 109716-17-8
109716-20-3 109716-24-7 109716-29-2
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BIOL (Biological study); PROC (Process)
(serine proteinases inhibition by, kinetics of)

L13 ANSWER 54 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1987:210288 HCAPLUS
DN 106:210288
TI Analysis of N-dansyl peptide methyl esters by means high performance liquid chromatography and mass spectrometry
AU Reshetova, O. S.; Onoprienko, V. V.; Rozynov, B. V.; Kozmin, Yu. P.
CS M. M. Shemyakin Inst. Bioorg. Chem., Moscow, USSR
SO Bioorg. Khim. (1987), 13(3), 320-37
CODEN: BIKHD7
DT Journal
LA Russian
AB The method proposed for detg. the primary structure of oligopeptides includes partial acid hydrolysis, conversion of the resulting short peptides in Me esters of N-dansyl derivs., and then anal. of the mixts. by the combination of reversed-phase HPLC and mass spectroscopy. The retention times and mass spectral data of amino acids and several peptides and N-dansyl peptide Me esters of products obtained by acid hydrolysis of angiotensin, melittin, etc. are given.
IT **108353-71-5 108353-88-4 108353-96-4**
108375-98-0 108375-99-1
RL: ANT (Analyte); ANST (Analytical study)
(detn. of, in peptide sequencing, by reversed-phase HPLC and mass spectrometry)

L13 ANSWER 55 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1987:191672 HCAPLUS
DN 106:191672
TI A study of the peptidyldipeptidase activity of bovine spleen cathepsin B using synthetic substrates
AU Pohl, J.; Davinic, S.; Blaha, I.; Strop, P.; Kostka, V.
CS Inst. Org. Chem. Biochem., Czech. Acad. Sci., Prague, CS-166 10, Czech.
SO Cysteine Proteinases Their Inhib., Proc. Int. Symp., 1st (1986), Meeting Date 1985, 73-8. Editor(s): Turk, Vito. Publisher: de Gruyter, Berlin, Fed. Rep. Ger.
CODEN: 55LGA3
DT Conference

LA English
AB Fundamental kinetic data characterizing the peptidyldipeptidase action of cathepsin B on chromophoric and fluorophoric synthetic substrates are reported.
IT **108204-49-5**
RL: RCT (Reactant)
(reaction of, with peptidyldipeptidase of cathepsin B of spleen, kinetics of)

L13 ANSWER 56 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1987:176825 HCAPLUS
DN 106:176825
TI Synthesis of N.alpha.-(arylsulfonyl-L-prolyl)- and N.alpha.-(benzyloxycarbonyl-L-prolyl)-D,L-4-amidinophenylalanine amides as inhibitors of thrombin
AU Voigt, B.; Wagner, G.
CS Sekt. Biowiss., Karl-Marx-Univ., Leipzig, Ger. Dem. Rep.
SO Pharmazie (1986), 41(4), 233-5
CODEN: PHARAT; ISSN: 0031-7144
DT Journal
LA German
OS CASREACT 106:176825
GI



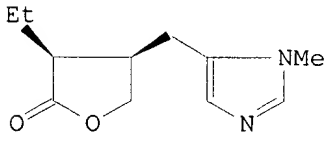
I

AB Title compds. I (R2N = piperidino, pyrrolidino, morpholino, BuNH; R1 = tosyl, .beta.-naphthylsulfonyl, PhCH2O2C) were prepd. by condensing R1-Pro-OH with 4-cyanophenylalanine, followed by amidation, hydrosulfenylation, S-methylation, and amination. I are potential thrombin inhibitors.
IT **107994-07-0P 107994-08-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and amidation of)
IT **107994-24-1P 107994-25-2P 107994-26-3P**
107994-27-4P 107994-28-5P 107994-29-6P
107994-30-9P 107994-31-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and amination of)
IT **107994-09-2P 107994-10-5P 107994-11-6P**
107994-12-7P 107994-13-8P 107994-14-9P
107994-15-0P 108022-40-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, with hydrogen sulfide)
IT **107994-16-1P 107994-17-2P 107994-18-3P**
107994-19-4P 107994-20-7P 107994-21-8P
107994-22-9P 107994-23-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and S-methylation of)
IT **107994-32-1P 107994-33-2P 107994-34-3P**
107994-35-4P 107994-36-5P 107994-37-6P
107994-38-7P 107994-39-8P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as thrombin inhibitor)

L13 ANSWER 57 OF 85 HCAPLUS COPYRIGHT 1999 ACS

AN 1986:420770 HCAPLUS
DN 105:20770
TI A convenient fluorescent assay for vertebrate collagenases
AU Bond, Michael D.; Auld, David S.; Lobb, Roy R.
CS Harvard Med. Sch., Brigham Women's Hosp., Boston, MA, 02115, USA
SO Anal. Biochem. (1986), 155(2), 315-21
CODEN: ANBCA2; ISSN: 0003-2697
DT Journal
LA English
AB A versatile, convenient assay for vertebrate collagenases has been developed using the fluorescent peptide substrate dansyl-Pro-Gln-Gly-Ile-Ala-Gly-D-Arg. This sequence resembles that of collagen at the site of cleavage but includes modifications designed to eliminate nonspecific hydrolysis by contaminating peptidases. Both human skin fibroblast and bovine corneal cell collagenases cleave the substrate specifically at the Gly-Ile bond. Plasmin, thrombin, trypsin, .alpha.-chymotrypsin, carboxypeptidase B, and bacterial collagenase do not cleave the substrate. Elastase and angiotensin-converting enzyme display 20- and 400-fold less activity than the vertebrate collagenases, resp., and cleave the peptide at different positions. The assay is performed by incubating a 5-25-.mu.L aliquot of trypsin-activated sample with an equal vol. of 2 mM substrate overnight at 33.degree. and pH 7.5. TLC then separates the fluorescent product from the substrate in <20 min and allows the detection of subnanogram levels of collagenase. The assay is applicable to the screening of large nos. of samples under different conditions of pH and ionic strength and is readily adaptable for use in a variety of collagenase-dependent systems, such as assays for collagenase-activating and(or) -inducing factors.
IT **102839-04-3**
RL: BIOL (Biological study)
(collagenase of human and lab. animal fluorimetric detn. with)

L13 ANSWER 58 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1986:406667 HCAPLUS
DN 105:6667
TI Chirospecific synthesis of (+)-pilocarpine
AU Compagnone, Reinaldo S.; Rapoport, Henry
CS Dep. Chem., Univ. California, Berkeley, CA, 94720, USA
SO J. Org. Chem. (1986), 51(10), 1713-19
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
OS CASREACT 105:6667; CJACS
GI



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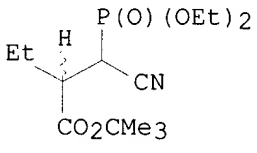

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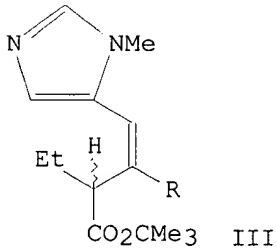


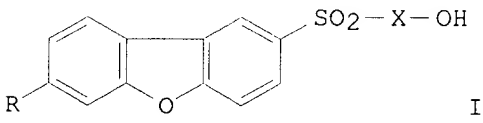
III

AB An efficient chirospecific synthesis for (+)-pilocarpine (I) used D-methionine or D-2-aminobutanol as chiral educts. Formation of the C3-C4

carbon bond at an early stage gave the key intermediate [cyano((1-tert-butoxycarbonyl)propyl)methyl]phosphonate II, and Wittig coupling of this phosphonate with 1-methyl-5-imiazolecarboxaldehyde introduced the imidazole moiety of the pilocarpine skeleton. Selective redn. of the .alpha.,.beta.-unsatd. nitrile III (R = cyano) to the allylic alc. III (R = HOCH2), stereocontrolled hydrogenation of the olefin, and epimerization of (+)-isopilocarpine to (+)-pilocarpine via kinetic protonation led to the natural alkaloid. This methodol. allows chirospecific syntheses of the 4 possible stereoisomers of pilocarpine. A short and convenient route to (.+-.)-pilocarpine based on II is also described.

IT **102152-52-3P 102152-53-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and chromatog. of)

L13 ANSWER 59 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1985:505304 HCAPLUS
DN 103:105304
TI Synthesis and biological activity of some new dibenzofuran- and 7-nitrodibenzofuran-2-sulfonyl amino acid derivatives
AU El-Naggar, A. M; Abd El-Salam, A. M; Ahmed, F. S. M.; Ibrahim, T. M.
CS Fac. Sci., Al-Azhar Univ., Nasr, Egypt
SO Acta Pharm. Jugosl. (1985), 35(1), 15-22
CODEN: APJUA8; ISSN: 0001-6667
DT Journal
LA English
GI



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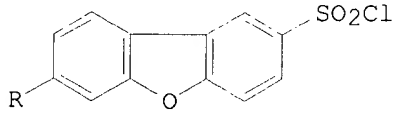

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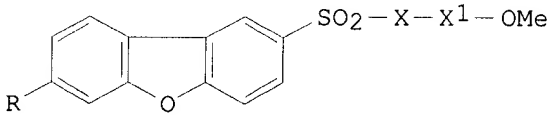


III

AB Title amino acid derivs. I (X = .beta.-Ala, Val, Leu, p-NHC6H4CO, Phe, etc.; R = H or NO2) were prepd. by sulfonylating the corresponding amino acid with sulfonyl chlorides II (R = H or NO2). I were esterified with MeOH via SOCl2 to give the corresponding Me esters. Also, I were coupled with amino acid Me ester hydrochlorides by DCC in THF contg. Et3N to give the corresponding dipeptides, e.g. III (X-X1 = DL-Val-DL-Val, Pro-Phe, R = H; X-X1 = Pro-DL-Ser, Leu-Tyr, R = NO2). Nineteen synthesized compds., e.g. I (X = Leu, R = H; X = .beta.-Ala, R = NO2) and III (X-X1 = Tyr-Phe, R = NO2), were active against various microorganisms, e.g. Bacillus subtilis or B. cereus.

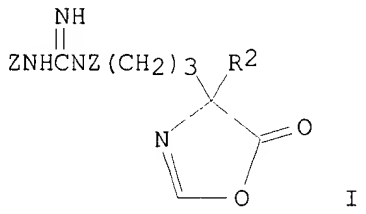
IT **98044-92-9P 98044-93-0P 98044-94-1P**
98045-38-6P 98045-39-7P 98045-40-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L13 ANSWER 60 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1985:185498 HCAPLUS
DN 102:185498
TI Peptide analogs and their use in enzyme inhibition
IN Szelke, Michael; Jones, David Michael
PA UK
SO Eur. Pat. Appl., 49 pp.
CODEN: EPXXDW
DT Patent

LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 118280	A1	19840912	EP 84-301297	19840228
	EP 118280	B1	19890712		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	WO 8403507	A1	19840913	WO 84-GB63	19840228
	W: AU, DK, FI, JP, NO, US				
	AU 8426516	A1	19840928	AU 84-26516	19840228
	AU 596783	B2	19900517		
	JP 60500870	T2	19850606	JP 84-501509	19840228
	AT 44533	E	19890715	AT 84-301297	19840228
	CA 1322078	A1	19930907	CA 84-448562	19840229
	ES 530262	A1	19851201	ES 84-530262	19840302
	FI 88398	B	19930129	FI 84-4230	19841029
	FI 88398	C	19930510		
	US 4638047	A	19870120	US 84-668277	19841031
	DK 8405202	A	19841101	DK 84-5202	19841101
	NO 8404395	A	19841105	NO 84-4395	19841105
	NO 167809	B	19910902		
	NO 167809	C	19911218		
	US 4772686	A	19880920	US 87-1851	19870109
PRAI	GB 83-5985	19830304			
	EP 84-301297	19840228			
	WO 84-GB63	19840228			

GI



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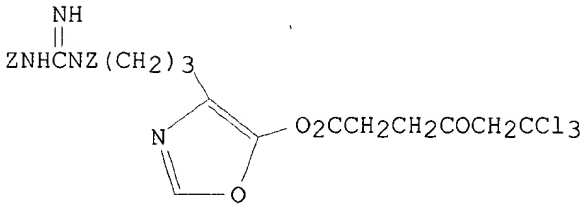

II

AB Fibrinogen sequence 14-20 analogs R-X-X1-X2-Pro-Arg-X3-R1 [R, R1 = terminal groups optionally including further amino acid residues; X = Gly, Phe, or other lipophilic amino acid residues; X1 = Gly, MeAla, Val, Pro, or ring homolog of Pro; X2 = hydroxy-reduced or oxo dipeptide residue in which the 1st residue is Arg or has an amidino side chain and the 2nd residue is Gly, Ala, or related residue with a hydrocarbon side chain optionally terminated by OH; X3 = Val, Pro, NH(CH2)nCO (n = 0-5)] were prepd. as antithrombotics due to their ability to inhibit thrombin. Thus, H-Arg(Z2)-OH (Z = CO2CH2Ph) was cyclized by DPECI.HCl (N-dimethylaminopropyl-N'-ethylcarbodiimide hydrochloride) in THF contg. Et3N to give oxazolone I (R2 = H), which was acylated with ClCOCH2CH2COCH2CCl3 to give oxazole II, which underwent rearrangement to I (R2 = COCH2CH2CO2CH2Cl3), which was cleaved by pyridine/HOAc and then deesterified by Zn/Na2H2PO4 in THF to give HCO-DL-Arg(Z2)-Gly-OH (III). Boc-Pro-Arg(Z2)-Val-NHEt (Boc = Me3CO2C) was Boc-deblocked and then coupled with III via the pentafluorophenyl (Pfp) active ester to give HCO-Arg(Z2)-Gly-Pro-Arg(Z2)-Val-NHEt, which was deformylated and then coupled with Boc-D-Phe-Pro-OPfp to give Boc-D-Phe-Pro-X4-Gly-Pro-Arg(Z2)-

Val-NHEt [IV; X4 = DL-Arg(Z2)], which were sepd. into IV [X4 = D-Arg(Z2)] and IV [X4 = L-Arg(Z2)] (V). V was Z-deblocked by hydrogenolysis to give R3-D-Phe-Pro-Arg-Gly-Pro-Arg-Val-NHEt (VI, R3 = Boc), which was Boc-deblocked by 2N HCl to give VI (R3 = H) (VII). The Ki of VII for human thrombin was 3 .mu.M.

IT **95198-96-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L13 ANSWER 61 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1984:419506 HCAPLUS
DN 101:19506
TI Purification and characterization of a membrane-bound enkephalin-forming carboxypeptidase, "enkephalin convertase"
AU Supattapone, Surachai; Fricker, Lloyd D.; Snyder, Solomon H.
CS Sch. Med., Johns Hopkins Univ., Baltimore, MD, USA
SO J. Neurochem. (1984), 42(4), 1017-23
CODEN: JONRA9; ISSN: 0022-3042
DT Journal
LA English
AB Enkephalin convertase, the enkephalin-synthesizing carboxypeptidase B-like enzyme, was purified to apparent homogeneity from bovine pituitary and adrenal chromaffin granule membranes. The membrane-bound enkephalin convertase can be solubilized in high yield with 0.5% Triton X-100 in the presence of 1M NaCl. Extensive purifn. is achieved by affinity chromatog. with p-aminobenzoyl-L-arginine linked to Sepharose 6B. Enzyme purified from both pituitary and adrenal chromaffin granule membranes shows a single band by SDS-polyacrylamide gel electrophoresis with an apparent mol. wt. of 52,500, whereas enkephalin convertase purified from sol. exts. of these tissues has an apparent mol. wt. of 50,000. The regional distribution of the membrane-bound enzyme in the rat brain differs from that of the sol. enzyme. Whereas the sol. enzyme shows 10-fold variations, resembling somewhat the enkephalin peptides, membrane-bound enkephalin convertase is more homogeneously distributed throughout the brain. In rat pituitary glands, membrane-bound enzyme activity is similar in the anterior and posterior lobes, whereas the sol. enzyme is enriched in the anterior lobe. Membrane-bound and sol. forms of enkephalin convertase isolated from either bovine pituitary glands or adrenal chromaffin granules show identical substrate and inhibitor specificities. As with the sol. enzyme, membrane-bound enkephalin convertase hydrolyzes 5-methionine- and 5-leucine-enkephalin-Arg6 and -Lys6 to enkephalin, with no further degrdn. of the pentapeptide.

IT **87687-43-2**
RL: RCT (Reactant)
(reaction of, with enkephalin convertase of pituitary, kinetics of)

L13 ANSWER 62 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1984:86129 HCAPLUS
DN 100:86129
TI Vasopressin analogs
IN Brtnik, Frantisek; Barth, Tomislav; Hrbas, Pavel; Jost, Karel; Krejci, Ivan; Kupkova, Bela; Machva, Alena; Servitova, Linda; Skopkova, Jana
PA Ceskoslovenska Akademie Ved , Czech.
SO Belg., 13 pp.
CODEN: BEXXAL
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	BE 896504	A1	19830816	BE 83-210584	19830419
	CS 230315	B	19840813	CS 82-2803	19820420
	CS 231749	B1	19841214	CS 82-8301	19821119
	DK 8301538	A	19831021	DK 83-1538	19830407
	GB 2121049	A1	19831214	GB 83-9736	19830411
	GB 2121049	B2	19850417		

	SE 8302075	A	19831021	SE 83-2075	19830414
	SE 460050	B	19890904		
	SE 460050	C	19900118		
	NL 8301320	A	19831116	NL 83-1320	19830415
	FR 2525215	A1	19831021	FR 83-6357	19830419
	FR 2525215	B1	19860228		
	JP 58222059	A2	19831223	JP 83-67891	19830419
	JP 01021160	B4	19890419		
	CH 653345	A	19851231	CH 83-2098	19830419
	DE 3314357	A1	19831027	DE 83-3314357	19830420
	US 4482486	A	19841113	US 83-486863	19830420
	JP 01085999	A2	19890330	JP 88-145941	19880615
PRAI	CS 82-2803	19820420			
	CS 82-8301	19821119			

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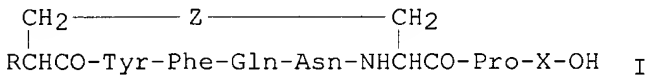


I

AB Vasopressin analogs I [R = H, X = D-Arg, Z = CH2S (II); R = NH2, X = D-Arg, L-Orn, Z = S2] were prepd. Thus, treatment of Nps-Pro-OC6H2Cl3-2,4,5 (Nps = o-O2NC6H4S) with H-Arg(Tos)-OCH2Ph (Tos = tosyl) in DMF gave Nps-Pro-Arg(Tos)-OCH2Ph. The latter was Nps-deblocked and then coupled with 1-desamino-1-carbapressinoic acid by DCC/N-hydroxybenzotriazole in DMF to give the protected peptide, which was deblocked by acidolysis to give II. The products are biolog. less active (.apprx.2-3 times) than arginine-vasopressin.

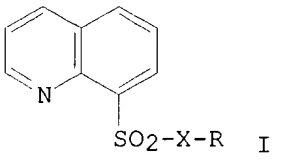
IT **88865-02-5**
RL: RCT (Reactant)
(partial deblocking-peptide coupling reaction of)

L13 ANSWER 63 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1983:590344 HCAPLUS
DN 99:190344
TI Purification and characterization of enkephalin convertase, an enkephalin-synthesizing carboxypeptidase
AU Fricker, Lloyd D.; Snyder, Solomon H.
CS Sch. Med., Johns Hopkins Univ., Baltimore, MD, 21205, USA
SO J. Biol. Chem. (1983), 258(18), 10950-5
CODEN: JBCHA3; ISSN: 0021-9258
DT Journal
LA English
AB Enkepahlin convertase (I), an enkephalin-synthesizing carboxypeptidase present in adrenal medulla chromaffin granules, was also detected in brain and pituitary. To det. whether these 3 carboxypeptidase activities represent the same enzyme, I was purified and characterized from adrenal medulla, whole brain, and whole pituitary. I from all 3 tissues copurified on DEAE-cellulose, gel filtration, concanavalin A, and L-arginine affinity columns, resulting in a 135,000-fold, 110,000-fold, and 2800-fold purifn. for bovine adrenal medulla, brain, and pituitary I, resp. Purified I appeared homogeneous on SDS-polyacrylamide gel electrophoresis, showing a single band with an apparent mol. wt. of 50,000 for enzyme isolated from all 3 tissues. Adrenal, brain, and pituitary I were similarly inhibited by hexapeptide enkephalin precursors and active site-directed inhibitors. Both [Met]- and [Leu]enkephalin-Arg6 inhibited I with Ki values between 50 and 80 .mu.M, whereas [Met]- and [Leu]enkephalin-Lys6 were 3-fold less potent. Two active site-directed inhibitors, guanidinopropylsuccinic acid and guanidinoethylmercaptosuccinic acid, were potent inhibitors of all 3 enzymes with Ki values of 8-9 nM. A series of dansylated di-, tri-, and tetrapeptide substrates were hydrolyzed by I with similar kinetic properties (Km, Vmax, and kcat/Km) for the 3 enzymes. Thus, I activity represents the same enzyme in adrenal

medulla, brain, and pituitary. I may be involved in the prodn. of other peptide neurotransmitters and hormones besides enkephalin.

IT **87687-43-2**
RL: RCT (Reactant)
(reaction of, with enkephalin convertase, kinetics of)

L13 ANSWER 64 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1983:576262 HCAPLUS
DN 99:176262
TI Synthesis and biological activity of some new quinoline-8-sulfonylamino acid and dipeptide derivatives
AU El-Naggar, A. M.; Abd El-Salam, A. M.; Ahmed, F. S. M.; Latif, M. S.; El-Cady, F. E.
CS Fac. Sci., Al-Azhar Univ., Nasr-City, Egypt
SO Acta Pharm. Jugosl. (1983), 33(2), 103-10
CODEN: APJUA8; ISSN: 0001-6667
DT Journal
LA English
GI



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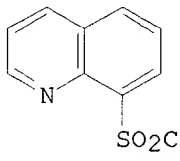
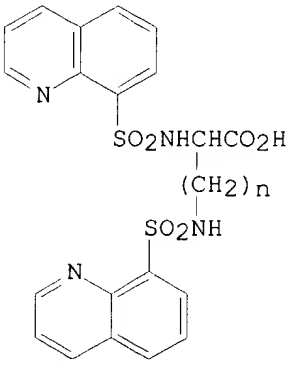
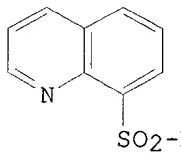


II



III



IV

AB Title amino acids I (X = Val, DL-Val, Leu, Phe, DL-Phe, Pro, Tyr, Trp, Thr, Met; R = OH) were prepd. in 54-95% yields by treating sulfonyl chloride II with amino acids. Ornithine and lysine derivs. III (n = 3, 4) were also prepd. Dipeptides IV (X = Val, X1 = Ala, Ser, Phe; X = DL-Val, X1 = Leu; X = Phe, X1 = Val, Phe, Tyr; X = Pro, X1 = Ser, Leu, DL-Leu, Phe, Tyr) were prepd. in 53-87% yields by coupling amino acids I (R = OH) with H-X1-OMe.HCl by DCC in DMF/dioxane contg. Et3N. IV were converted into the corresponding hydrazides. Amino acid Me esters I (X = Val, Ser, Phe, Tyr; R = OMe) were prepd. in 68-92% yields by treating II with H-X-OMe.HCl. I (X = Val, Ser; R = NHNH2) were prepd. by hydrazinolysis of the corresponding Me esters. I (X = Val; R = OH, OMe, NHNH2) exhibited antifungal activity against Penicillium chrysogenum, but they were inactive against several bacteria (e.g., Bacillus subtilis). The other compds. were inactive against the tested microorganisms.

IT **87650-82-6P 87650-83-7P 87650-84-8P**
87650-85-9P 87650-86-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrazinolysis of)

IT **87650-93-9P 87650-94-0P 87650-95-1P**
87650-96-2P 87650-97-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L13 ANSWER 65 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1983:89932 HCAPLUS
DN 98:89932
TI Tripeptide derivatives
PA Mitsubishi Chemical Industries Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

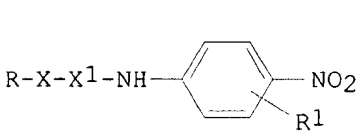
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 57145846	A2	19820909	JP 81-175909	19811102
	JP 58030300	B4	19830628		

AB Tripeptides R-Pro-X-Gly-Pyrr (I; R, X = Bz, Leu; Bz, Phe; Bz, Glu; Bz, Met; Bz, Tyr; Bz, Cys; dansyl, Leu; Pyrr = 1-pyrrolidinyl) were prepd., e.g., by condensation of R-Pro-OH (II) with H-X(OCH2Ph)-Gly-Pyrr.HCl (III) in the presence of DCC followed by hydrogenation in the presence of Pd. I had collagen synthesis inhibitory activity. Thus, 0.01 mol Me3CO2C-Glu(OCH2Ph)-Gly-Pyrr was treated with EtOAc contg. 10% aq. HCl 2 h to give III (X = Glu), which was condensed with 0.01 mol II (R = Bz) in CH2Cl2 in the presence of 2.3 g DCC 1 h at 0-5.degree. to give 83% Bz-Pro-Glu(OCH2Ph)-Gly-Pyrr (IV). Hydrogenation of IV in MeOH in the presence of Pd black gave I (R = Bz, X = Glu) quant.
IT **59191-26-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

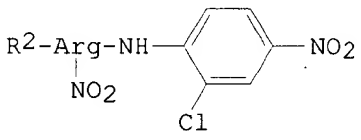
L13 ANSWER 66 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1982:424249 HCAPLUS
DN 97:24249
TI Chromogenic enzyme substrate
IN Voelter, Wolfgang; Echner, Hartmut; Philapitsch, Anton
PA Immuno A.-G. fuer Chemisch-Medizinische Produkte, Austria
SO Ger. Offen., 70 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3108322	A1	19811224	DE 81-3108322	19810305
PRAI	AT 80-1468	19800318			

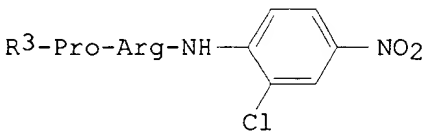
GI



I



II



III

AB Peptide nitroanilides I [R = H, alkyl, PhSO2, p-MeOC6H4SO2 (Mbs), acyl; R1 = halo; X = amino acid or peptide residue; X1 = Arg, D-Arg, Lys, D-Lys, Orn, D-Orn] were prepd. as chromogenic substrates for the detn. of proteolytic enzymes. Thus, Z-Arg(NO2)-OH (Z = PhCH2O2C) was treated with o-chloro-p-nitrophenyl isocyanate in HMPT contg. Et3N to give 82.02%

nitroanilide II (R2 = Z), which was Z-deblocked by HBr/HOAc to give 80.8% II.HBr (R2 = H), which was coupled with Me3CO2C-Pro-OH by DCC/hydrobenzotriazole in DMF contg. N-methylmorpholine to give 51.56% II (R2 = Me3CO2C-Pro). The latter was deblocked by HF/anisole to give peptide nitroanilide III (R3 = H), which was coupled with Mbs-.beta.-Ala-OH by DCC/N-hydroxysuccinimide in DMF contg. N-methylmorpholine to give 78.45% III (R3 = Mbs-.beta.-Ala) (IV). IV was used as a chromogenic substrate for thrombin, trypsin, Factor Xa, plasmin, and kallikrein.

IT **81242-86-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L13 ANSWER 67 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1982:187106 HCAPLUS
DN 96:187106
TI Cosmetics containing peptides
PA Kanebo Cosmetics, Inc., Japan; Mitsubishi Chemical Industries Co., Ltd.
SO Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 57002213	A2	19820107	JP 80-76267	19800605

AB Cosmetics, which consist of a mixt. of A-(Pro)n-Phe-B-Pro-C (A = H, benzoyl, acetyl, formyl, tolyl, etc.; Pro = L-prolyl; Phe = L-phenylalanyl; B = L-prolyl or glycyl; C = OH or org. group residue reactive to carboxyl groups; n = 1 or 2) and bases, are nonirritating, stable, compatible to skin, etc. Thus, a lotion was prepd. contg. EtOH 10.0, Bz-Pro-Phe-(Pro)2OH (Bz = benzoyl) [81456-52-2], Bu p-hydroxybenzoate 0.1, perfumes 0.1, glycerol 2.0, propylene glycol 2.0, Me p-hydroxybenzoate 0.1, and distd. H2O 85.68 parts.

IT **81456-54-4**
RL: BIOL (Biological study)
(cosmetics contg.)

L13 ANSWER 68 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1982:163183 HCAPLUS
DN 96:163183
TI Recognition and utilization of dansyl-dipeptides in manual dansyl-Edman sequencing
AU Simanis, Viesturs; Barker, David G.; Bruton, Chris J.
CS Dep. Biochem., Imp. Coll., London, UK
SO Int. J. Pept. Protein Res. (1982), 19(1), 67-70
CODEN: IJPPC3; ISSN: 0367-8377
DT Journal
LA English
AB The chromatog. behavior of the dansyl dipeptides likely to be encountered in manual dansyl-Edman sequencing is presented. The dansyl dipeptides were obtained in exptl. sequencing and/or by chem. synthesis. The advantages of using short hydrolysis times and deliberately generating these dipeptides are discussed.

IT **25841-36-5P 74260-42-7P 81377-32-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and chromatog. behavior of)

L13 ANSWER 69 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1982:40888 HCAPLUS
DN 96:40888
TI Oligopeptides as cosmetic bases
PA Kanebo Cosmetics, Inc., Japan; Mitsubishi Chemical Industries Co., Ltd.
SO Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DT Patent

LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 56115707	A2	19810911	JP 80-18155	19800215

AB Tri- or tetrapeptides represented by A-Pro-B-C-D-E where A = H, benzoyl, 1-adamantanecarbonyl, etc.; Pro = L-proline; B = L-leucine, L-phenylalanine, L-methionine, etc.; C = glycine, sarcosine, or proline; D = L-proline or pyrrolidine; and E = OH, alkoxy, amino, etc., are used as cosmetic bases, since these peptides are stable and prevent aging effects on the skin. Thus, a mixt. of EtOH 10, Bz-Pro-Leu-Sar-Pro-OH [80238-40-0] 0.02, Bu p-hydroxybenzoate 0.1, and a perfume 0.1 parts was added to another mixt. consisting of glycerin 2, propylene glycol 2, Me p-hydroxybenzoate 0.1, and water 85.68 parts. The efficacy of this skin lotion was compared with that of com. products.

IT **59191-18-3**
RL: BIOL (Biological study)
(skin lotion contg.)

L13 ANSWER 70 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1980:563452 HCAPLUS
DN 93:163452
TI Active site mapping of human and rat urinary kallikreins by peptidyl chloromethyl ketones
AU Kettner, Charles; Mirabelli, Christopher; Pierce, Jack V.; Shaw, Elliott
CS Biol. Dep., Brookhaven Natl. Lab., Upton, NY, 11973, USA
SO Arch. Biochem. Biophys. (1980), 202(2), 420-30
CODEN: ABBIA4; ISSN: 0003-9861
DT Journal
LA English
AB The reactivity of human and rat urinary kallikrein was detd. with peptides of arginine and lysine chloromethyl ketone. Pro-Phe-ArgCH2Cl, the reagent corresponding to the sequence of kininogen hydrolyzed by kallikrein, was considerably more effective than reagents contg. other substituents in the P1 and P2 positions (the arginine and phenylalanine binding sites, resp.). Pro-Phe-ArgCH2Cl inactivates the human enzyme at the 10-5M level (Ki 45 .mu.M, k2 0.36 min-1) and the rat enzyme at the 10-6M level (Ki 4.8 .mu.M, k2 0.26 min-1). More effective reagents were obtained by substitution of D-phenylalanine for the P3 proline and addn. of a dansyl residue in the P4 position, yielding reagents effective at the 10-7M level for both kallikreins. Expansion of the sequence of kininogen to accommodate the P4 and P5 binding sites of kallikrein resulted in a reagent, Phe-Ser-Pro-Phe-ArgCH2Cl, which is .apprx.6-fold more reactive than the corresponding tripeptide analog for human kallikrein, whereas for rat kallikrein, the tri- and pentapeptide analogs are comparable in reactivity. The importance of arginine in the P1 position and phenylalanine in the P2 positions in the sequence of kallikrein's physiol. substrate in detg. specificity was shown by comparison of the reactivities of the proteases with Ala-Phe-ArgCH2Cl and Ala-Phe-LysCH2Cl and with Pro-Phe-ArgCH2Cl and Pro-Gly-ArgCH2Cl. Substitution of lysine for the P1 arginine and substitution of glycine for the P2 phenylalanine decreased the reactivity of the reagent 10- and 150-fold, resp., for human kallikrein and 200- and 250-fold, resp., for rat kallikrein. Substitution of L-amino acid residues for the P3 proline had little effect on the reactivity of human kallikrein with the affinity labels and decreased the reactivity of the rat enzyme with the affinity labels from 3- to 6-fold.

IT **71259-32-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn of and kallikrein of urine inactivation by, structure in relation to)

IT **74431-05-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L13 ANSWER 71 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1980:464476 HCAPLUS

DN 93:64476
TI Interaction of dansylated peptidyl chloromethanes with trypsin, chymotrypsin, elastase, and thrombin
AU Penny, Glenn S.; Dyckes, Douglas F.
CS Dep. Chem., Univ. Houston, Houston, TX, 77004, USA
SO Biochemistry (1980), 19(13), 2888-94
CODEN: BICHAW; ISSN: 0006-2960
DT Journal
LA English
AB A series of N.alpha.-1-(dimethylamino)-5-naphthalenesulfonyl (dansyl) derivs. of peptidyl chloromethanes (chloromethyl ketones) were synthesized and employed to introduce the fluorescent dansyl moiety specifically into the active sites of proteinases via affinity labeling. Dansylalanyllysylchloromethane (DALCM) was utilized to inactivate and fluorescently label trypsin and the trypsin-like enzyme, thrombin. Dansylleucylphenylalanylchloromethane (DLPCM) was synthesized and selectively employed as an inhibitor of chymotrypsin. The di-, tri-, and tetrapeptides [dansylprolylalanylchloromethane (DPACM), dansylalanylprolylalanylchloromethane (DAPACM), and dansylprolylalanylprolylalanylchloromethane (DPAPACM)] were synthesized and their interaction with elastase was evaluated. The compds. DALCM, DLPCM, and DAPACM all proved to be effective, fast-acting proteinase inhibitors. Studies of energy transfer in the enzyme-inhibitor conjugates led to results entirely consistent with the proposed conformational homol. of thrombin with the other serine proteinases studied. The fluorescent affinity labels are believed to possess enormous potential for the localization, isolation, and characterization of enzymes.
IT **73634-64-7 73634-66-9**
RL: BIOL (Biological study)
(elastase inhibition by)

L13 ANSWER 72 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1980:464285 HCAPLUS
DN 93:64285
TI Determination of coagulase of Staphylococcus by the end-point method using a chromagenic synthetic substrate
AU Igarashi, Hideo; Takahashi, Masashige; Morita, Takashi; Iwanaga, Sadaaki
CS Doeiken, Japan
SO Nippon Saikingaku Zasshi (1980), 35(1), 300
CODEN: NSKZAM; ISSN: 0021-4930
DT Journal
LA Japanese
AB Tosyl-Pro-Gly-Arg-p-nitroanilide (I) was used as a chromogenic substrate for the detn. of coagulase (II) activity; the enzyme activity was detd. by measuring the p-nitroaniline released at 405 nm. Thus, 50 .mu.L samples (5-50 .mu.g II/mL) was incubated with a mixt. consisting of 700 .mu.L Tris-HCl buffer (0.15M, pH 8.4), 400 .mu.L I (10 times the Km value concn.), and 50 .mu.L human prothrombin (100 .mu.g/mL) in the cold (0.degree.) for 25 min, and the absorbance was measured at 405 nm. A pos. correlation was obsd. between the 405-nm absorbance and the II concn. in the range 5-30 .mu.g/mL. For samples contg. <1 .mu.g II/mL, the system was modified by incubating at 37.degree., mixing with 100 .mu.L each of 0.1% $NaNO_2$, 0.5% ammonium sulfamic acid, and 0.1% N-(1-naphthyl)ethylenediamine-diHCl; the diazo deriv. of p-nitroaniline formed was measured at 545 nm. The modified method was sensitive and accurate in the range 0.125-1 .mu.g II/mL.
IT **74474-86-5**
RL: BIOL (Biological study)
(as chromogenic substrate for staphylocoagulase detn.)

L13 ANSWER 73 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1980:440671 HCAPLUS
DN 93:40671
TI Separation of alkylaminonaphthylenesulfonyl peptides and amino acids by high-performance liquid chromatography. Methods for measuring melanotropin inhibiting factor breakdown

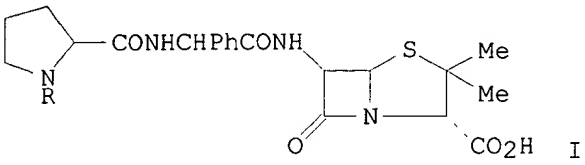
AU Hui, Koon-Sea; Salschutz, Michael; Davis, Bruce A.; Lajtha, Abel
CS Cent. Neurochem., Rockland Res. Inst., Ward's Island, NY, 10035, USA
SO J. Chromatogr. (1980), 192(2), 341-50
CODEN: JOCRAM; ISSN: 0021-9673
DT Journal
LA English
AB N,N-Di-Me, di-Et, di-Pr, di-Bu (Bns), and N-monoisopropylaminonaphthylenes ulfonyl derivs. of melanotropin inhibiting factor (MIF) and its metabolites were prepd., and their chromatog. behavior was investigated with thin-layer chromatog. (TLC) and high-performance liq. chromatog. (HPLC), using 5 solvent systems on polyamide layers and 10 solvent systems on .mu.Bondapak C18 and .mu.Bondapak Ph columns. A mixt. of MIF and its metabolites derivatized with dansyl chloride was adequately resolved by 2-dimensional chromatog. on polyamide layer with solvent systems, HCO2H-H2O (3:97) and C6H6-HOAc (9:1). Bns-MIF and its metabolites were sepd. with .mu.Bondapak C18 column with the solvent system MeCN-0.01M Na2SO4 buffer, pH 7 (50:50). They were sepd. into 5 groups: Gly and Bns acid; Pro-Leu, Leu-Gly and Leu; Pro; Gly-NH2; and MIF. The alkylaminonaphthylenesulfonyl derivs. had strong fluorescence, which permitted their detection at 10-11-10-9 mol. Dansyl-MIF and its derivs. had the lowest detectable amts. HPLC with the aid of the dansyl derivatization is reliable and fast, and is the preferable method for study of neuropeptide breakdown.
IT **74260-41-6 74260-42-7 74260-45-0**
74260-46-1 74260-53-0 74260-60-9
74260-61-0 74260-67-6 74260-68-7
74260-75-6
RL: ANT (Analyte); ANST (Analytical study)
(chromatog. of)

L13 ANSWER 74 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1979:519375 HCAPLUS
DN 91:119375
TI Inactivation of the plasminogen activator from HeLa cells by peptides of arginine chloromethyl ketone
AU Coleman, Patrick; Kettner, Charles; Shaw, Elliott
CS Biol. Dep., Brookhaven Natl. Lab., Upton, NY, 11973, USA
SO Biochim. Biophys. Acta (1979), 569(1), 41-51
CODEN: BBACAQ; ISSN: 0006-3002
DT Journal
LA English
AB The binding specificities of human urinary urokinase and HeLa cell plasminogen activator were studied using peptidyl chloromethyl ketone inhibitors. A 125I-labeled fibrin assay was developed to yield kinetic information. Reagents of the sequence X-Gly-ArgCH2Cl were the most effective. The susceptibility of the HeLa cell plasminogen activator differed from that of urokinase in several respects, indicating the utility of this type of inhibitor in distinguishing between proteases of this specificity.
IT **71259-32-0**
RL: BIOL (Biological study)
(plasminogen activator and urokinase inactivation by)

L13 ANSWER 75 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1978:529505 HCAPLUS
DN 89:129505
TI Penicillin derivatives
IN Morita, Yoshimi; Komata, Kenzo; Oya, Junichi; Wagatsuma, Kazuo; Shirasaka, Tadashi
PA Mitsubishi Chemical Industries Co., Ltd., Japan
SO Japan. Kokai, 6 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

PI	JP 53056687	A2	19780523	JP 76-131491	19761101

GI



I

AB K salts of penicillin derivs. I [R = H2NCO (D-prolyl), H2NCO (DL-prolyl), 4-MeC6H4SO2 (DL-prolyl), Ac (DL-prolyl)] were prepd. by reaction of the corresponding prolines or their reactive derivs. with ampicillin. I had antibacterial activity against gram pos. and neg. bacteria. The min. inhibitory concns. of I against Staphylococcus aureus were 0.25-1.25 .mu.g/mL. Thus, stirring ClCO2Bu-iso, N-carbamoyl-D-proline, and Et3N in CH2Cl2 30 min at -40.degree., followed by mixing with ampicillin-3H2O and 0.35 mL Et3N in CHCl3 90 min at 0.degree. gave, after treatment with K 2-ethylhexanoate, 56% K salt of I.H2O [R = H2NCO, (D-prolyl)].

IT **67600-15-1P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and bactericidal activity of)

L13 ANSWER 76 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1978:406561 HCAPLUS
DN 89:6561
TI Peptide derivative useful in measuring collagenase activity
IN Sakakibara, Shumpei; Nagai, Yutaka; Fujiwara, Kenji; Sakai, Takahiro
PA Ajinomoto Co., Inc., Japan
SO Ger. Offen., 38 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2702699	A1	19771215	DE 77-2702699	19770124
	JP 52091829	A2	19770802	JP 76-6926	19760124
	JP 53028165	A2	19780316	JP 76-103505	19760830
	JP 58043389	B4	19830927		
	JP 53028166	A2	19780316	JP 76-103506	19760830
PRAI	JP 76-6926	19760124			
	JP 76-103505	19760830			
	JP 76-103506	19760830			

AB R-X-Gly-X1-Ala-Gly-X2-OH (R = hydrophobic, neutral acid chromophore; X = X3, Pro-X3; X4 = Ile, Leu; X2 = Glu-D-Arg, D-Arg; X3 = amino acid) were prepd. as substrates for measuring collagenase activity. Thus, BOC-Gln-D-Arg(NO2)-OCH2Ph (BOC = Me3CO2C) was BOC-deblocked and coupled to BOC-Ile-Ala-Gly-OH by Me2N(CH2)3N:C:NEt (WSCI)/ 1-hydroxybenzotrizole (HOBT) to give the protected pentapeptide which was BOC-deblocked and coupled to DNP-Pro-Gln-Gly-OH (DNP = 2,4-O2NC6H3) by WSCI/HOBT to give the protected octapeptide which was deblocked with HF to give DNP-Pro-Gln-Gly-Ile-Ala-Gly-Gln-D-Arg-OH. The octapeptides were substrates for collagenase, but the heptapeptides, which do not contain the N-terminal proline residue, were not active substrates.

IT **65080-28-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as collagenase substrate)

L13 ANSWER 77 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1978:23410 HCAPLUS

DN 88:23410
TI Peptides
IN Sakakibara, Shunpei; Nagai, Hiroshi; Fujiwara, Kenji; Sakai, Takahiro
PA Ajinomoto Co., Inc., Japan
SO Japan. Kokai, 13 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 52091829	A2	19770802	JP 76-6926	19760124
	SE 7700582	A	19770725	SE 77-582	19770120
	SE 431201	B	19840123		
	SE 431201	C	19840503		
	US 4138394	A	19790206	US 77-761020	19770121
	DE 2702699	A1	19771215	DE 77-2702699	19770124
	US 4176009	A	19791127	US 77-853302	19771121
PRAI	JP 76-6926	19760124			
	JP 76-103505	19760830			
	JP 76-103506	19760830			
	US 77-761020	19770121			

AB Four peptides, R-L-Gln-Gly-L-Ile-L-Ala-Gly-L-Gln-D-Arg-OH [R = DNP-L-Pro [I, DNP = 2,4-(O2N)2C6H3], DNP, p-(4-hydroxy-1-naphthylazo)phenylsulfonyl-L-Pro, p-phenylazobenzoyl], useful as reagents in measurement of collagenase activity, were prepd. Thus, treating Boc-L-Ile-L-Ala-Gly-OH (Boc = Me3CO2C) with Boc-L-Gln-D-Arg(NO2)-OCH2Ph gave Boc-L-Ile-L-Ala-Gly-L-Gln-D-Arg(NO2)-CH2Ph, which was treated with DNP-L-Pro-L-Gln-Gly-OH to give, after deprotection, I.
IT **65080-28-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L13 ANSWER 78 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1978:23408 HCAPLUS
DN 88:23408
TI Tri- and tetrapeptides
IN Takeuchi, Tadashi; Sato, Shigeru; Umezu, Kohei
PA Mitsubishi Chemical Industries Co., Ltd., Japan
SO Japan. Kokai, 9 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 52083545	A2	19770712	JP 76-217	19760101
	JP 57020298	B4	19820427		

AB A-Pro-B-C-D-E (I; A = H, Bz, 1-adamantanecarbonyl, dansyl; B = Leu, Phe, Met, Cys, Glu, Trp, Tyr; C = Gly, sarcosyl; D = Pro, pyrrolidinyl; E = HO, lower alkoxy, aralkyloxy, NH2; when D = pyrrolidinyl, then E is eliminated) were prepd. I had collagen synthesis-inhibiting and wound-healing activities. Thus, 1.1 g Et3N and 2.3 g dicyclohexylcarbodiimide were added to a mixt. of 3.7 g Bz-Pro-Phe-OH and 3.0 Gly-Pro-OCH2Ph.HCl in CH2Cl2 at 0-5.degree. and the whole was stirred 2 h to give 70% Bz-Pro-Phe-Gly-Pro-OCH2Ph. Among 23 addnl. I prepd. were Bz-Pro-Leu-Gly-Pro-OCH2Ph, Bz-Pro-Tyr-Gly-Pro-OCH2Ph, dansyl-Pro-Leu-Gly-Pro-OCH2Ph, and adamantyl-Pro-Leu-Gly-Pro-OCH2Ph.
IT **59191-11-6P 59191-13-8P 59191-18-3P**
59191-19-4P 59191-26-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L13 ANSWER 79 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1977:439470 HCAPLUS
DN 87:39470

TI .alpha.-Acylaminobenzylpenicillin derivatives for antibiotics
IN Morita, Yoshiharu; Omata, Kenzo; Ohya, Junichi; Wagatsuma, Kazuo; Shirasaka, Tadashi
PA Mitsubishi Chemical Industries Co., Ltd., Japan
SO Ger. Offen., 43 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2640432	A1	19770317	DE 76-2640432	19760908
	JP 52033689	A2	19770314	JP 75-108708	19750908
	JP 52033690	A2	19770314	JP 75-108709	19750908
	JP 52095687	A2	19770811	JP 76-12119	19760206
	JP 52095688	A2	19770811	JP 76-12121	19760206
	JP 52097992	A2	19770817	JP 76-15335	19760214
	JP 52100488	A2	19770823	JP 76-15563	19760216
	US 4111932	A	19780905	US 76-713808	19760812
	GB 1570381	A	19800702	GB 78-24678	19760820
	CH 605980	A	19781013	CH 76-11340	19760907
	FR 2322598	A1	19770401	FR 76-27034	19760908
	US 4179437	A	19791218	US 78-899458	19780424
	US 4220587	A	19800902	US 78-915481	19780614

PRAI	JP 75-108708	19750908
	JP 75-108709	19750908
	JP 76-12119	19760206
	JP 76-12121	19760206
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	JP 76-15563	19760216
	JP 75-103708	19750908
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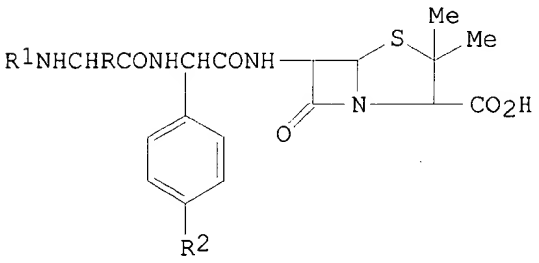

I

AB Penicillins I [RR1 = (CH2)2-4, CH2CH2CO, CH2CH(OH)CH2, o-CH2C6H4CH2, o-C6H4CH2; R = Me, CH2CHMe2, R1 = Me; R2 = H, OH] and some N-protected intermediates were prepd. Thus, ampicillin was treated with N-(benzyloxycarbonyl)-D-proline and hydrogenated over Pd-BaCO3 to give 67% I [RR1 = (CH2)3, R2 = H], which had a min. inhibitory concn. against Staphylococcus aureus (FDA 209P) of 0.45 .mu.g/mL.
IT **63169-16-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and deblocking of)

L13 ANSWER 80 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1976:180643 HCAPLUS
DN 84:180643
TI Tri-, tetrapeptides, and their derivatives
IN Takeuchi, Tadashi; Sato, Shigeru; Umezu, Kohei
PA Mitsubishi Chemical Industries Co., Ltd., Japan
SO Japan. Kokai, 9 pp.
CODEN: JKXXAF
DT Patent

LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 51011761	A2	19760130	JP 74-82778	19740719
	JP 57019097	B4	19820420		

AB A-Pro-B-C-D-E (I; A = H, Bz, 1-adamantanecarbonyl, dansyl; B = Leu, Phe, Met, Cys, Glu, Trp, Tyr; C = Gly, sarcosyl; D = Pro, pyrrolidinyl; E = HO, lower alkoxy, aralkyloxy, NH2; when D = pyrrolidinyl, then E is eliminated) were prepd. I had collagen synthesis-inhibiting and wound-repairing activities. Thus, 1.1 g Et3N and 2.3 g dicyclohexylcarbodiimide were added to a mixt. of 3.7 g Bz-Pro-Phe-OH and 3.0 g Gly-Pro-OCH2Ph.HCl in CH2Cl2 at 0-5.degree. and the whole was stirred 2 hr to give 70% Bz-Pro-Phe-Gly-Pro-OCH2Ph. Among 23 addnl. I prepd. were Bz-Pro-Leu-Gly-Pro-OCH2Ph, Bz-Pro-Tyr-Gly-Pro-OCH2Ph, dansyl-Pro-Leu-Gly-Pro-OCH2Ph, and adamantyl-Pro-Leu-Gly-Pro-OCH2Ph.

IT **59191-11-6P 59191-13-8P 59191-18-3P**
59191-19-4P 59191-26-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L13 ANSWER 81 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1976:165199 HCAPLUS
DN 84:165199
TI Chromogenic or fluorescent substrate for enzyme determination
IN Svendsen, Lars G.
PA Pentapharm A.-G., Switz.
SO Ger. Offen., 67 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2527932	A1	19760122	DE 75-2527932	19750623
	DE 2527932	C2	19830421		
	CH 609154	A	19790215	CH 74-9210	19740702
	ZA 7504019	A	19760526	ZA 75-4019	19750624
	NO 7502386	A	19760105	NO 75-2386	19750630
	NO 147212	B	19821115		
	NO 147212	C	19830223		
	DD 120715	C	19760620	DD 75-186968	19750630
	US 4016042	A	19770405	US 75-592023	19750630
	CA 1049506	A1	19790227	CA 75-230464	19750630
	NL 7507802	A	19760106	NL 75-7802	19750701
	NL 188354	B	19920102		
	NL 188354	C	19920601		
	AU 7582631	A1	19770106	AU 75-82631	19750701
	SE 424635	B	19820802	SE 75-7545	19750701
	SE 424635	C	19821111		
	BE 830911	A1	19751103	BE 75-157901	19750702
	FR 2279106	A1	19760213	FR 75-20756	19750702
	FR 2279106	B1	19810430		
	JP 51029998	A2	19760313	JP 75-81754	19750702
	JP 56022280	B4	19810523		
PRAI	CH 74-9210		19740702		
	CH 75-6088		19750509		

AB R1-Pro-X-Arg-R2 [X = Phe, Tyr, C-phenylglycine, or .beta.-cyclohexylalanine residues; R1 = e.g., Ac, Bz, 4-H2NC6H4CO, 4-H2NC6H4CH2CO, tosyl, 4-(aminomethyl)cyclohexylcarbonyl, .omega.-aminocaproyl, PhCH2CH2CO, 4-MeC6H4CO; R2 = NHC6H4NO2-4, NHR3, R3 = 2-naphthyl, 4-methoxy-2-naphthyl](18 compds.), useful for detg. enzymes in blood plasma by observing a shift to higher wavelengths in the uv spectra after cleavage by the enzyme, e.g., plasmin, trypsin, thrombin, were prepd. by std. coupling methods.

IT **59188-46-4P 59188-47-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L13 ANSWER 82 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1973:97995 HCAPLUS
DN 78:97995
TI N-Terminal groups in mass spectrometry of peptides. New and useful derivatives
AU Day, Richard A.; Falter, Herman; Lehman, James P.; Hamilton, Robert E.
CS Dep. Chem., Univ. Cincinnati, Cincinnati, Ohio, USA
SO J. Org. Chem. (1973), 38(4), 782-8
CODEN: JOCEAH
DT Journal
LA English
AB In an effort to find volatile peptide derivatives with mass spectrometric fragmentation characteristics suitable for peptide sequencing studies, twenty new N-terminal blocking groups were used to derivatize the test peptide Val-Ile-Ala. Electron impact mass spectra were obtained for the deriv. esters and compared to the previously reported spectra of the test peptide in terms of relative intensity of mol. and N-terminal sequence ions. Thirty-four derivs. were compared in all. The most successful of these in terms of ease of interpretation were the 5-(N,N-dimethylamino)naphthalenesulfonyl, p-dimethylaminobenzylidene, and 4-(N,N-dimethylamino)naphthylidene derivs. The intensities of the mol. ions were 10-100 times greater relative to the base peak than in previously reported spectra of derivs. of Val-Ile-Ala. The M-56 ions, ascribed as arising from a McLafferty rearrangement and loss of C4H8 from the isoleucyl residue, did not appear from most of the derivs. displaying relatively intense mol. ions. The apparent inverse relationships between the relative intensities of mol. ions and the corresponding M-56 ions was attributed to ionization potential effects. Selection of the appropriate derivs. of the more complex peptides, Pro-Val-Ile-Ala, Met-Val-Ile-Ala, Glu-Try-Glu, Gly-Pro-Gly-Gly, Gly-Gly-Gly-Gly-Gly-Gly, and the gastrin C-terminal fragment, Try-Met-Asp-Phe-NH2 led to mass spectra contg. sufficient information to allow sequence assignment in every instance; however, the amino acid compn. was required in some cases.
IT **40759-98-6**
RL: PRP (Properties)
(mass spectroscopy of)

L13 ANSWER 83 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1971:126040 HCAPLUS
DN 74:126040
TI Photolysis of dansyl amino acids and dansyl peptides
AU D'Souza, Leo; Bhatt, Kumud; Madaiah, M.; Day, Richard A.
CS Dep. Chem., Univ. Cincinnati, Cincinnati, Ohio, USA
SO Arch. Biochem. Biophys. (1970), 141(2), 690-3
CODEN: ABBIA4
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB Dansyl amino acids and dansyl peptides were photolyzed in soln. (pH .gtoreq. 7) to give I, the corresponding amino acid or peptide, and NH3. In acidic solns., the yield of amino acid and (or) peptide was good while that of NH3 was low or negligible. The highest quantum yields of cleavage of the sulfonamide bond were obsd. in acid. Dansyltryptophan and dansylated peptides contg. tryptophan were cleaved at slower rates and with less specificity than other derivs. The rate of photolysis at a given light flux was dependent on the pH. The mechanism involved a hydrolysis of the deriv. in the excited state.
IT **31944-27-1**
RL: RCT (Reactant)
(photolysis of)

L13 ANSWER 84 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1968:69316 HCAPLUS

DN 68:69316
TI Peptide synthesis of a new collagenase substrate by the Merrifield method
AU Schoellmann, Guenther
CS Sch. of Med., Tulane Univ., New Orleans, La., USA
SO Hoppe-Seyler's Z. Physiol. Chem. (1967), 348(12), 1629-32
CODEN: HSZPAZ
DT Journal
LA German
AB The synthesis of 1-di-methylaminonaphthalene - 5 - (sulfonyl)prolylleucylglycylprolylarginine (I) utilized the solid-phase method of Merrifield. 1-Dimethylaminonaphthalene-5-sulfonyl chloride was treated with proline, to produce the proline deriv. which was purified by gel filtration on Sephadex G-10 and then incorporated into the peptide portion. On thin-layer chromatog. on silica gel G eluted with CHCl3-MeOH-HOAc (75:20:5) the proline deriv. had a Rf 0.73. Similarly I eluted with CHCl3-MeOH-17% NH4OH (2:2:1) had an Rf 0.74. I was purified by gel filtration on CM-Sephadex G-25. I is fluorescent and is suitable as a substrate for the detn. of collagenases.
IT **17303-45-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
IT **17191-42-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, on solid-phase polymer)

L13 ANSWER 85 OF 85 HCAPLUS COPYRIGHT 1999 ACS
AN 1968:22261 HCAPLUS
DN 68:22261
TI Preparation of peptides
IN Hoffman, Eliahu
PA Yissum Research Development Co.
SO Fr., 3 pp.
CODEN: FRXXAK
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 1454653		19661007		
PRAI	GB		19641029		

AB A new method of prepn. of peptides is described. (Z = PhCH2O2C and Tos = p-MeC6H4SO2 throughout this abstr.) Thus, a soln. of 6.1 g. methyl benzyloxycarbonylglycinate and 0.0435 mole free guanidine in 20 cc. anhyd. EtOH is left at room temp. several hrs. to give 5.5 g. benzyloxycarbonylglycylguanidine (I), m. 152.degree. (water, EtOH-EtOAc, or MeNO2). A soln. of 1.25 g. I in 5 cc. HCONMe2 is mixed with 0.7 g. glycinate hydrochloride in water, the pH adjusted to 8.0 with NaOH, the soln. stirred at room temp. overnight and evapd. to dryness in vacuo, and the residue treated with water to give 1.35 g. ethyl Z-Gly-Gly-OEt. In a similar way the following products are prepd.: 51% Z-dl-Ala-Gly-NHC(:NH)NH2, m. 175-6.degree.; 50% Z-dl-Ala-Gly-Gly-OEt, m. 110.degree.; benzyloxycarbonyl-l-valylguanidine; 23% Z-l-Val-Gly-OEt, m. 166.degree.; 87.6% hippurylguanidine, m. 182.degree.; 76% ethyl hippurylglycinate, m. 118.degree.. A soln. of 1.17 g. N-formyl-L-phenylalanylguanidine in 10 cc. HCONMe2 is mixed with 0.7 g. ethyl glycinate hydrochloride in 1.5 cc. water, the pH adjusted to 8, the soln. stirred at room temp. overnight and evapd. to dryness in vacuo, and the residue treated with aq. 10% Na2-CO3 to give 1.13 g. CHO-L-Phe-Gly-OEt m. 132.degree. (water), [.alpha.] 4.6.degree. (c 1.6, anhyd. EtOH). In a similar way the following products are prepd.: 71% CHO-L-Val-Gly-OEt m. 155.degree.; 68% Tos-L-Pro-Gly-OEt m. 84-6.degree., [.alpha.]23D -115.8.degree. (c 4.5, anhyd. EtOH).
IT **4172-31-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

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=> fil caold

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L14           2 L12

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L14  ANSWER 1 OF 2  COPYRIGHT 1999 ACS
AN   CA65:15502a  CAOLD
DT   Patent
IT   4172-31-0   4172-33-2

L14  ANSWER 2 OF 2  COPYRIGHT 1999 ACS
AN   CA63:18255f  CAOLD
IT    583-93-7   3005-87-6   4172-28-5   4172-29-6   4172-31-0
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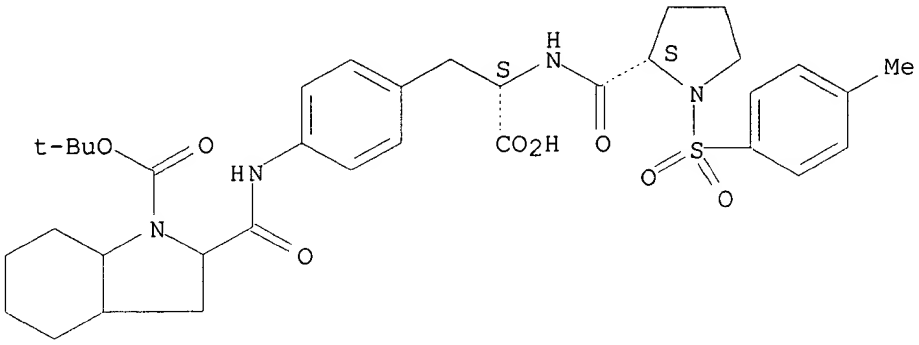

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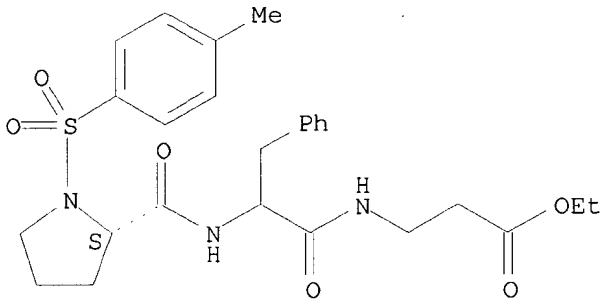
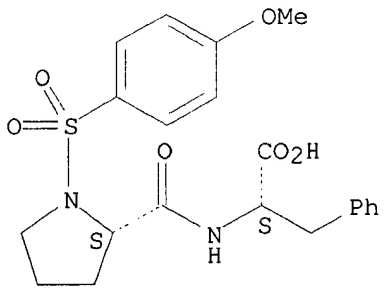


1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L12 ANSWER 59 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 220186-99-2 REGISTRY
CN INDEX NAME NOT YET ASSIGNED
FS STEREOSEARCH
MF C21 H24 N2 O6 S
SR CA
LC STN Files: CAPLUS

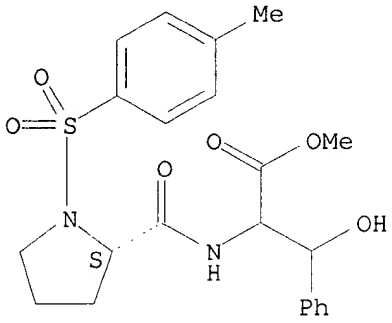
Absolute stereochemistry.



1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L12 ANSWER 68 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 220177-04-8 REGISTRY
CN INDEX NAME NOT YET ASSIGNED
FS STEREOSEARCH
MF C22 H26 N2 O6 S
SR CA
LC STN Files: CAPLUS

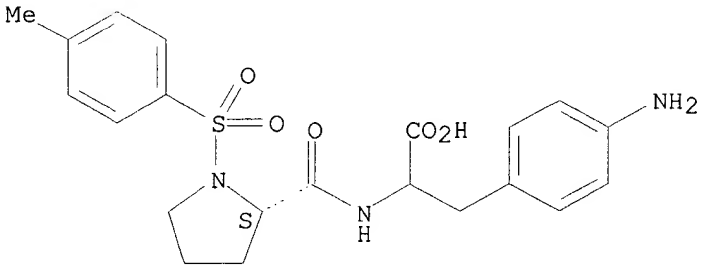
Absolute stereochemistry.



1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L12 ANSWER 69 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 220176-98-7 REGISTRY
CN INDEX NAME NOT YET ASSIGNED
FS STEREOSEARCH
MF C21 H25 N3 O5 S
SR CA
LC STN Files: CAPLUS

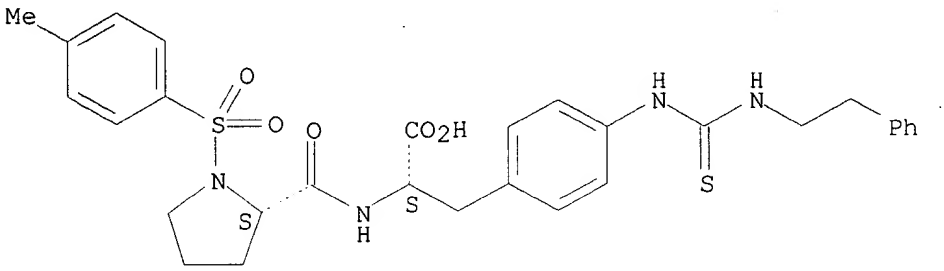
Absolute stereochemistry.



2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L12 ANSWER 119 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 220150-61-8 REGISTRY
CN INDEX NAME NOT YET ASSIGNED
FS STEREOSEARCH
MF C30 H34 N4 O5 S2
SR CA
LC STN Files: CAPLUS

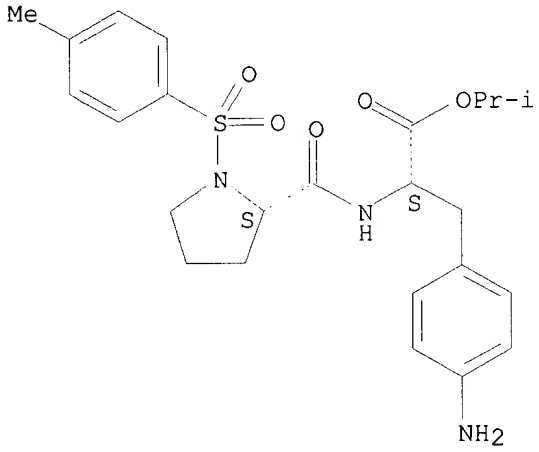
Absolute stereochemistry.



1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L12 ANSWER 121 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 220149-86-0 REGISTRY
CN INDEX NAME NOT YET ASSIGNED
FS STEREOSEARCH
MF C24 H31 N3 O5 S
SR CA
LC STN Files: CAPLUS

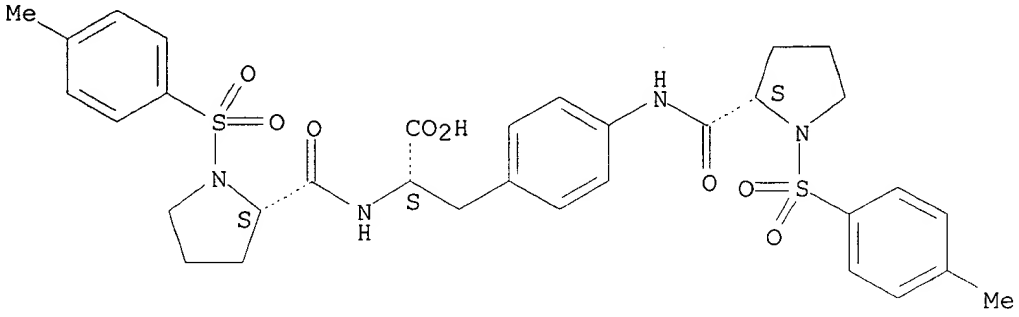
Absolute stereochemistry.



1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L12 ANSWER 184 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 220148-99-2 REGISTRY
CN INDEX NAME NOT YET ASSIGNED
FS STEREOSEARCH
MF C33 H38 N4 O8 S2
SR CA
LC STN Files: CAPLUS

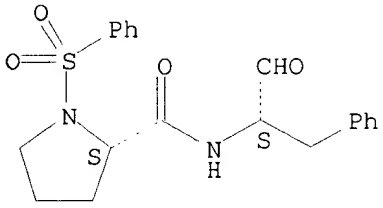
Absolute stereochemistry.



1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L12 ANSWER 196 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 218602-52-9 REGISTRY
CN 2-Pyrrolidinecarboxamide, N-[(1S)-1-formyl-2-phenylethyl]-1-(phenylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C20 H22 N2 O4 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

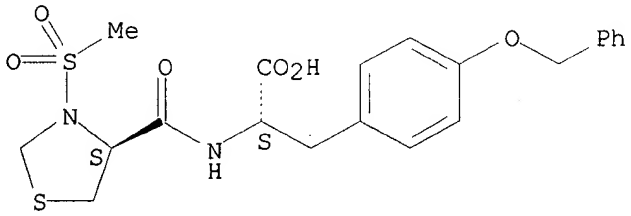


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:81806

L12 ANSWER 198 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 217479-41-9 REGISTRY
CN L-Tyrosine, N-[[(4S)-3-(methylsulfonyl)-4-thiazolidinyl]carbonyl]-O-(phenylmethyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C21 H24 N2 O6 S2
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

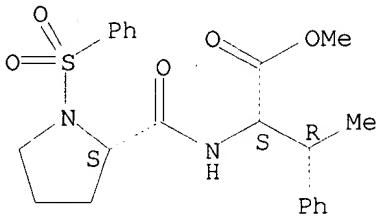


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:52733

L12 ANSWER 199 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 217453-75-3 REGISTRY
CN L-Phenylalanine, 1-(phenylsulfonyl)-L-prolyl-.beta.-methyl-, methyl ester, (.beta.R)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C22 H26 N2 O5 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

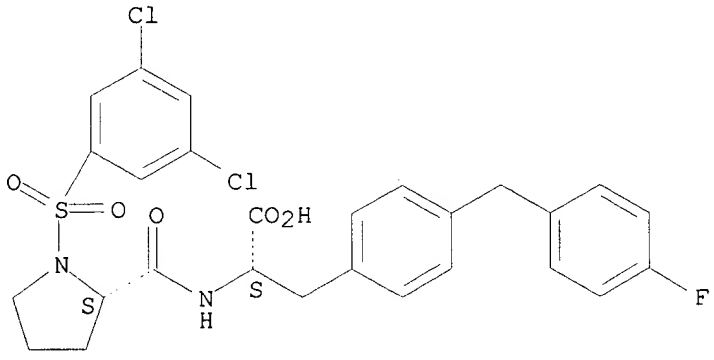


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:52724

L12 ANSWER 239 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 217452-99-8 REGISTRY
CN L-Phenylalanine, 1-[(3,5-dichlorophenyl)sulfonyl]-L-prolyl-4-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C27 H25 Cl2 F N2 O5 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



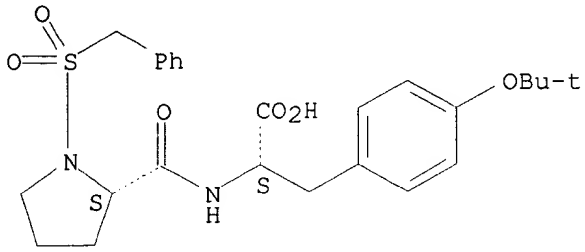
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:52724

L12 ANSWER 286 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 217451-99-5 REGISTRY
CN L-Tyrosine, 1-[(phenylmethyl)sulfonyl]-L-prolyl-O-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C25 H32 N2 O6 S
SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

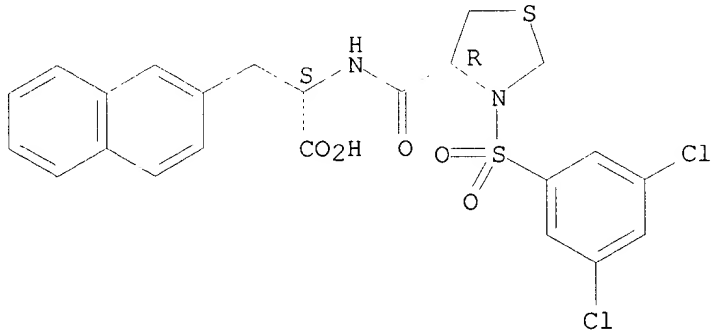


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:52724

L12 ANSWER 324 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 217450-98-1 REGISTRY
CN 2-Naphthalenepropanoic acid, .alpha.-[[[(4R)-3-[(3,5-dichlorophenyl)sulfonyl]-4-thiazolidinyl]carbonyl]amino]-, (.alpha.S)-(9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C23 H20 Cl2 N2 O5 S2
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

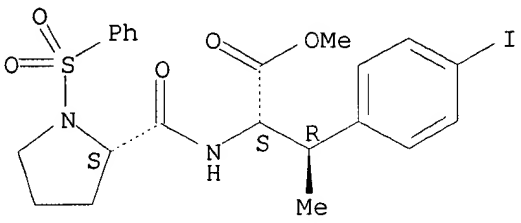


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:52724

L12 ANSWER 348 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 217326-95-9 REGISTRY
CN L-Phenylalanine, 1-(phenylsulfonyl)-L-prolyl-4-iodo-.beta.-methyl-, methyl ester, (.beta.R)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C22 H25 I N2 O5 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

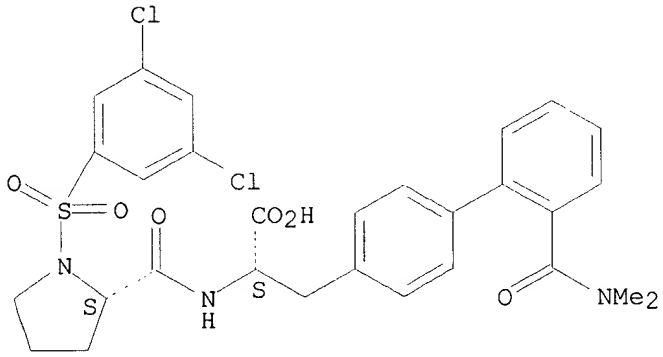


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:52736

L12 ANSWER 382 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 217325-98-9 REGISTRY
CN L-Alanine, 1-[(3,5-dichlorophenyl)sulfonyl]-L-prolyl-3-[2'-[(dimethylamino)carbonyl][1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H29 Cl2 N3 O6 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

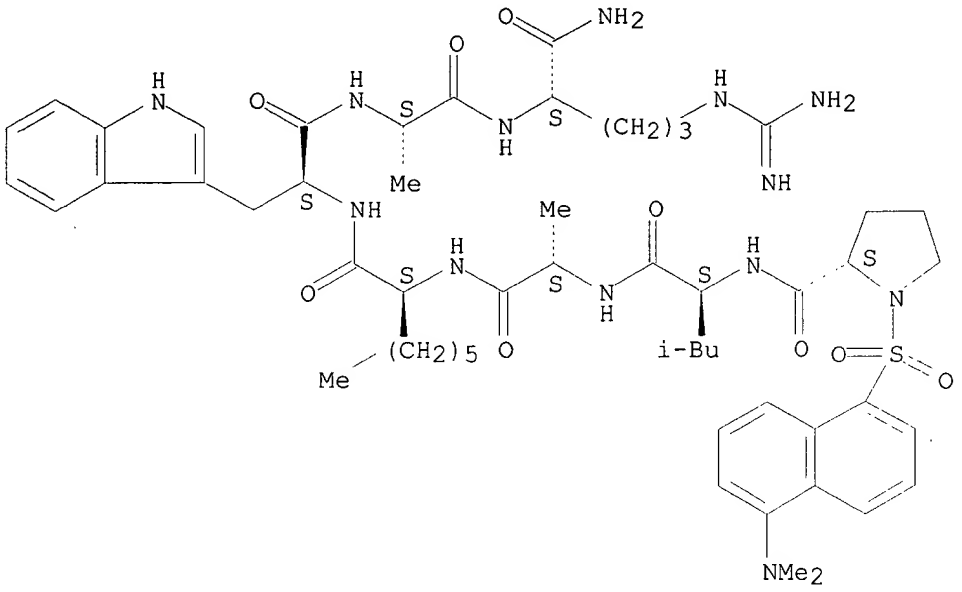


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:52736

L12 ANSWER 424 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 204981-65-7 REGISTRY
CN L-Argininamide, 1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-L-prolyl-L-leucyl-L-alanyl-(2S)-2-aminooctanoyl-L-tryptophyl-L-alanyl- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C54 H79 N13 O9 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

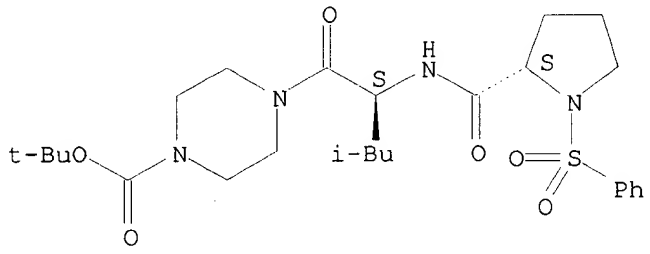


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 128:241112

L12 ANSWER 435 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 202282-11-9 REGISTRY
CN 1-Piperazinecarboxylic acid, 4-[1-(phenylsulfonyl)-L-prolyl-L-leucyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C26 H40 N4 O6 S
SR CA
LC STN Files: CA, CAPLUS, TOXLIT

Absolute stereochemistry.

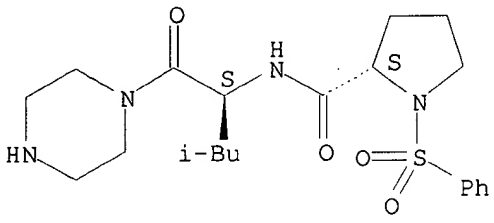


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 128:136515

L12 ANSWER 436 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 202281-13-8 REGISTRY
CN 2-Pyrrolidinecarboxamide, N-[3-methyl-1-(1-piperazinylcarbonyl)butyl]-1-(phenylsulfonyl)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C21 H32 N4 O4 S
SR CA
LC STN Files: CA, CAPLUS, TOXLIT

Absolute stereochemistry.

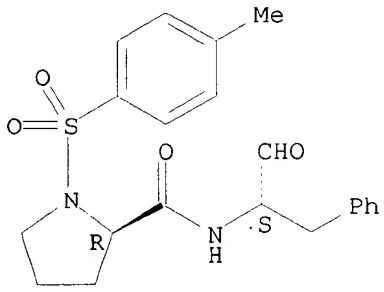


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 128:136515

L12 ANSWER 437 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 192722-90-0 REGISTRY
CN 2-Pyrrolidinecarboxamide, N-[(1S)-1-formyl-2-phenylethyl]-1-[(4-methylphenyl)sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 2-Pyrrolidinecarboxamide, N-(1-formyl-2-phenylethyl)-1-[(4-methylphenyl)sulfonyl]-, [R-(R*,S*)]-
FS STEREOSEARCH
MF C21 H24 N2 O4 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



3 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

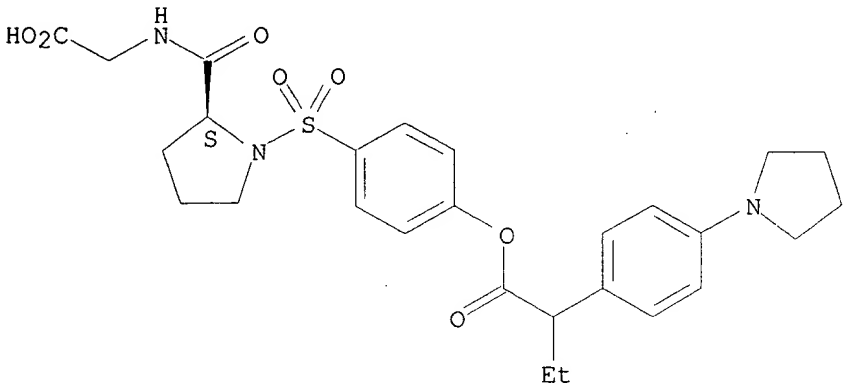
REFERENCE 1: 130:81806

REFERENCE 2: 130:66800

REFERENCE 3: 127:121991

L12 ANSWER 441 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 190252-08-5 REGISTRY
CN Glycine, 1-[[4-[1-oxo-2-[4-(1-pyrrolidinyl)phenyl]butoxy]phenyl]sulfonyl]-L-prolyl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C27 H33 N3 O7 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



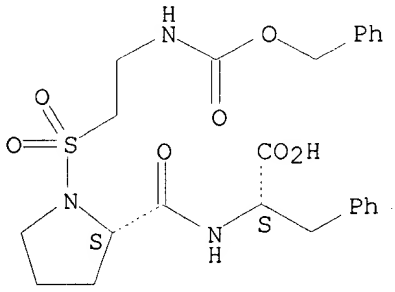
2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:175653

REFERENCE 2: 127:5005

L12 ANSWER 442 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 189256-05-1 REGISTRY
CN L-Phenylalanine, 1-[[2-[[(phenylmethoxy)carbonyl]amino]ethyl]sulfonyl]-L-prolyl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C24 H29 N3 O7 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



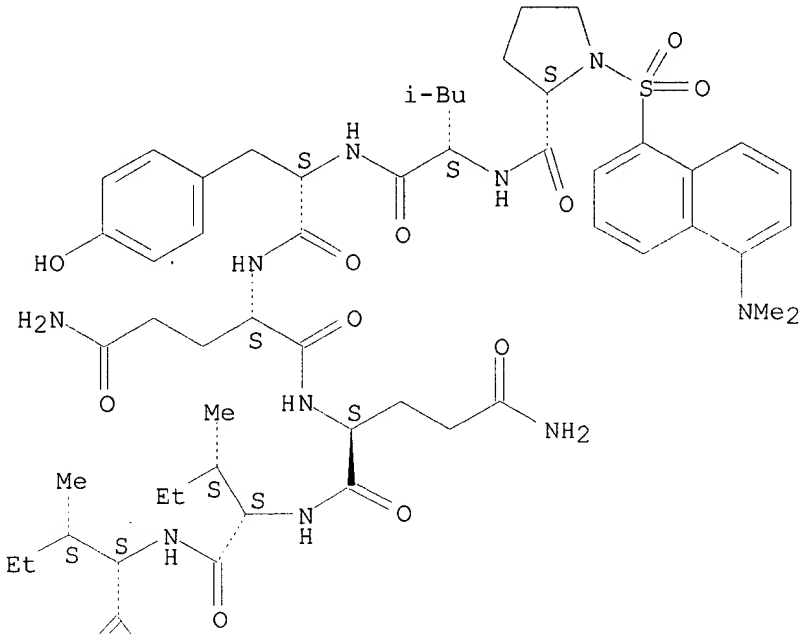
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:305778

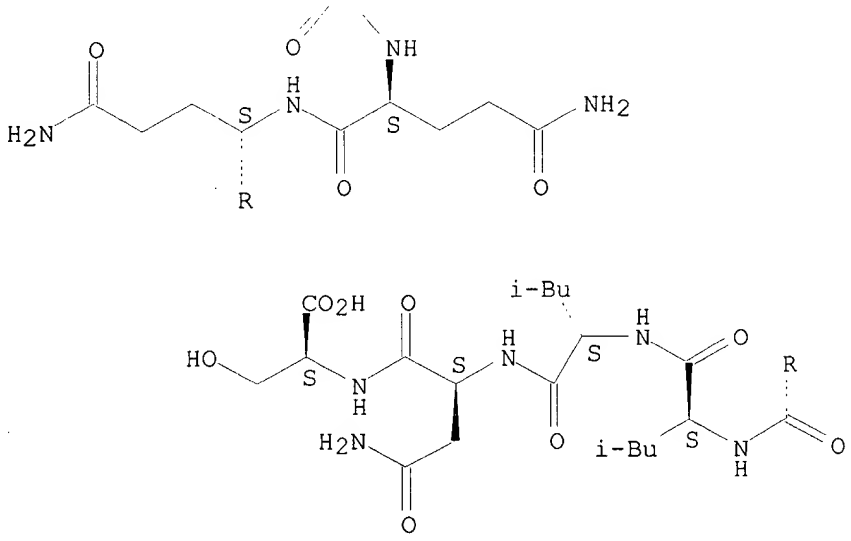
L12 ANSWER 445 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 188446-57-3 REGISTRY
CN L-Serine, 1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-L-prolyl-L-leucyl-L-tyrosyl-L-glutaminyl-L-glutaminyl-L-isoleucyl-L-isoleucyl-L-glutaminyl-L-glutaminyl-L-leucyl-L-leucyl-L-asparaginyl- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C83 H127 N19 O23 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



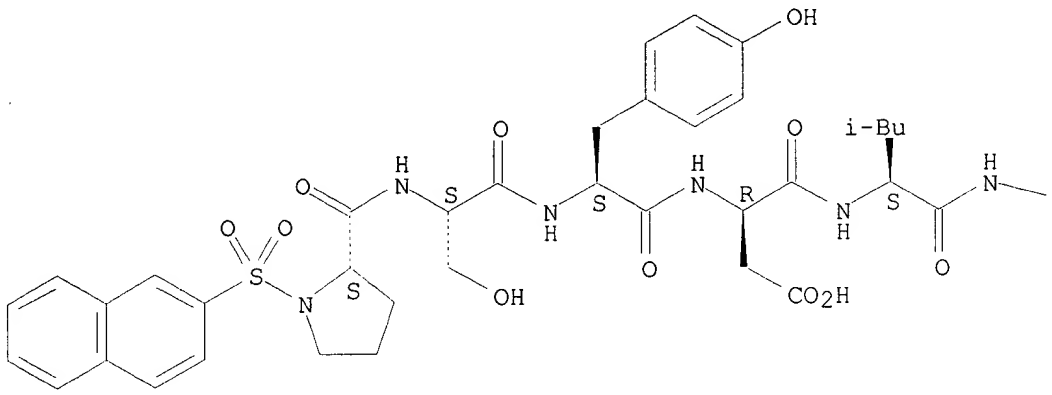
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:234874

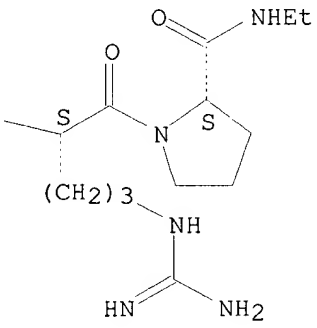
L12 ANSWER 450 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 185321-83-9 REGISTRY
CN L-Prolinamide, 1-(2-naphthalenylsulfonyl)-L-prolyl-L-seryl-L-tyrosyl-D-.alpha.-aspartyl-L-leucyl-L-arginyl-N-ethyl- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C50 H69 N11 O13 S
SR CA
LC STN Files: CA, CAPLUS, TOXLIT

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

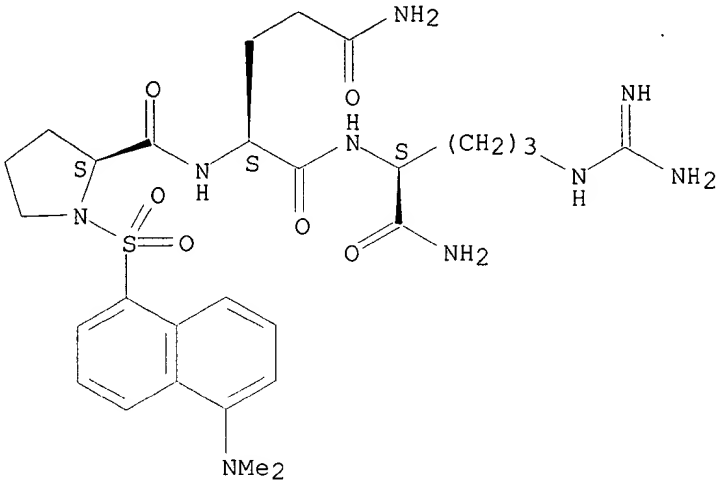


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:55103

L12 ANSWER 451 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 175297-56-0 REGISTRY
CN L-Argininamide, 1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-L-prolyl-L-glutaminyl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C28 H41 N9 O6 S
SR CA
LC STN Files: CA, CAPLUS, TOXLIT

Absolute stereochemistry.

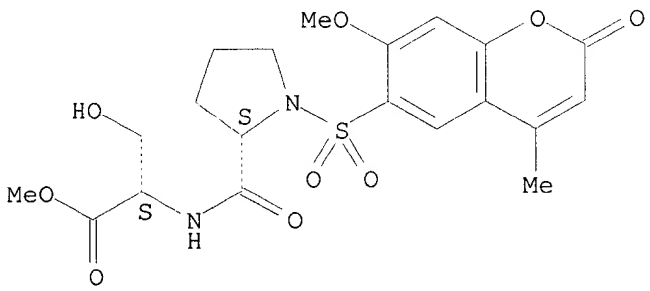


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 124:251755

L12 ANSWER 452 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 161256-08-2 REGISTRY
CN L-Serine, N-[1-[(7-methoxy-4-methyl-2-oxo-2H-1-benzopyran-6-yl)sulfonyl]-L-prolyl]-, methyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C20 H24 N2 O9 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

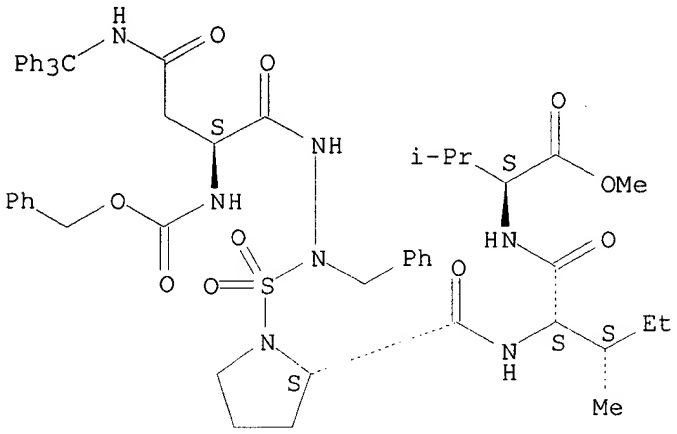


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 122:161309

L12 ANSWER 453 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 161001-60-1 REGISTRY
CN L-Valine, N-[N-[1-[[2-[1,4-dioxo-2-[[(phenylmethoxy)carbonyl]amino]-4-[(triphenylmethyl)amino]butyl]-1-(phenylmethyl)hydrazino]sulfonyl]-L-prolyl]-L-isoleucyl]-, methyl ester, (S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C55 H65 N7 O10 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

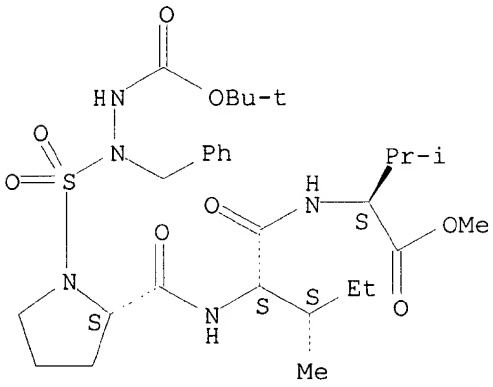


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 122:133772

L12 ANSWER 456 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 159525-99-2 REGISTRY
CN L-Valine, N-[N-[1-[[2-[(1,1-dimethylethoxy)carbonyl]-1-(phenylmethyl)hydrazino]sulfonyl]-L-prolyl]-L-isoleucyl]-, methyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H47 N5 O8 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

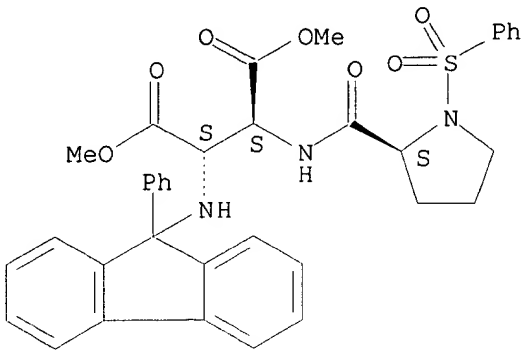


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 122:10540

L12 ANSWER 457 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 159434-66-9 REGISTRY
CN L-Aspartic acid, 3-[(9-phenyl-9H-fluoren-9-yl)amino]-N-[1-(phenylsulfonyl)-L-prolyl]-, dimethyl ester, threo- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C36 H35 N3 O7 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry. Rotation (-).

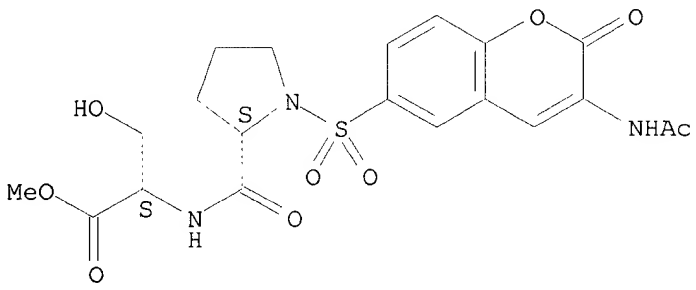


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 122:31909

L12 ANSWER 458 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 156773-57-8 REGISTRY
CN L-Serine, N-[1-[[3-(acetylamino)-2-oxo-2H-1-benzopyran-6-yl]sulfonyl]-L-prolyl]-, methyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C20 H23 N3 O9 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



3 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

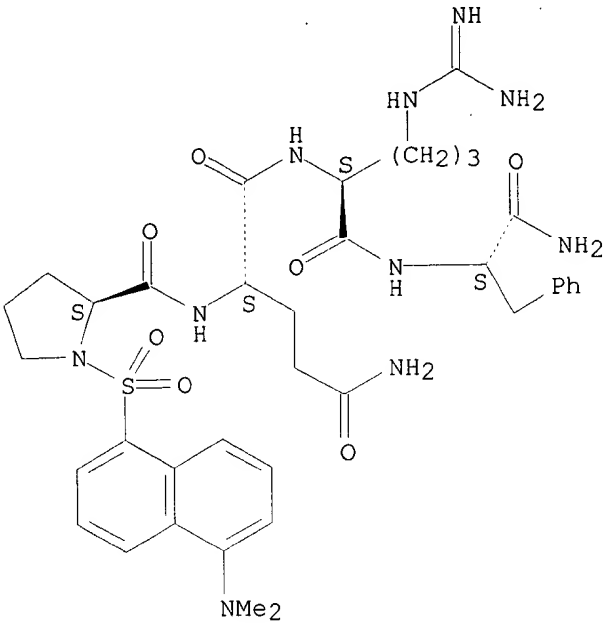
REFERENCE 1: 122:31870

REFERENCE 2: 121:109633

REFERENCE 3: 121:109623

L12 ANSWER 459 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 151870-87-0 REGISTRY
CN L-Phenylalaninamide, 1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-L-prolyl-L-glutaminyl-L-arginyl- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C37 H50 N10 O7 S
SR CA
LC STN Files: CA, CAPLUS, MEDLINE, TOXLINE, TOXLIT

Absolute stereochemistry.



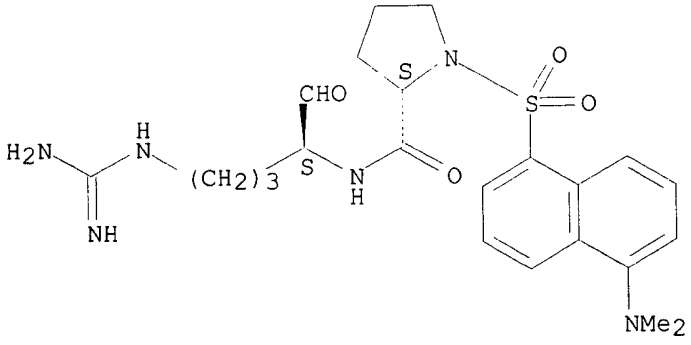
2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 120:46125

REFERENCE 2: 120:25486

L12 ANSWER 460 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 150729-47-8 REGISTRY
CN 2-Pyrrolidinecarboxamide, N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C23 H32 N6 O4 S
CI COM
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



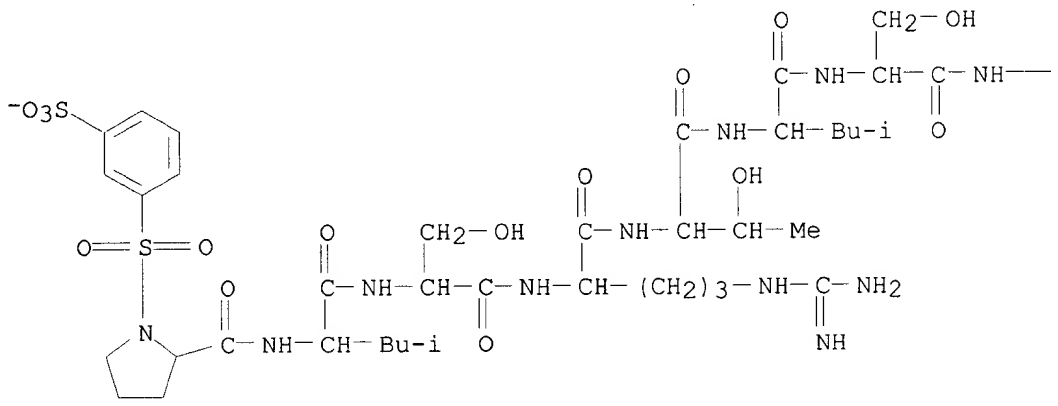
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 119:226427

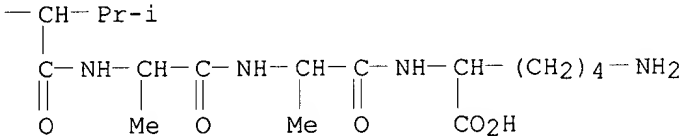
L12 ANSWER 463 OF 629 REGISTRY COPYRIGHT 1999 ACS

RN 149901-74-6 REGISTRY
CN L-Lysine, N2-[N-[N-[N-[N-[N-[N2-[N-[N-[1-[[2(or 4)-[3,6-bis(diethylamino)xanthylium-9-yl]-5-sulfophenyl]sulfonyl]-L-prolyl]-L-leucyl]-L-seryl]-L-arginyl]-L-threonyl]-L-leucyl]-L-seryl]-L-valyl]-L-alanyl]-L-alanyl]-, inner salt (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Xanthylium, L-lysine deriv.
FS PROTEIN SEQUENCE
MF C77 H119 N17 O21 S2
CI IDS
SR CA
LC STN Files: CA, CAPLUS

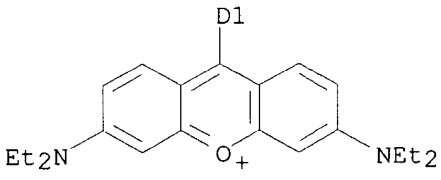
PAGE 1-A



PAGE 1-B



PAGE 2-A



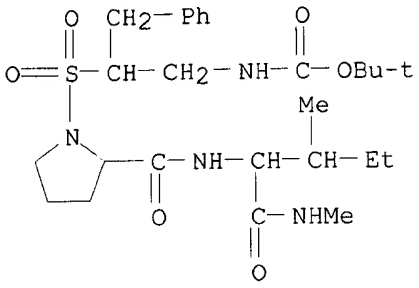
2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 123:279333

REFERENCE 2: 119:154888

L12 ANSWER 465 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 148261-19-2 REGISTRY

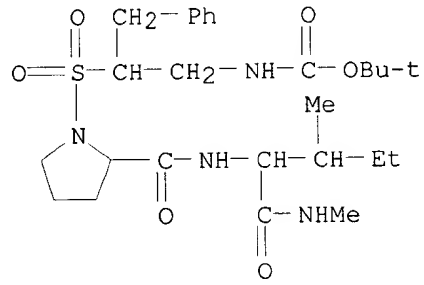
CN L-Isoleucinamide, 1-[[1-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-2-phenylethyl]sulfonyl]-L-prolyl-N-methyl-, (R)- (9CI) (CA INDEX NAME)
MF C26 H42 N4 O6 S
SR CA
LC STN Files: CA, CAPLUS, CASREACT



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 119:28570

L12 ANSWER 468 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 148200-85-5 REGISTRY
CN L-Isoleucinamide, 1-[[1-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-2-phenylethyl]sulfonyl]-L-prolyl-N-methyl-, (S)- (9CI) (CA INDEX NAME)
MF C26 H42 N4 O6 S
SR CA
LC STN Files: CA, CAPLUS, CASREACT

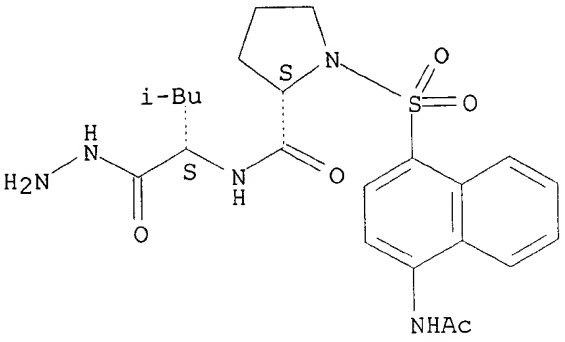


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 119:28570

L12 ANSWER 472 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 146234-11-9 REGISTRY
CN L-Leucine, N-[1-[[4-(acetylamino)-1-naphthalenyl]sulfonyl]-L-prolyl]-, hydrazide (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C23 H31 N5 O5 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

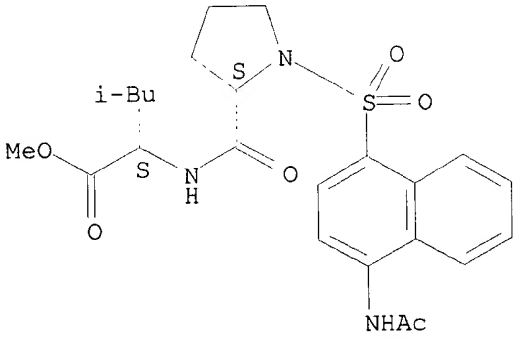


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 118:143256

L12 ANSWER 475 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 146233-98-9 REGISTRY
CN L-Leucine, N-[1-[[4-(acetylamino)-1-naphthalenyl]sulfonyl]-L-prolyl]-, methyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C24 H31 N3 O6 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 118:143256

L12 ANSWER 478 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 145179-71-1 REGISTRY
CN .beta.-Alanine, N-[N-[N-[N-[N-[N-[N2-[1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-L-prolyl]-L-glutaminyl]glycyl]-L-isoleucyl]-L-alanyl]glycyl]glycyl]glycyl]- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C42 H61 N11 O13 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

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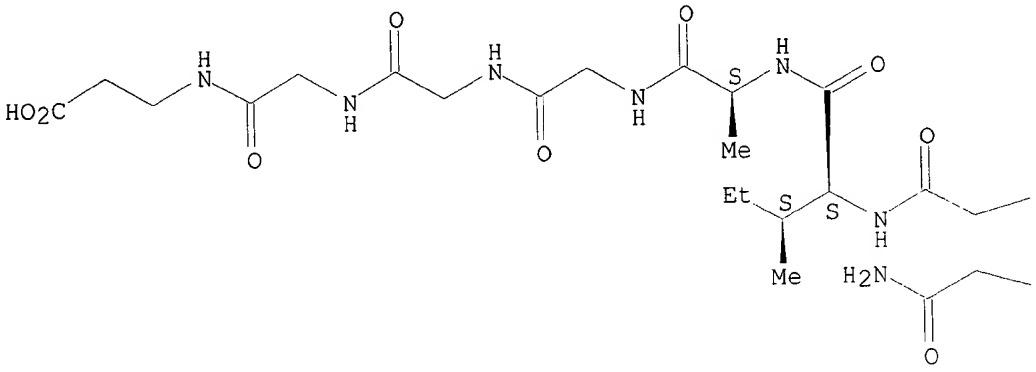
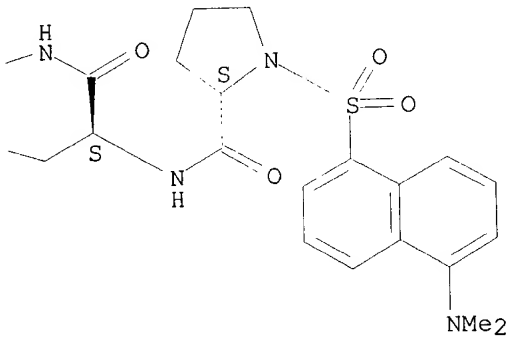


PAGE 1-B



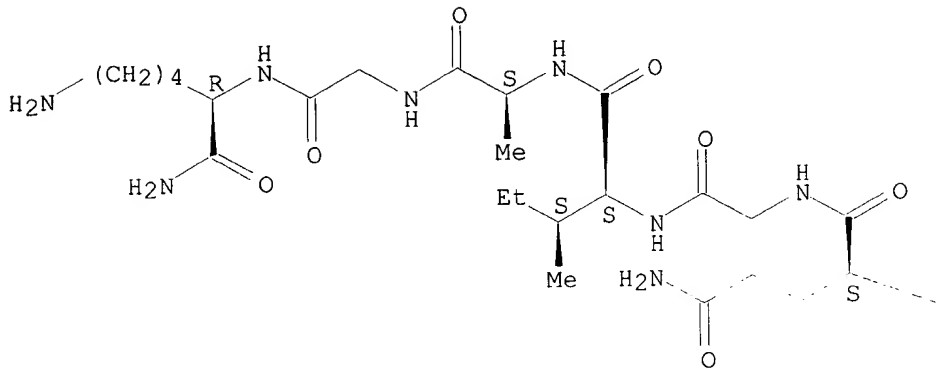
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 118:34637

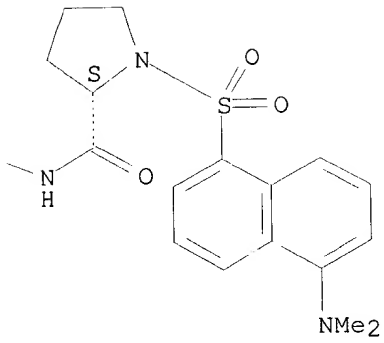
L12 ANSWER 480 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 145152-96-1 REGISTRY
CN D-Lysinamide, 1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-L-prolyl-L-glutaminylglycyl-L-isoleucyl-L-alanylglycyl- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C41 H63 N11 O10 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

PAGE 1-A



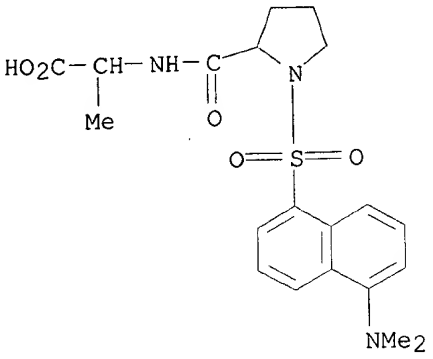
PAGE 1-B



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 118:34637

L12 ANSWER 483 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 144055-18-5 REGISTRY
CN L-Alanine, N-[1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-L-prolyl]-
(9CI) (CA INDEX NAME)
MF C20 H25 N3 O5 S
SR CA
LC STN Files: CA, CAPLUS

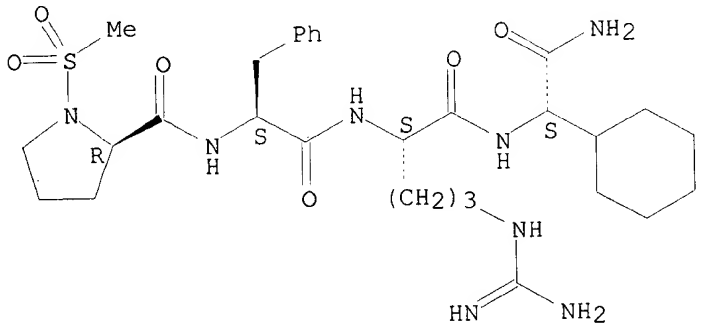


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 117:211689

L12 ANSWER 486 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 143127-51-9 REGISTRY
CN Glycinamide, 1-(methylsulfonyl)-D-prolyl-L-phenylalanyl-L-arginyl-L-2-cyclohexyl- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C29 H46 N8 O6 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

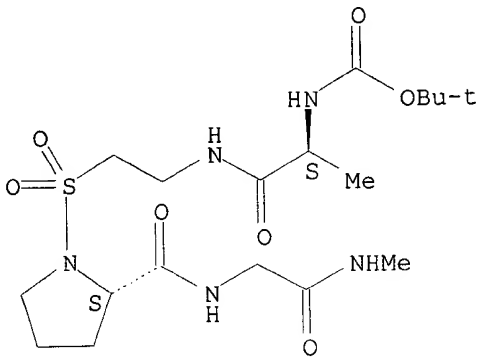


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 117:151397

L12 ANSWER 487 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 134019-79-7 REGISTRY
CN Glycinamide, 1-[[2-[[2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxopropyl]amino]ethyl]sulfonyl]-L-prolyl-N-methyl-, (S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C18 H33 N5 O7 S
SR CA
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT, CHEMINFORMRX
(*File contains numerically searchable property data)

Absolute stereochemistry.



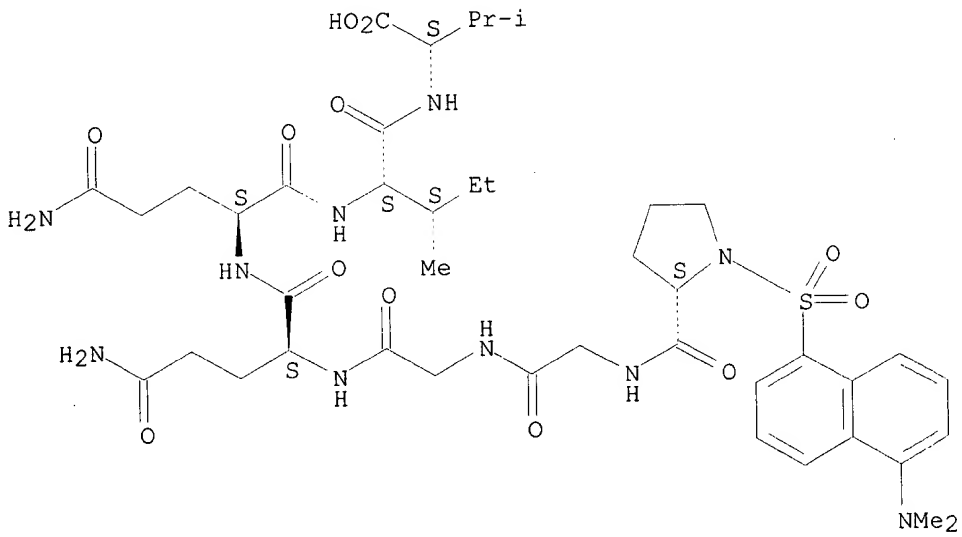
2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 119:28570

REFERENCE 2: 114:247732

L12 ANSWER 489 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 132686-26-1 REGISTRY
CN L-Valine, N-[N-[N2-[N2-[N-[N-[1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-L-prolyl]glycyl]glycyl]-L-glutaminyl]-L-glutaminyl]-L-isoleucyl]- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C42 H62 N10 O12 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



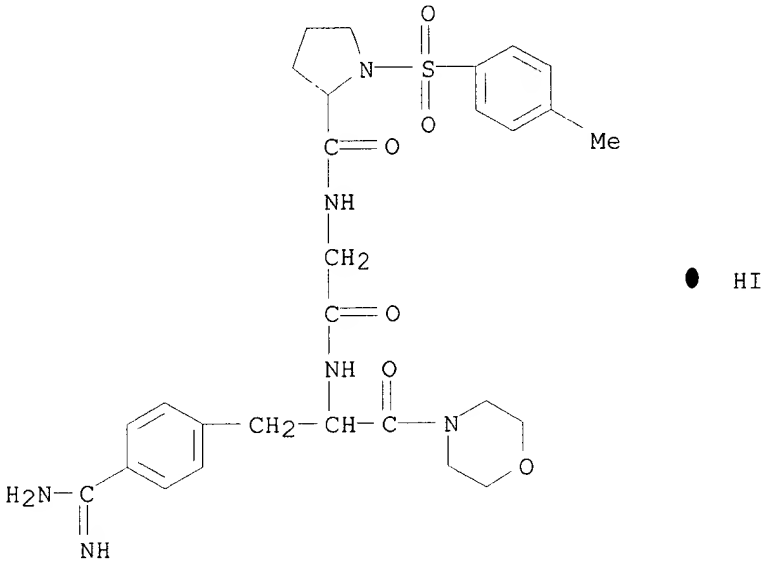
3 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 119:154667

REFERENCE 2: 115:24938

REFERENCE 3: 114:138651

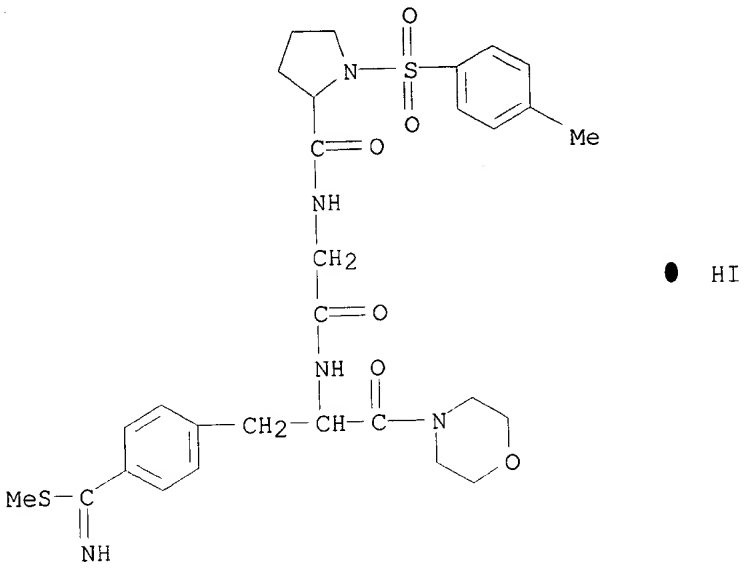
L12 ANSWER 507 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 109947-88-8 REGISTRY
CN Glycinamide, 1-[(4-methylphenyl)sulfonyl]-L-prolyl-N-[1-[[4-(aminoiminomethyl)phenyl]methyl]-2-(4-morpholinyl)-2-oxoethyl]-, monohydriodide (9CI) (CA INDEX NAME)
MF C28 H36 N6 O6 S . H I
SR CA
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT
(*File contains numerically searchable property data)



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 107:97096

L12 ANSWER 510 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 109947-85-5 REGISTRY
CN Glycinamide, 1-[(4-methylphenyl)sulfonyl]-L-prolyl-N-[1-[[4-[imino(methylthio)methyl]phenyl]methyl]-2-(4-morpholinyl)-2-oxoethyl]-, monohydriodide (9CI) (CA INDEX NAME)
MF C29 H37 N5 O6 S2 . H I
SR CA
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT
(*File contains numerically searchable property data)

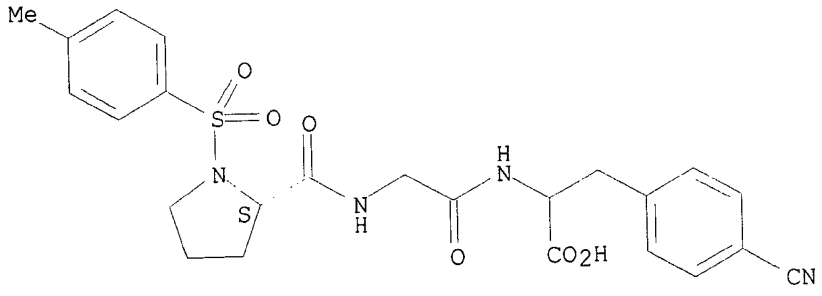


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 107:97096

L12 ANSWER 520 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 109947-75-3 REGISTRY
CN Phenylalanine, 4-cyano-N-[N-[1-[(4-methylphenyl)sulfonyl]-L-prolyl]glycyl]- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN DL-Phenylalanine, 4-cyano-N-[N-[1-[(4-methylphenyl)sulfonyl]-L-prolyl]glycyl]-
FS STEREOSEARCH
MF C24 H26 N4 O6 S
SR CA
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT
(*File contains numerically searchable property data)

Absolute stereochemistry.



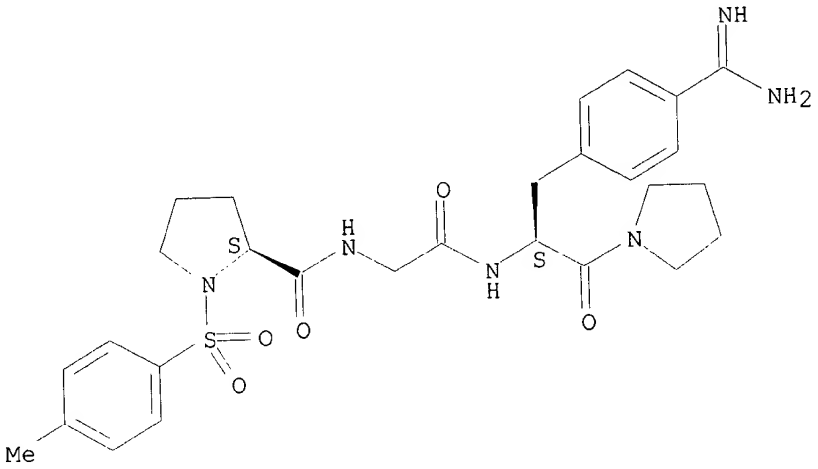
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 107:97096

L12 ANSWER 530 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 109630-18-4 REGISTRY
CN Glycinamide, 1-[(4-methylphenyl)sulfonyl]-L-prolyl-N-[1-[[4-(aminoiminomethyl)phenyl]methyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]-, (S)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH
MF C28 H36 N6 O5 S
SR CA
LC STN Files: BEILSTEIN*, CA, CAPLUS
(*File contains numerically searchable property data)

Absolute stereochemistry.

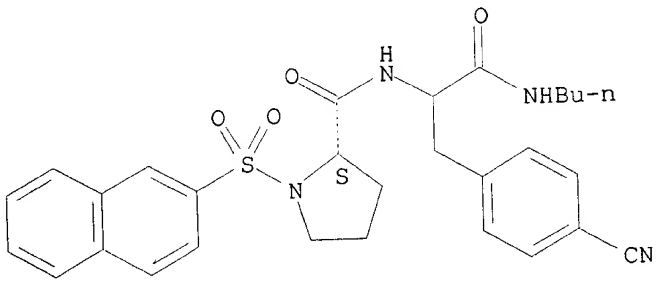


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 107:92532

L12 ANSWER 540 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 108022-40-8 REGISTRY
CN Phenylalaninamide, 1-(2-naphthalenylsulfonyl)-L-prolyl-N-butyl-4-cyano-
(9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN DL-Phenylalaninamide, 1-(2-naphthalenylsulfonyl)-L-prolyl-N-butyl-4-cyano-
FS STEREOSEARCH
MF C29 H32 N4 O4 S
SR CA
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT
(*File contains numerically searchable property data)

Absolute stereochemistry.

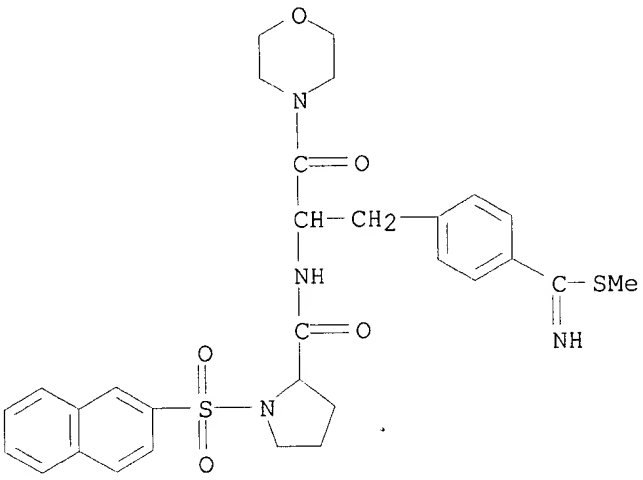


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 106:176825

L12 ANSWER 550 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 107994-30-9 REGISTRY
CN Benzenecarboximidothioic acid, 4-[3-(4-morpholinyl)-2-[[[1-(2-
naphthalenylsulfonyl)-2-pyrrolidinyl]carbonyl]amino]-3-oxopropyl]-, methyl

ester, monohydriodide (9CI) (CA INDEX NAME)
MF C30 H34 N4 O5 S2 . H I
SR CA
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT
(*File contains numerically searchable property data)



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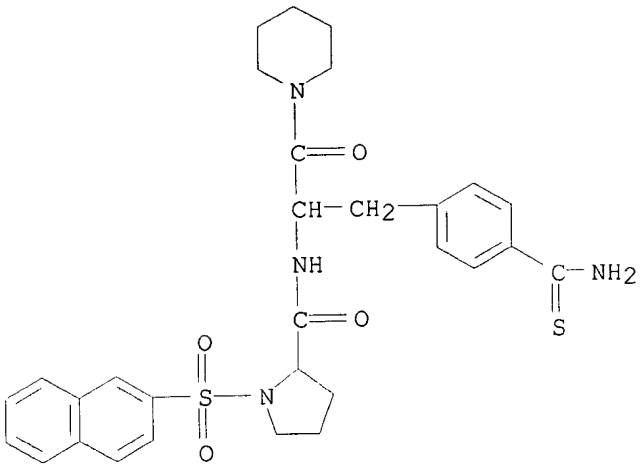
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 106:176825

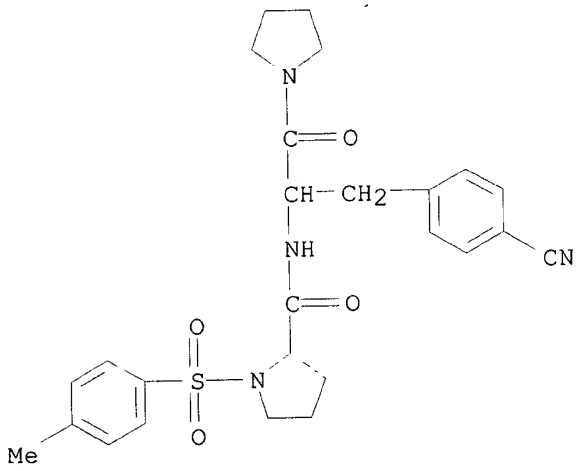
L12 ANSWER 560 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 107994-20-7 REGISTRY
CN 2-Pyrrolidinecarboxamide, N-[1-[[4-(aminothioxomethyl)phenyl]methyl]-2-oxo-2-(1-piperidinyl)ethyl]-1-(2-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C30 H34 N4 O4 S2
SR CA
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT
(*File contains numerically searchable property data)



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 106:176825

L12 ANSWER 570 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 107994-10-5 REGISTRY
CN 2-Pyrrolidinecarboxamide, N-[1-[(4-cyanophenyl)methyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C26 H30 N4 O4 S
SR CA
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT
(*File contains numerically searchable property data)



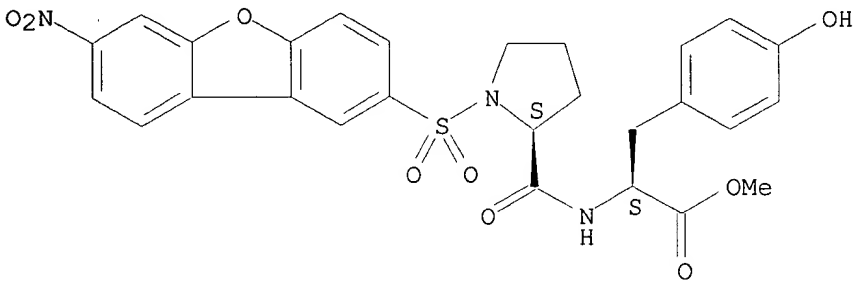
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 106:176825

L12 ANSWER 580 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 98044-94-1 REGISTRY
CN L-Tyrosine, N-[1-[(7-nitro-2-dibenzofuranyl)sulfonyl]-L-prolyl]-, methyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C27 H25 N3 O9 S

SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

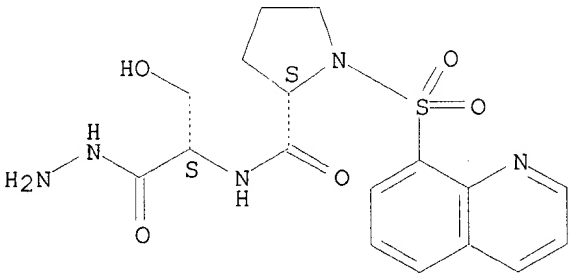


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 103:105304

L12 ANSWER 590 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 87650-93-9 REGISTRY
CN L-Serine, N-[1-(8-quinolinylsulfonyl)-L-prolyl]-, hydrazide (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C17 H21 N5 O5 S
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 99:176262

L12 ANSWER 600 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 74431-05-3 REGISTRY
CN L-Phenylalaninamide, 1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-L-prolyl-N-[4-[(aminoiminomethyl)amino]-1-(chloroacetyl)butyl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)
MF C33 H42 Cl N7 O5 S . Cl H
LC STN Files: CA, CAPLUS
CRN (71259-32-0)

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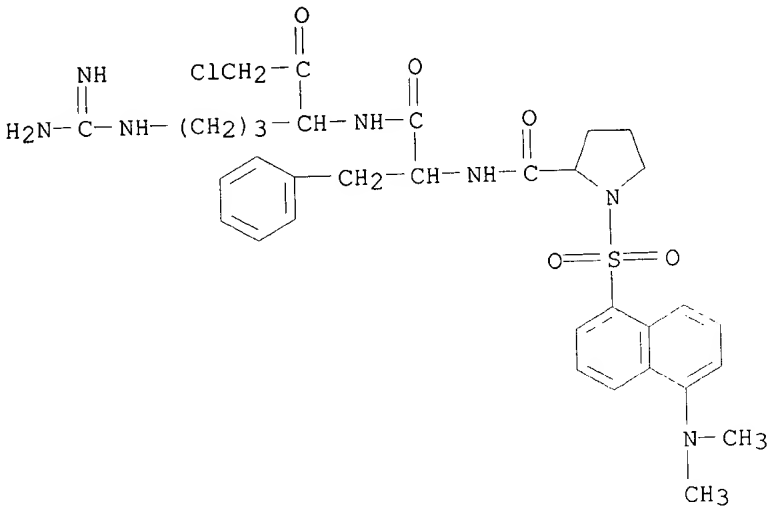

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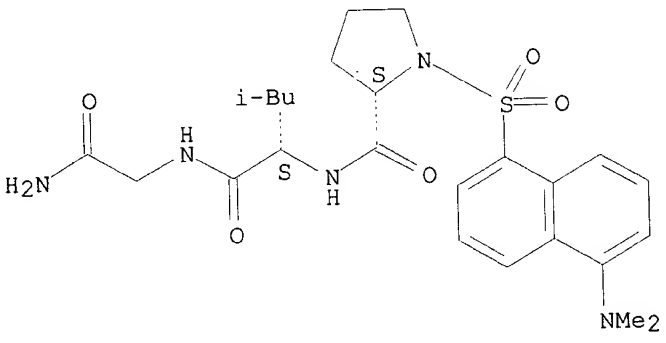
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 93:163452

L12 ANSWER 610 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 74260-41-6 REGISTRY
CN Glycinamide, 1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-L-prolyl-L-leucyl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C25 H35 N5 O5 S
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



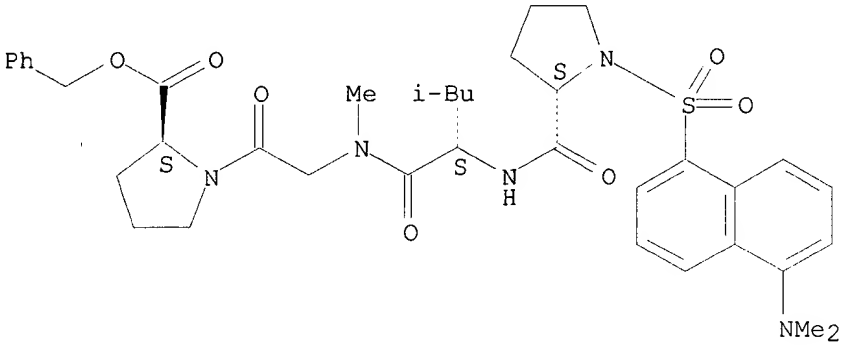
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 93:40671

L12 ANSWER 620 OF 629 REGISTRY COPYRIGHT 1999 ACS

RN 59191-13-8 REGISTRY
CN L-Proline, 1-[N-[N-[1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-L-prolyl]-L-leucyl]-N-methylglycyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C38 H49 N5 O7 S
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



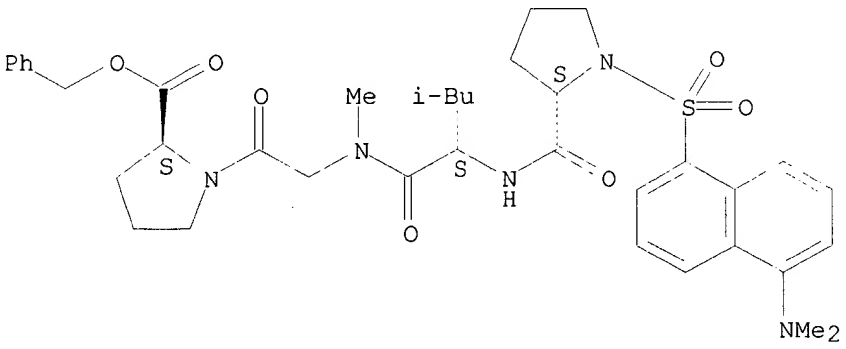
2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 88:23408

REFERENCE 2: 84:180643

L12 ANSWER 620 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 59191-13-8 REGISTRY
CN L-Proline, 1-[N-[N-[1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]-L-prolyl]-L-leucyl]-N-methylglycyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C38 H49 N5 O7 S
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 88:23408

REFERENCE 2: 84:180643

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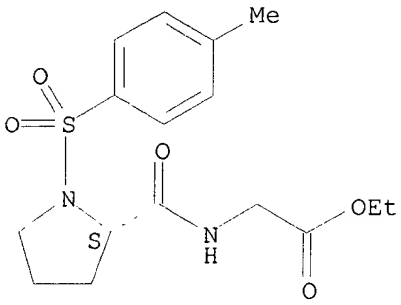
L12 ANSWER 629 OF 629 REGISTRY COPYRIGHT 1999 ACS
RN 4172-31-0 REGISTRY
CN Glycine, N-[1-(p-tolylsulfonyl)-L-prolyl]-, ethyl ester (7CI, 8CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C16 H22 N2 O5 S
LC STN Files: CA, CAOLD, CAPLUS

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)
2 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 68:22261